
Supporting Information

Selective C(sp²)-H bond functionalization of olefins via visible-light-induced photoredox-quinuclidine dual catalysis

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Content

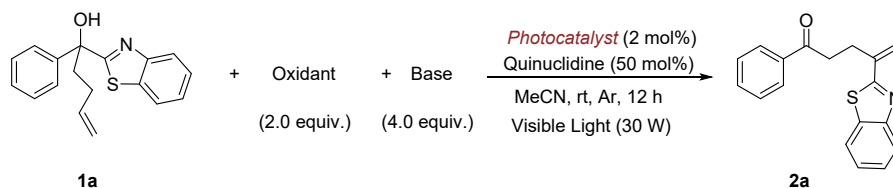
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1. General Remarks

^1H NMR spectra were recorded on Agilent-400, Varian Mercury-400 and Bruker-400 spectrometer for solution in CDCl_3 with tetramethylsilane (TMS) as an internal standard; coupling constants J are given in Hz. ^{13}C NMR spectra were recorded on Agilent-400, Varian Mercury-400 and Bruker-400 spectrophotometers with complete proton decoupling spectrophotometers (CDCl_3 : 77.0 ppm). The reference of ^{19}F NMR (376 MHz) spectra is trichlorofluoromethane (δ ppm 0). Mass and HRMS spectra were recorded by ESI, EI or FI method. Organic solvents used were dried by standard methods when necessary. Infrared spectra were recorded on a Perkin-Elmer PE-983 spectrometer with absorption in cm^{-1} . Melting points were determined on a digital melting point apparatus and temperatures were uncorrected. Commercially obtained reagents were used without further purification. All these reactions were monitored by TLC with silica gel coated plates. Flash column chromatography was carried out using silica gel at increased pressure.

2. Optimization of Reaction Conditions

Table S1 Optimization of reaction conditions

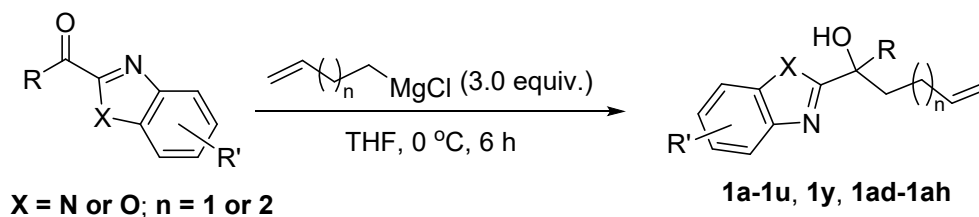


Entry	Oxidant	Base	Light wavelength	Photocatalyst	Yield (%) ^b
1	PIDA	K ₂ HPO ₄	450 nm	Ir[dF(CF ₃)ppy] ₂ (dtbbpy)]PF ₆	62
2	PIFA	K ₂ HPO ₄	450 nm	Ir[dF(CF ₃)ppy] ₂ (dtbbpy)]PF ₆	N.R. ^c
3	CAN	K ₂ HPO ₄	450 nm	Ir[dF(CF ₃)ppy] ₂ (dtbbpy)]PF ₆	16
4	1,4-Benzoquinone	K ₂ HPO ₄	450 nm	Ir[dF(CF ₃)ppy] ₂ (dtbbpy)]PF ₆	N.R.
5	Dess-Martin	K ₂ HPO ₄	450 nm	Ir[dF(CF ₃)ppy] ₂ (dtbbpy)]PF ₆	47
6	K ₂ S ₂ O ₈	K ₂ HPO ₄	450 nm	Ir[dF(CF ₃)ppy] ₂ (dtbbpy)]PF ₆	N.R.
7	LPO	K ₂ HPO ₄	450 nm	Ir[dF(CF ₃)ppy] ₂ (dtbbpy)]PF ₆	N.R.
8	Di-tert-butyl peroxide	K ₂ HPO ₄	450 nm	Ir[dF(CF ₃)ppy] ₂ (dtbbpy)]PF ₆	N.R.
9	PIDA	K ₂ HPO ₄	450 nm	4CzIPN	68
10	PIDA	K ₃ PO ₄	450 nm	4CzIPN	28
11	PIDA	BTMG	450 nm	4CzIPN	N.R.
12	PIDA	K ₂ HPO ₄	395 nm	4CzIPN	59
13	PIDA	K ₂ HPO ₄	395 nm	Ir[dF(CF ₃)ppy] ₂ (dtbbpy)]PF ₆	78
14 ^d	PIDA	K ₂ HPO ₄	395 nm	Ir[dF(CF ₃)ppy] ₂ (dtbbpy)]PF ₆	71
15	PIDA	K ₃ PO ₄	395 nm	Ir[dF(CF ₃)ppy] ₂ (dtbbpy)]PF ₆	21
16	O ₂	K ₂ HPO ₄	395 nm	Ir[dF(CF ₃)ppy] ₂ (dtbbpy)]PF ₆	29
17	H ₂ O ₂ (aq)	K ₂ HPO ₄	395 nm	Ir[dF(CF ₃)ppy] ₂ (dtbbpy)]PF ₆	N.R.
18 ^e	PIDA	K ₂ HPO ₄	\	Ir[dF(CF ₃)ppy] ₂ (dtbbpy)]PF ₆	N.R.
19 ^f	PIDA	K ₂ HPO ₄	395 nm	\	N.R.
20 ^g	PIDA	K ₂ HPO ₄	395 nm	Ir[dF(CF ₃)ppy] ₂ (dtbbpy)]PF ₆	N.R.

^aReaction conditions: **1a** (0.2 mmol, 1.0 equiv.), photocatalyst (2 mol%), Base (0.8 mmol, 4.0 equiv.), quinuclidine (0.1 mmol, 0.5 equiv.), MeCN (8.0 mL), LEDs light (30 W), rt, 12 h. ^bIsolated yield in 0.2 mmol scale. ^cNo reaction. ^dBase (0.6 mmol, 3.0 equiv.). ^eReaction was conducted in the absence of light source. ^fReaction was conducted in the absence of the photocatalyst. ^gMeCN with water (5 %) as the co-solvent.

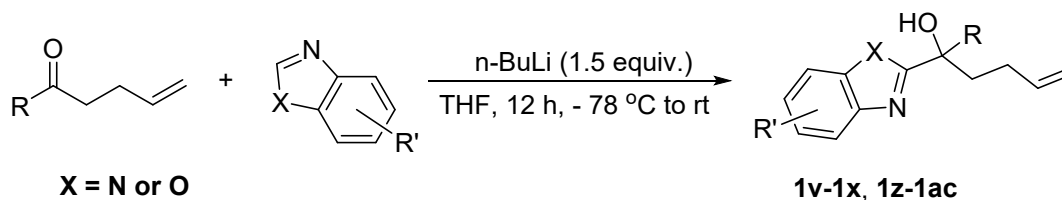
3. Procedures for Preparation of Reactants

General Procedure A for the Synthesis of Starting Material:



To an oven-dried 100 mL double neck round bottom flask, vinyl magnesium bromide (3.0 mmol, 3.0 equiv.) was added dropwise to a solution of ketone (1.0 mmol, 1.0 equiv.) in dry THF (0.20 M) under N₂ atmosphere at 0 °C. The resulting mixture was warmed gradually to room temperature and stirred for 6-8 h. After completion of the reaction, the reaction was quenched with a saturated NH₄Cl solution, extracted with EtOAc and dried over anhydrous Na₂SO₄ before it was purified on a silica gel column chromatography using an eluent of PE/EtOAc (v/v) to afford the desired product.

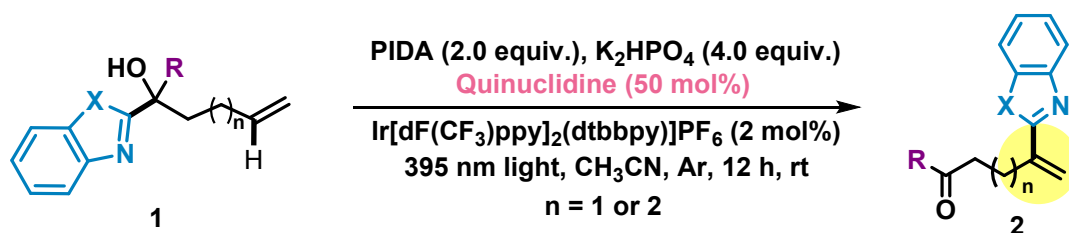
General Procedure B for the Synthesis of Starting Material:



To an oven-dried 100 mL double neck round bottom flask was added heterocycle such as benzo[d]thiazole or benzo[d]oxazole (1.5 mmol 1.5 equiv.) in dry THF (0.50 M) under N₂ atmosphere and cooled to -78 °C. ⁿBuLi (1.5 mmol, 1.5 equiv., 2.50 M in hexane) was added dropwise to this solution at -78 °C. After the addition was complete, the solution was kept stirring at the same temperature until complete the lithiation. To this stirred solution was added a solution of ketone (1.0 mmol 1.0 equiv.) in dry THF (0.50 M) at -78 °C and the resulting mixture was stirred continuously at this temperature for 1-3 h. Next, the reaction temperature was gradually increased to room temperature and stirred for another 8-10 h. After the reaction completion, the reaction was quenched with saturated NH₄Cl solution, extracted with EtOAc and dried over anhydrous Na₂SO₄

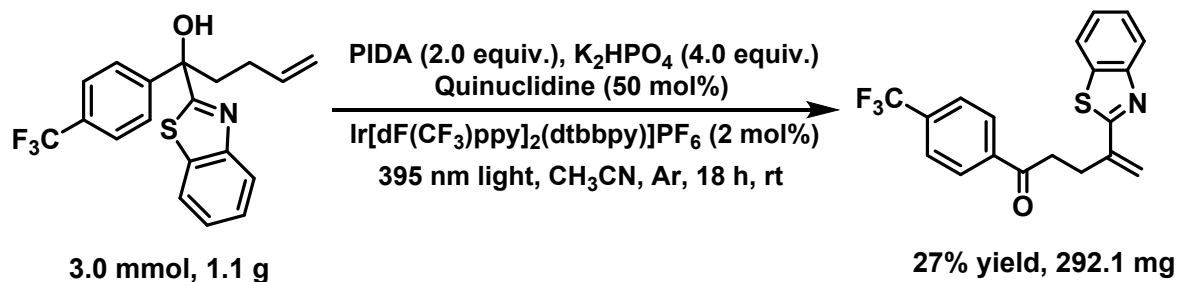
before it was purified on a silica gel column chromatography using an eluent of PE/EtOAc (v/v) to afford the desired product.

4. General Procedure of Migration Reactions

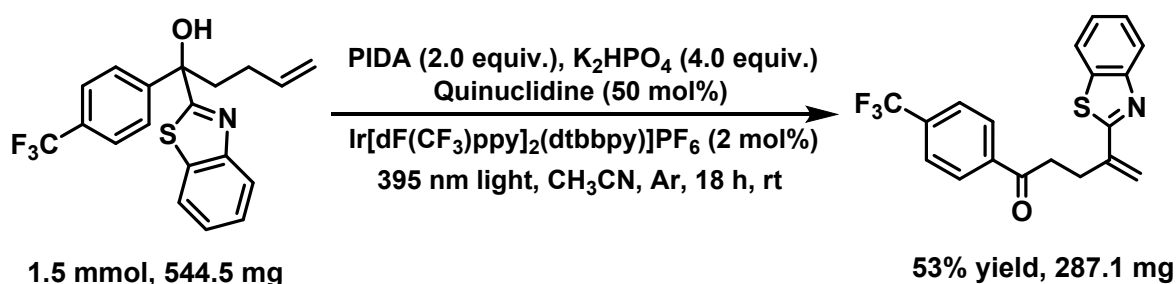


An oven-dried 20.0 mL sealed tube equipped with a teflon septum cap and a magnetic stir bar was charged with the photocatalyst (0.004 mmol, 0.02 equiv., $Ir[dF(CF_3)ppy]_2(dtbbpy)PF_6$), quinuclidine (0.1 mmol, 0.5 equiv.), powdered K_2HPO_4 (0.8 mmol 4.0 equiv.) and the corresponding starting materials **1a-1ah** (0.2 mmol). Then, 8.0 mL of degassed CH_3CN was added via a syringe and the oxidant PIDA (0.4 mmol 2.0 equiv.) was added. The reaction tube was sealed with a teflon septum cap. Then, the vial was placed in 395 nm light from a 30 W LEDs strip at room temperature (a fan was employed to maintain this temperature). After the indicated time period, the reaction mixture was treated with water and extracted with ethyl acetate. The organic layer was separated, dried over anhydrous Na_2SO_4 and the solvent was evaporated in vacuo and the crude mixture was purified by a silica gel flash column chromatography (eluent: petroleum ether / ethyl acetate) to afford the products in up to 81% yield.

5. Scale-up Synthesis of 2h



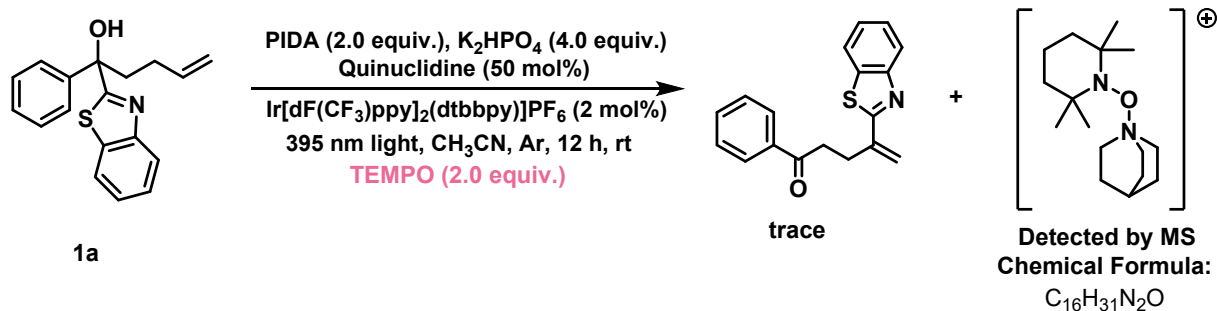
An oven-dried 250.0 mL sealed tube equipped with a teflon septum cap and a magnetic stir bar was charged with the photocatalyst (0.06 mmol, 0.02 equiv., Ir[dF(CF₃)ppy]₂(dtbbpy)PF₆), quinuclidine (1.5 mmol, 0.5 equiv.), powdered K₂HPO₄ (12 mmol 4.0 equiv.) and the starting material **1h** (3.0 mmol, 1.1 g). Then, 120.0 mL of degassed CH₃CN was added via a syringe and the oxidant PIDA (6.0 mmol 2.0 equiv.) was added. The reaction tube was sealed with a teflon septum cap. Then, the vial was placed in 395 nm light from a 30 W LEDs strip at room temperature (a fan was employed to maintain this temperature). After 18 h, the reaction mixture was treated with water and extracted with ethyl acetate. The organic layer was separated, dried over anhydrous Na₂SO₄ and the solvent was evaporated in vacuo and the crude mixture was purified by a silica gel flash column chromatography (eluent: petroleum ether / ethyl acetate = 20 / 1) to afford the product **2h** in 27% yield (292.1 mg).



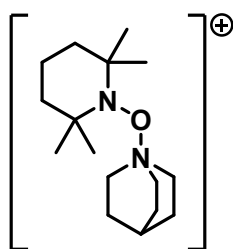
An oven-dried 100.0 mL sealed tube equipped with a teflon septum cap and a magnetic stir bar was charged with the photocatalyst (0.03 mmol, 0.02 equiv., Ir[dF(CF₃)ppy]₂(dtbbpy)PF₆), quinuclidine (0.75 mmol, 0.5 equiv.), powdered K₂HPO₄ (6 mmol 4.0 equiv.) and the starting material **1h** (1.5 mmol, 544.5 mg). Then, 60.0 mL of degassed CH₃CN was added via a syringe and the oxidant PIDA (3.0 mmol 2.0 equiv.) was added. The reaction tube was sealed with a teflon septum cap. Then, the vial was placed in 395 nm light from a 30 W LEDs strip at room temperature

(a fan was employed to maintain this temperature). After 18 h, the reaction mixture was treated with water and extracted with ethyl acetate. The organic layer was separated, dried over anhydrous Na_2SO_4 and the solvent was evaporated in vacuo and the crude mixture was purified by a silica gel flash column chromatography (eluent: petroleum ether / ethyl acetate = 20 / 1) to afford the product **2h** in 53% yield (287.1 mg).

6. Radical Trapping Experiment



An oven-dried 20.0 mL sealed tube equipped with a teflon septum cap and a magnetic stir bar was charged with the photocatalyst (0.004 mmol, 0.02 equiv., Ir[dF(CF₃)ppy]₂(dtbbpy)PF₆), quinuclidine (0.1 mmol, 0.5 equiv.), powdered K₂HPO₄ (0.8 mmol 4.0 equiv.), TEMPO (0.4 mmol, 2.0 equiv.) and the starting material **1a** (0.2 mmol). Then, 8.0 mL of degassed CH₃CN was added via a syringe and the oxidant PIDA (0.4 mmol 2.0 equiv.) was added. The reaction tube was sealed with a teflon septum cap. Then, the vial was placed in 395 nm light from a 30 W LEDs strip at room temperature (a fan was employed to maintain this temperature). After the indicated time period, the reaction mixture was treated with water and extracted with ethyl acetate. The organic layer was separated, dried over anhydrous Na₂SO₄ and the solvent was evaporated in vacuo and the crude mixture was detected by MS spectroscopy. The resultant quinuclidinium radical cation trapped by TEMPO was successfully detected by MS spectroscopy.



Results

Mass	Intensity	Intensity [%]	Formula	Calculated Mass	Mass Difference [mDa]	Mass Difference [ppm]	DBE
267.24301	9524.71	14.20	C ₁₆ H ₃₁ N ₂ O	267.24309	-0.08	-0.32	2.5

7. Stern-Volmer Quenching Studies

The measurements were performed using a 0.2 mM solution of photocatalyst Ir[dF(CF₃)ppy]₂(dtbbpy)PF₆ in 2.0 mL of degassed CH₃CN with varying concentrations of a quencher. The samples were excited at 400 nm and emission intensity was recorded from 450 nm to 575 nm for Ir[dF(CF₃)ppy]₂(dtbbpy)PF₆. Experiments showed that the excited state Ir[dF(CF₃)ppy]₂(dtbbpy)PF₆^{*} was quenched by quinuclidine instead of **1a**.

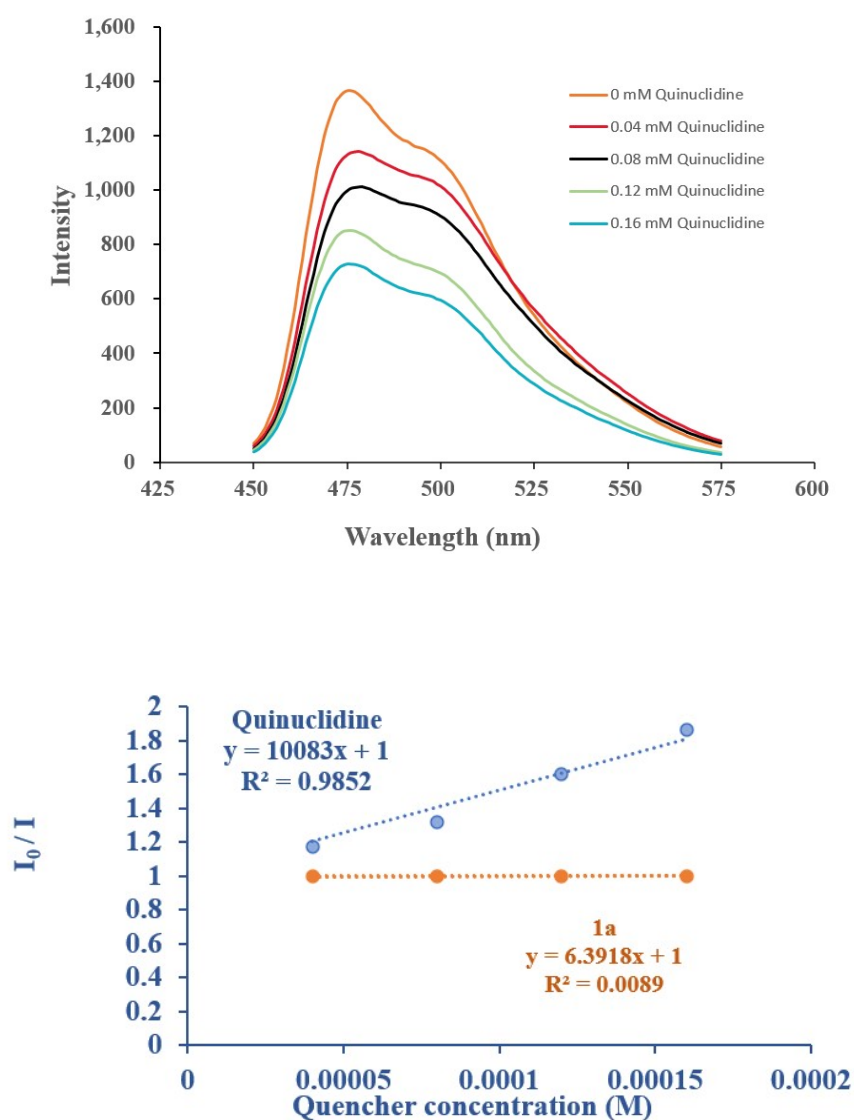
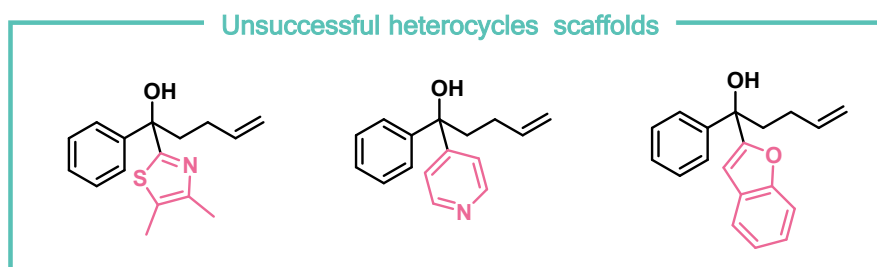
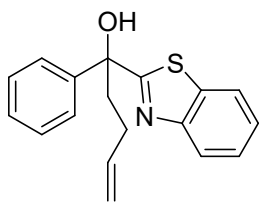


Figure S1. Ir[dF(CF₃)ppy]₂(dtbbpy)PF₆ emission quenching with quinuclidine.

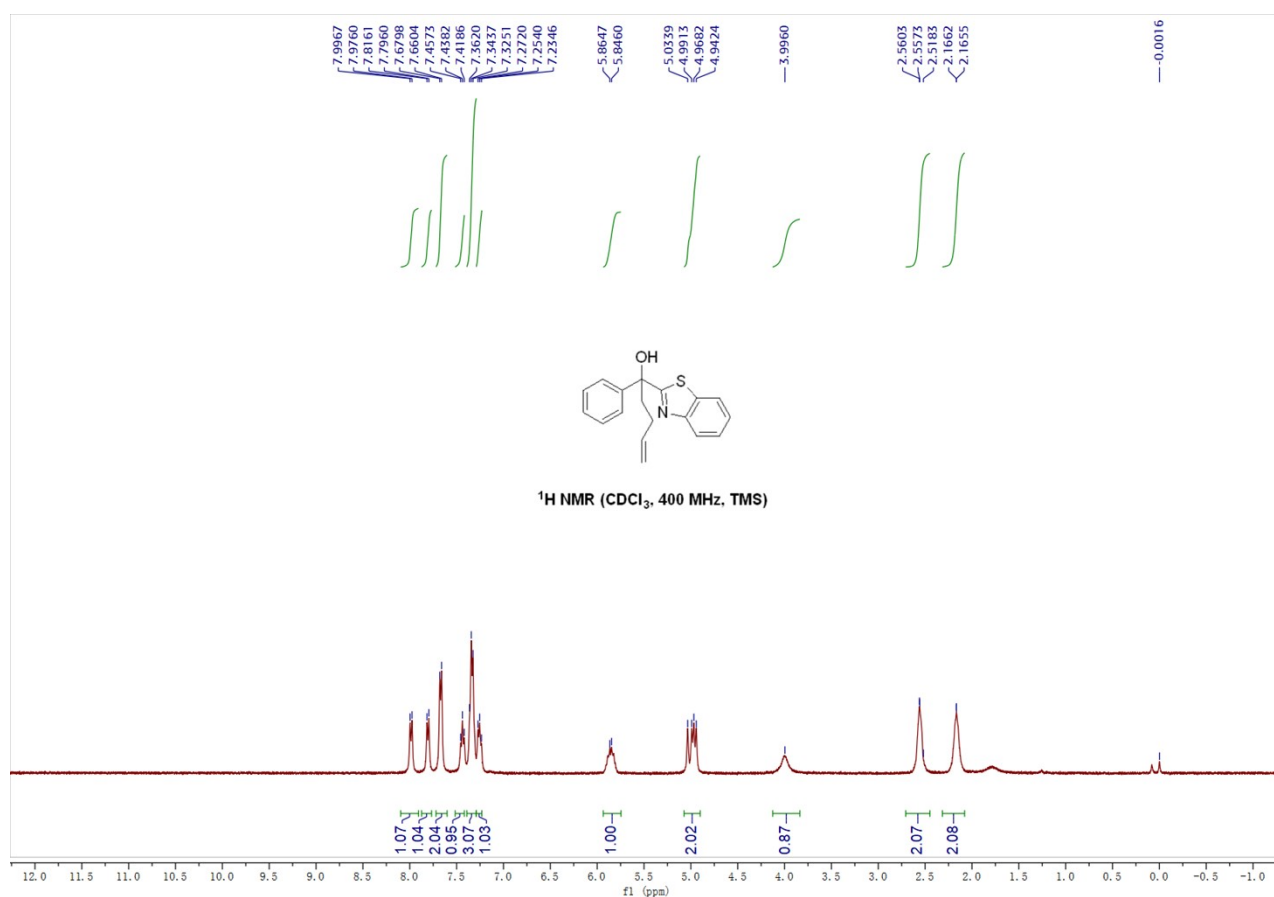
8. Unsuccessful Heterocycles Scaffolds

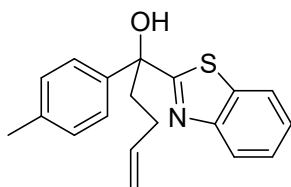
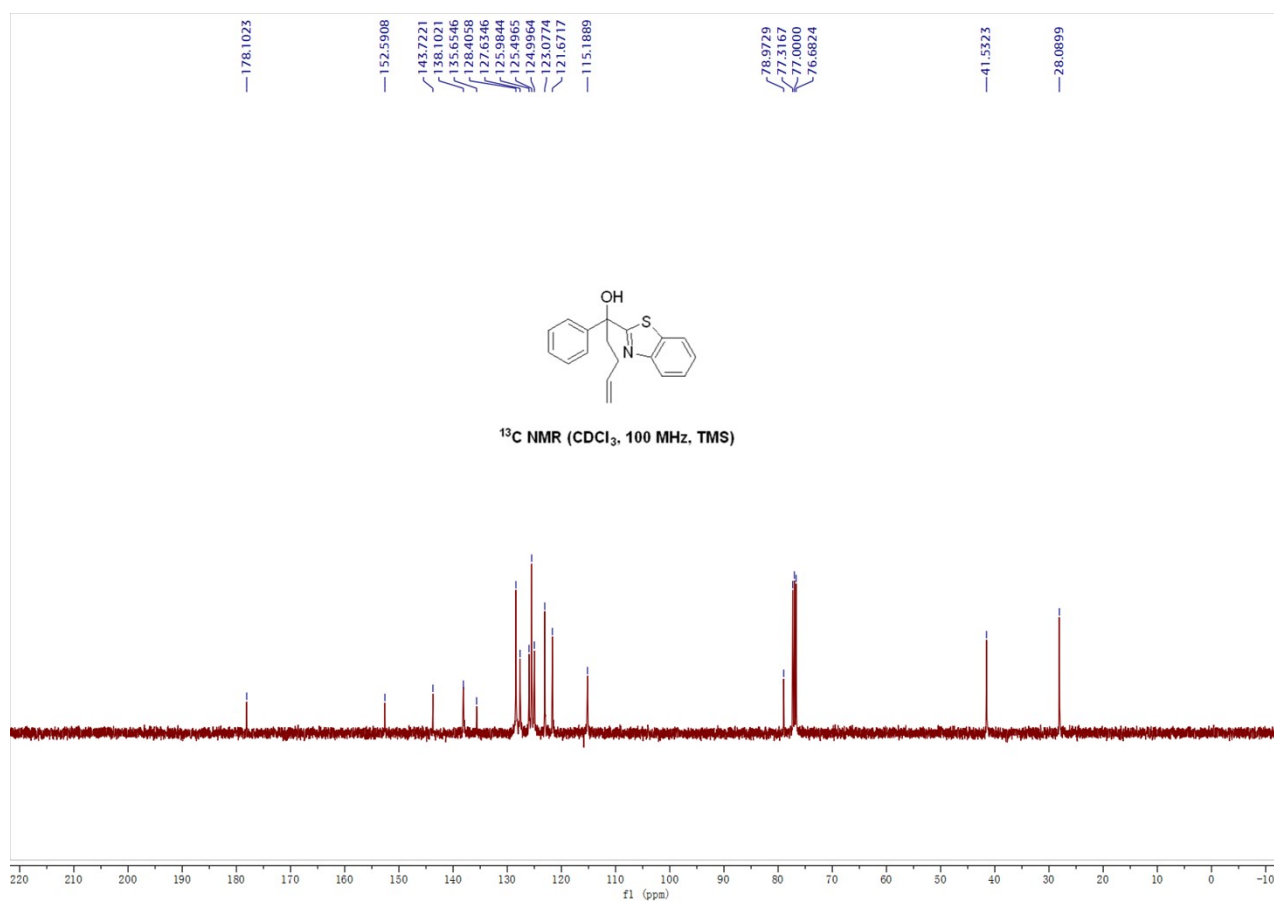


9. Characterization and Spectra Charts

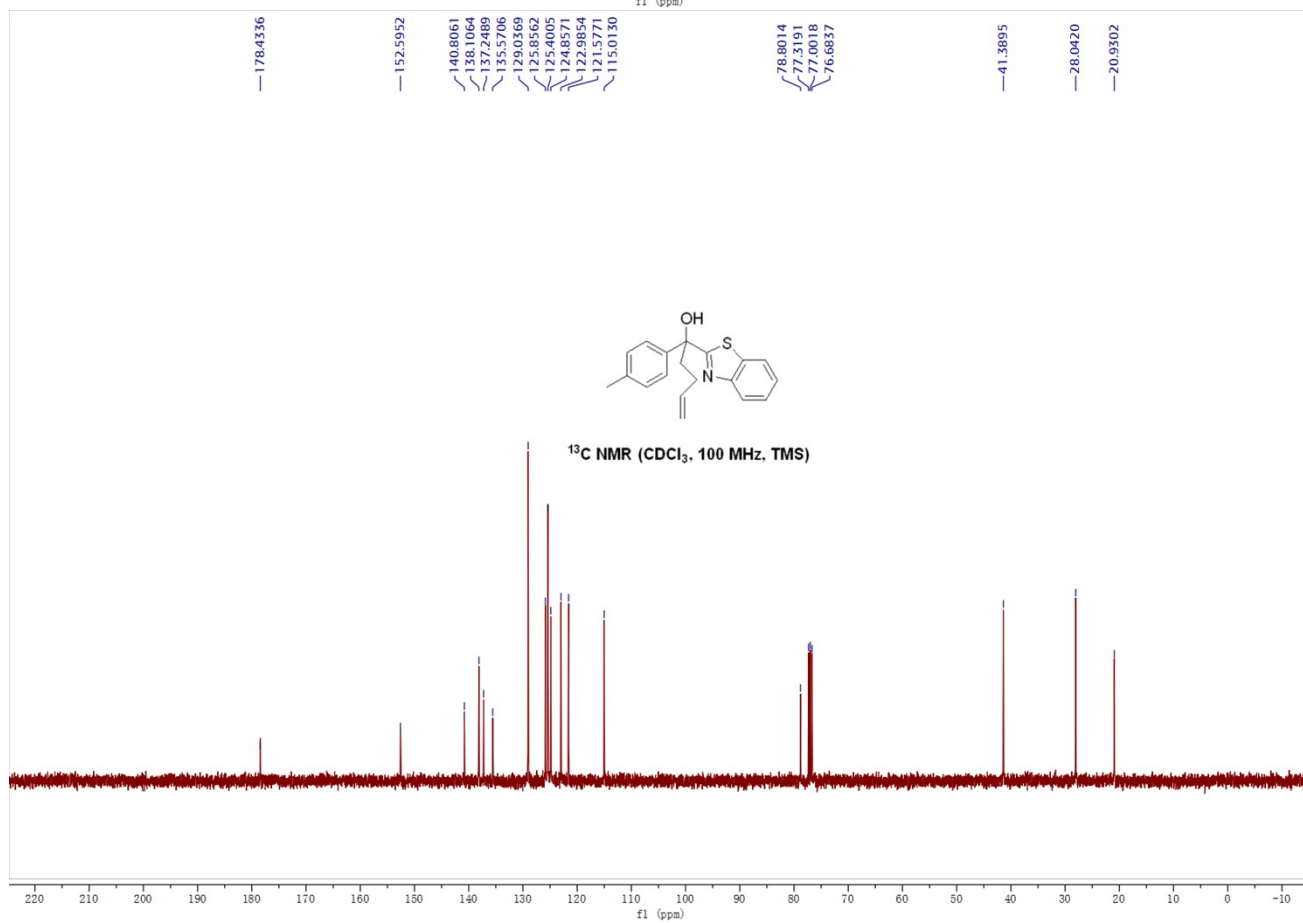
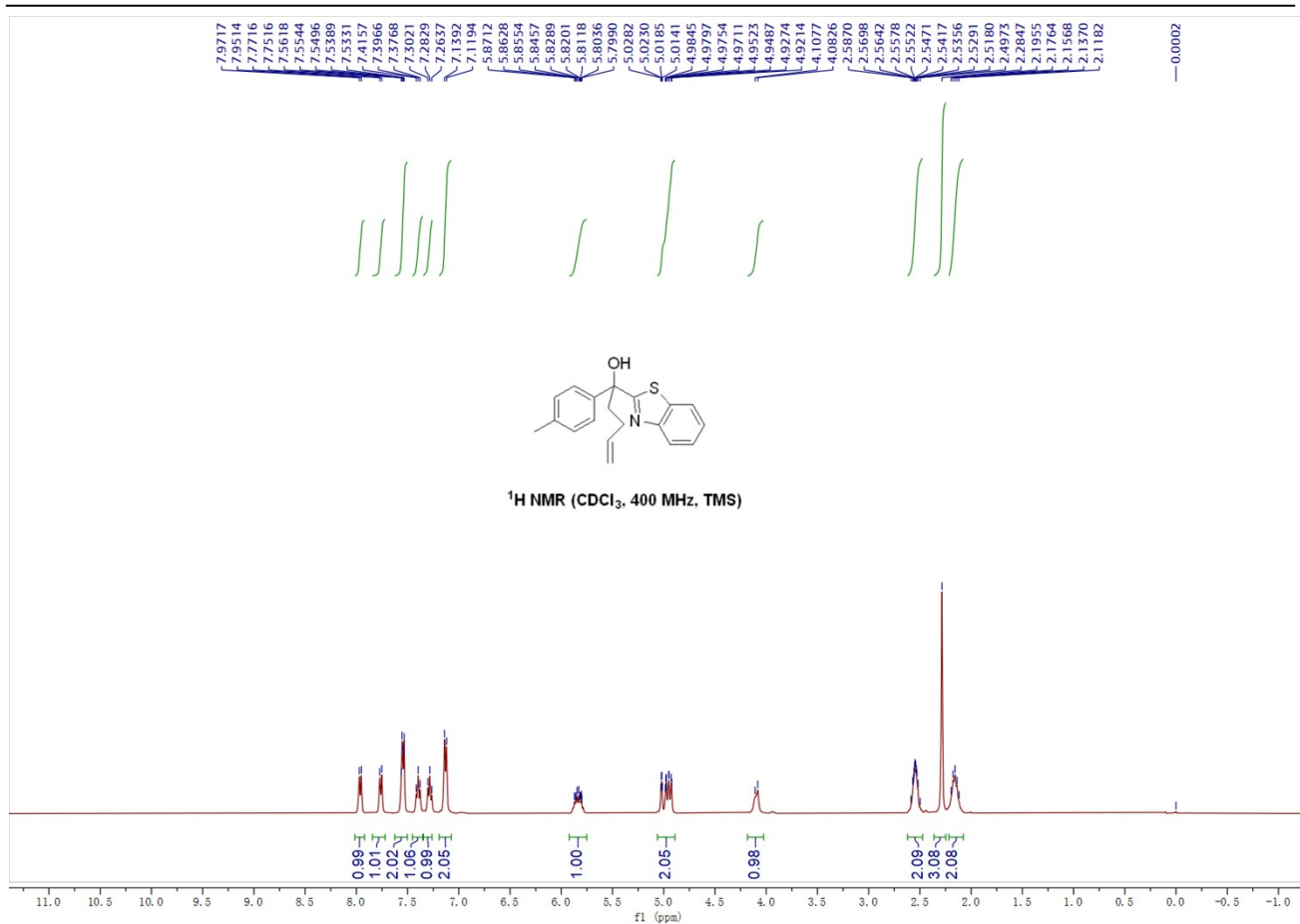


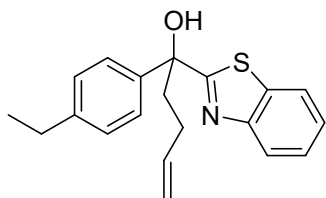
Compound 1a: Yield: 230.1 mg, 78%; A white solid; This is a known compound and its spectroscopic data are consistent with those reported in the literature;¹ ^1H NMR (400 MHz, CDCl_3) δ 7.99 (d, $J = 8.0$ Hz, 1H), 7.81 (d, $J = 8.0$ Hz, 1H), 7.72 – 7.60 (m, 2H), 7.52 – 7.42 (m, 1H), 7.39 – 7.29 (m, 3H), 7.29 – 7.23 (m, 1H), 5.94 – 5.75 (m, 1H), 5.07 – 4.90 (m, 2H), 4.00 (br, 1H), 2.71 – 2.45 (m, 2H), 2.32 – 2.08 (m, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 178.1, 152.6, 143.7, 138.1, 135.7, 128.4, 127.6, 126.0, 125.5, 125.0, 123.1, 121.7, 115.2, 79.0, 41.5, 28.1.





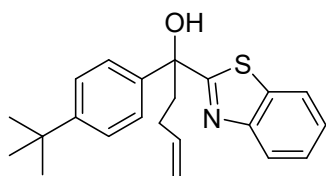
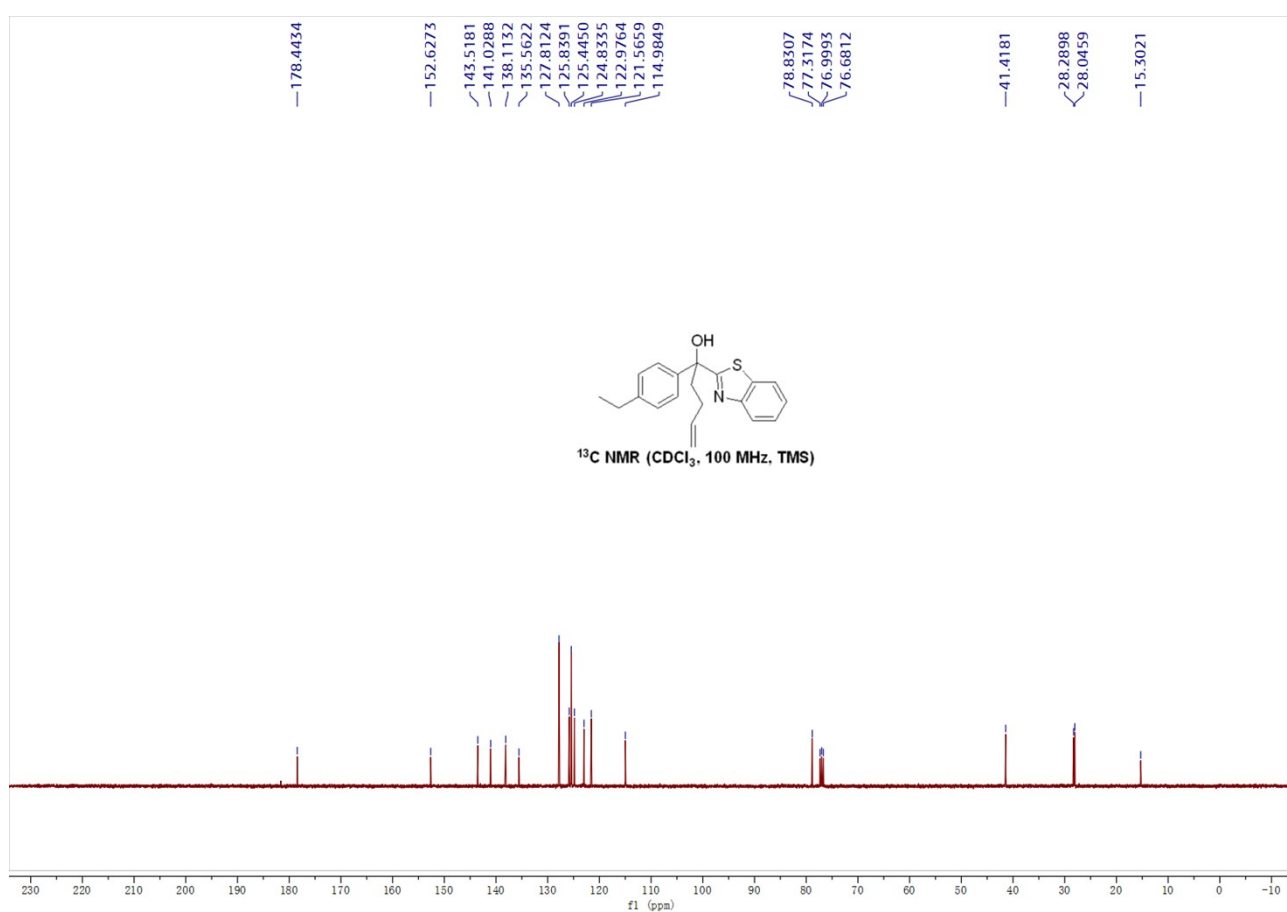
Compound 1b: Yield: 250.3 mg, 81%; A white solid; This is a known compound and its spectroscopic data are consistent with those reported in the literature;¹ ¹H NMR (400 MHz, CDCl₃) δ 7.96 (d, *J* = 8.1 Hz, 1H), 7.76 (d, *J* = 8.1 Hz, 1H), 7.62 – 7.50 (m, 2H), 7.45 – 7.35 (m, 1H), 7.35 – 7.26 (m, 1H), 7.13 (d, *J* = 7.9 Hz, 2H), 5.92 – 5.75 (m, 1H), 5.06 – 4.89 (m, 2H), 4.10 (br, 1H), 2.62 – 2.47 (m, 2H), 2.28 (s, 3H), 2.22 – 2.08 (m, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 178.4, 152.6, 140.8, 138.1, 137.2, 135.6, 129.0, 125.9, 125.4, 124.9, 123.0, 121.6, 115.0, 78.8, 41.4, 28.0, 20.9.



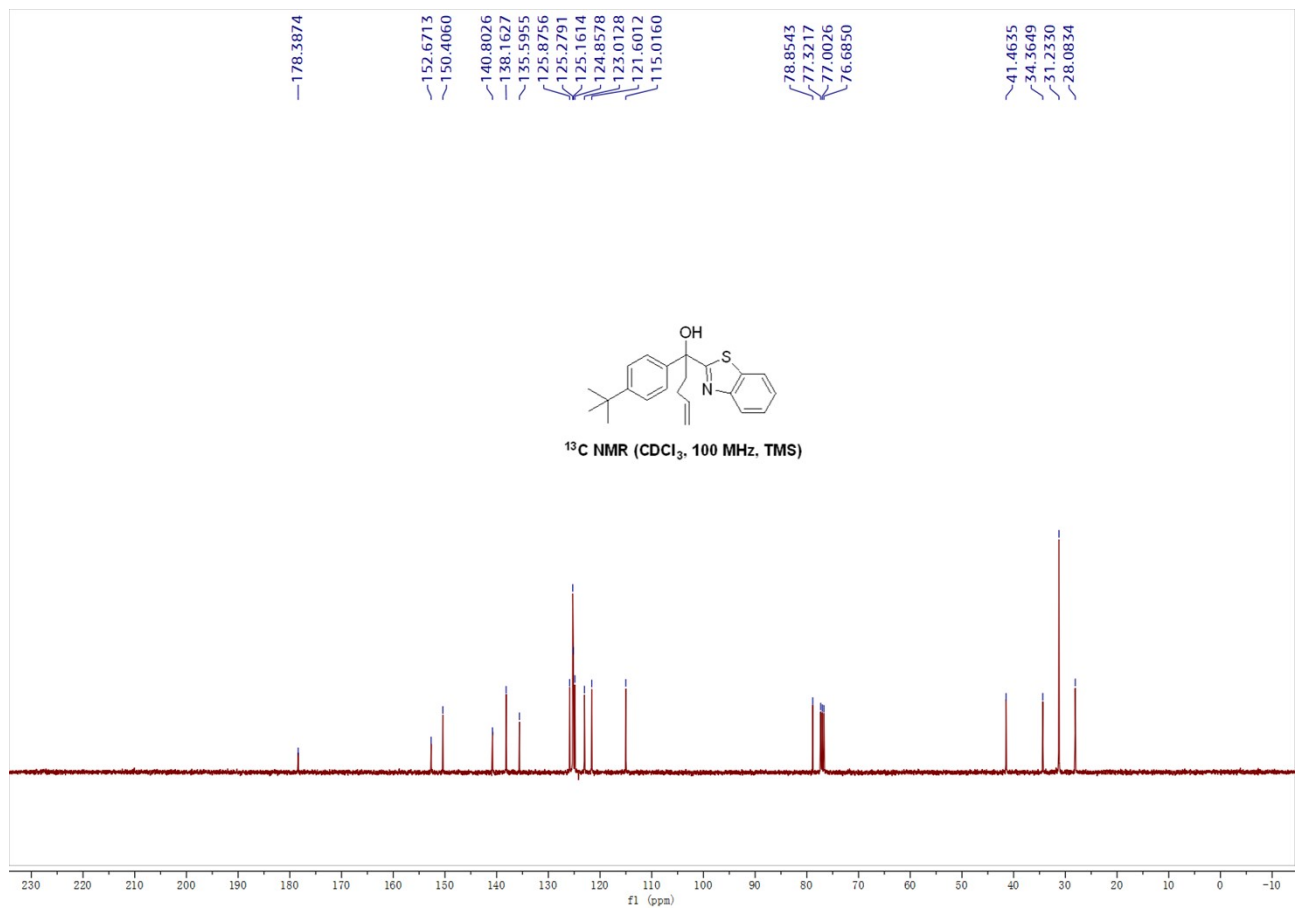
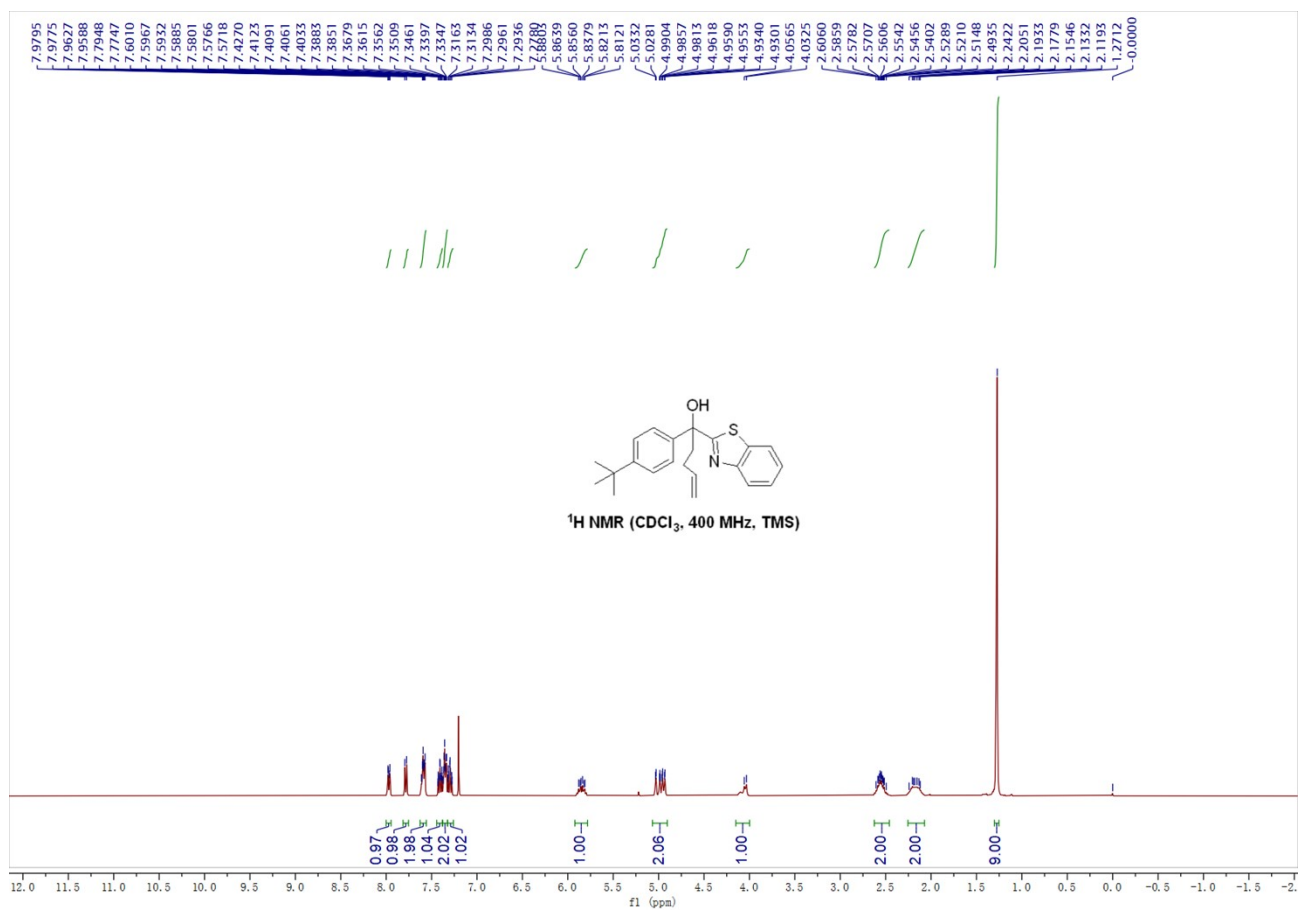


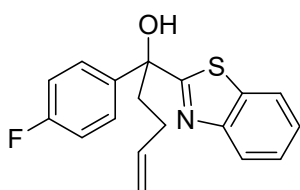
Compound 1c: Yield: 245.9 mg, 78%; A white solid; Mp: 79 - 81 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.3); ^1H NMR (400 MHz, CDCl_3) δ 7.96 (d, J = 8.1 Hz, 1H), 7.76 (d, J = 8.1 Hz, 1H), 7.57 (d, J = 7.7 Hz, 2H), 7.39 (t, J = 7.6 Hz, 1H), 7.28 (t, J = 7.6 Hz, 1H), 7.20 – 7.14 (m, 2H), 5.92 – 5.75 (m, 1H), 5.04 – 4.90 (m, 2H), 4.10 (br, 1H), 2.63 – 2.49 (m, 4H), 2.25 – 2.07 (m, 2H), 1.18 (t, J = 7.7 Hz, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 178.4, 152.6, 143.5, 141.0, 138.1, 135.6, 127.8, 125.8, 125.4, 124.8, 123.0, 121.6, 115.0, 78.8, 41.4, 28.3, 28.0, 15.3; IR (neat): ν 3418, 2961, 1636, 1508, 1440, 1302, 1247, 1075, 911, 839, 757, 728 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{20}\text{H}_{22}\text{NOS}$ $[\text{M}+\text{H}]^+$: 324.1417, found: 324.1409.



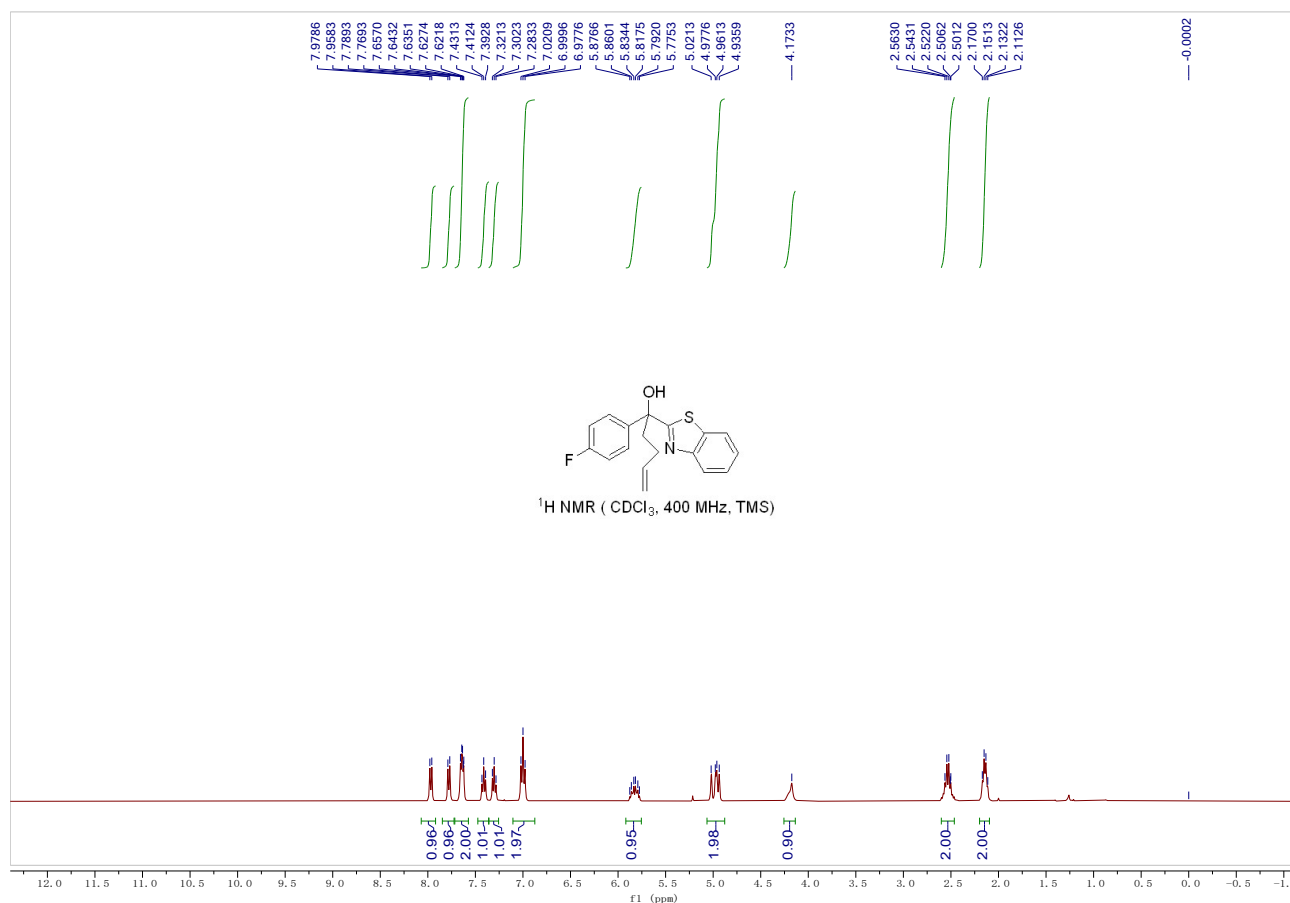


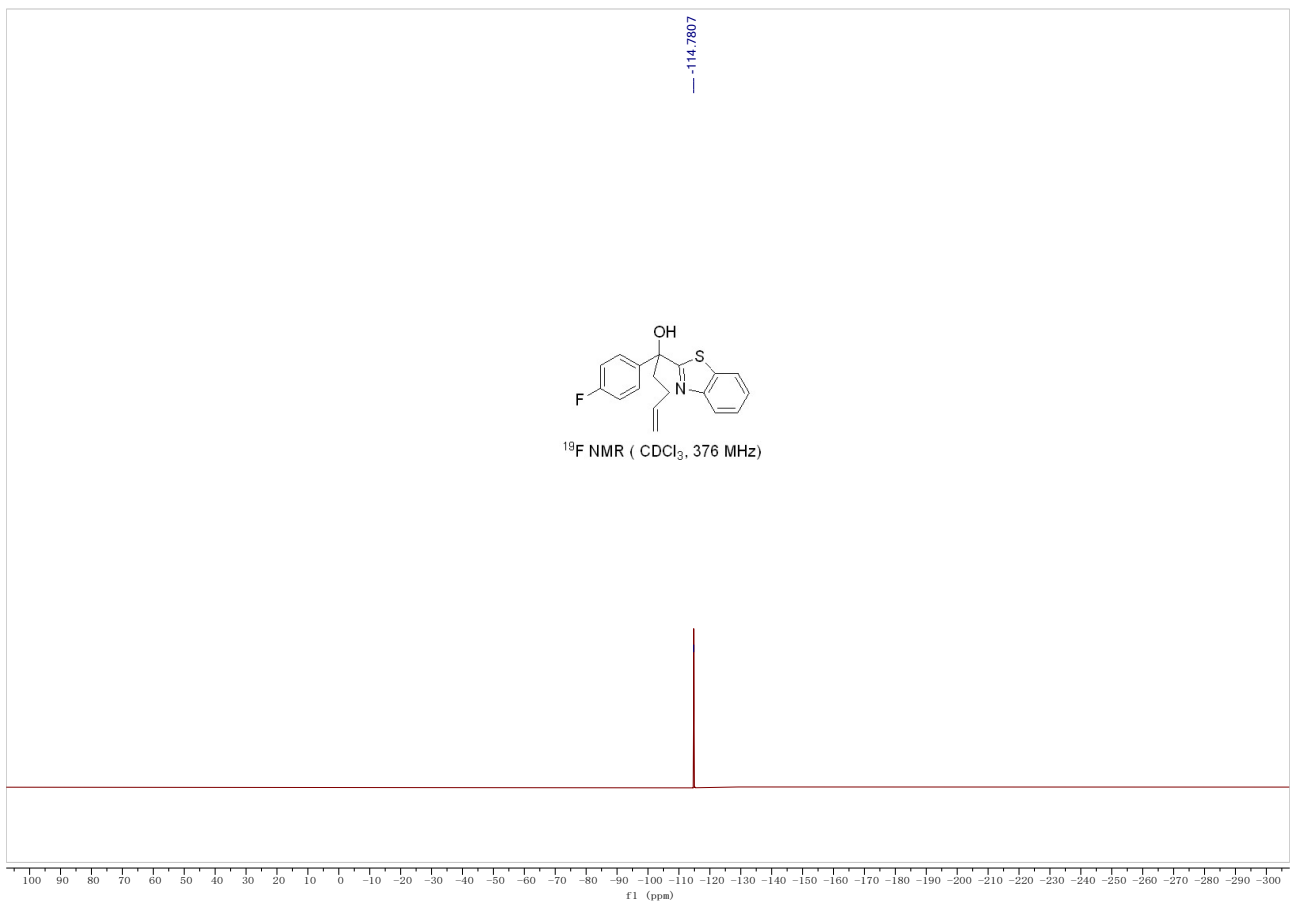
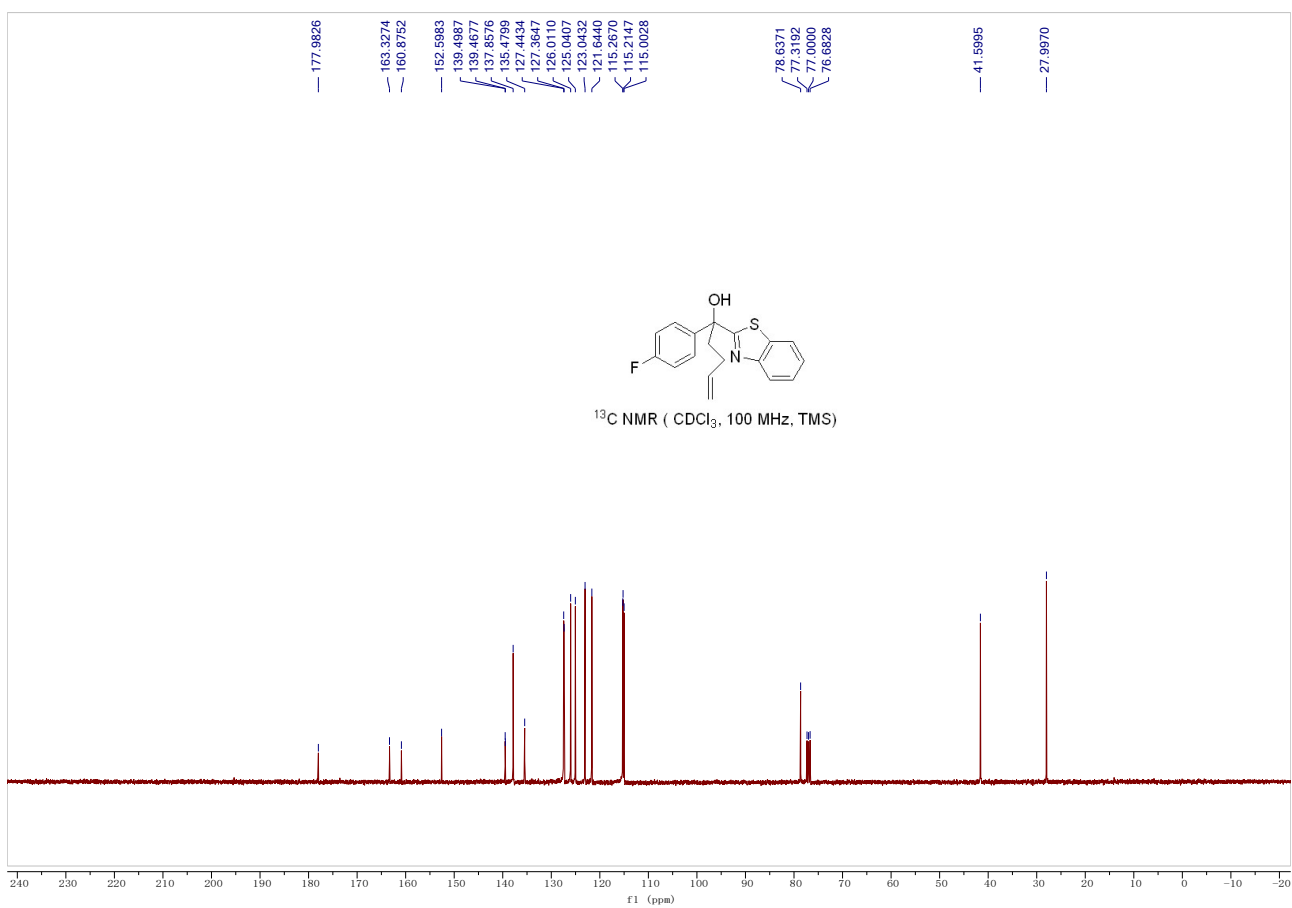
Compound 1d: Yield: 242.2 mg, 69%; A white solid; This is a known compound and its spectroscopic data are consistent with those reported in the literature;² ¹H NMR (400 MHz, CDCl₃) δ 8.00 – 7.95 (m, 1H), 7.81 – 7.75 (m, 1H), 7.63 – 7.56 (m, 2H), 7.44 – 7.38 (m, 1H), 7.38 – 7.33 (m, 2H), 7.32 – 7.26 (m, 1H), 5.92 – 5.78 (m, 1H), 5.07 – 4.90 (m, 2H), 4.04 (br, 1H), 2.63 – 2.46 (m, 2H), 2.25 – 2.07 (m, 2H), 1.27 (s, 9H); ¹³C NMR (100 MHz, CDCl₃) δ 178.4, 152.7, 150.4, 140.8, 138.2, 135.6, 125.9, 125.3, 125.2, 124.9, 123.0, 121.6, 115.0, 78.9, 41.5, 34.4, 31.2, 28.1.

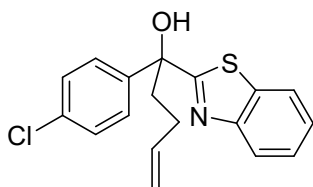




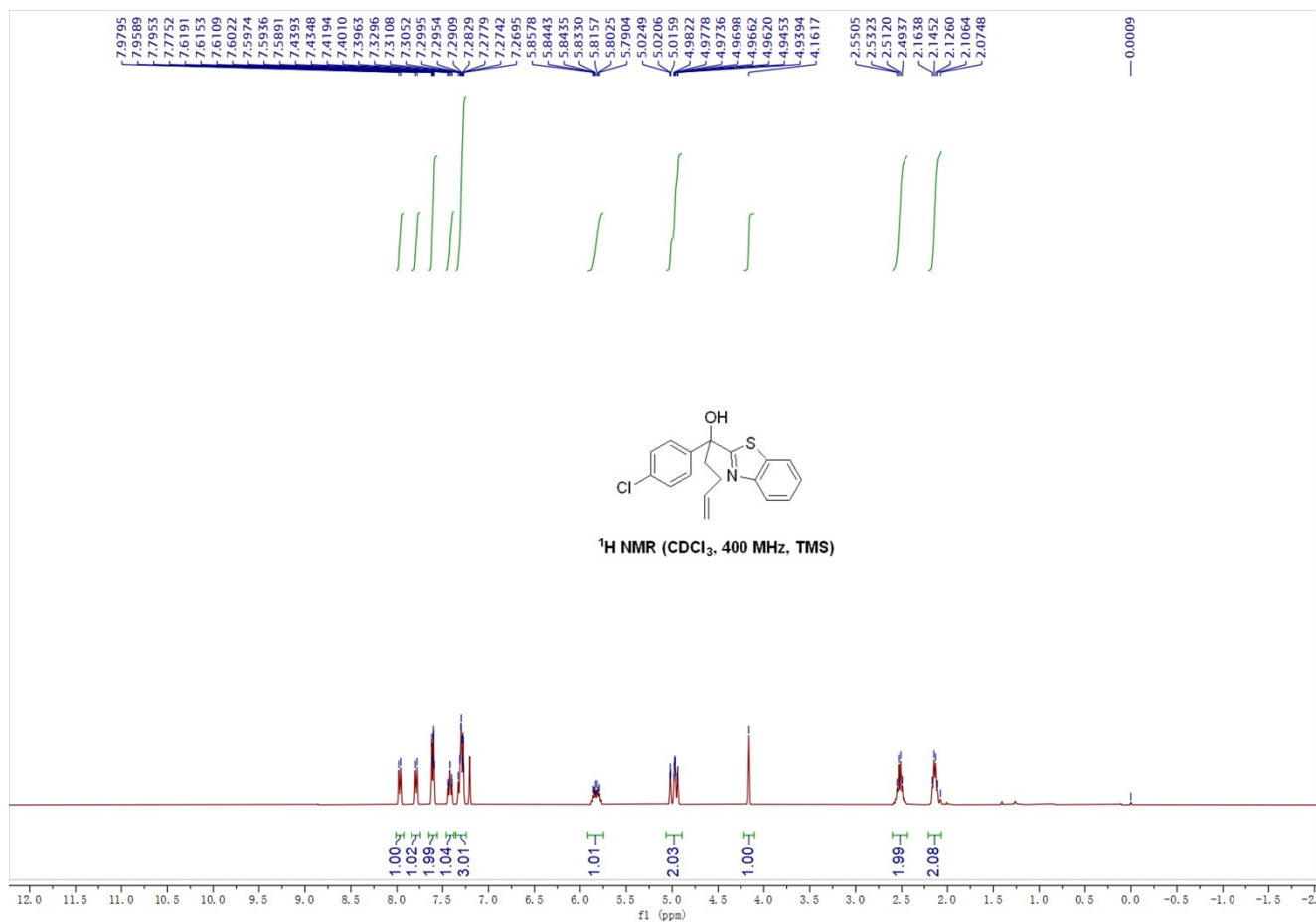
Compound 1e: Yield: 209.7 mg, 67%; A white solid; This is a known compound and its spectroscopic data are consistent with those reported in the literature; ^1H NMR (400 MHz, CDCl_3) δ 7.97 (d, $J = 8.1$ Hz, 1H), 7.78 (d, $J = 8.1$ Hz, 1H), 7.72 – 7.57 (m, 2H), 7.41 (t, $J = 7.6$ Hz, 1H), 7.30 (t, $J = 7.6$ Hz, 1H), 7.00 (t, $J = 8.7$ Hz, 2H), 5.92 – 5.76 (m, 1H), 5.06 – 4.88 (m, 2H), 4.17 (s, 1H), 2.60 – 2.46 (m, 2H), 2.20 – 2.10 (m, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 178.0, 162.1 (d, $J = 245.2$ Hz), 152.6, 139.5 (d, $J = 3.1$ Hz), 137.9, 135.5, 127.4 (d, $J = 7.9$ Hz), 126.0, 125.0, 123.0, 121.6, 115.3, 115.1 (d, $J = 21.0$ Hz), 78.6, 41.6, 28.0. ^{19}F NMR (376 MHz, CDCl_3) δ -114.8.

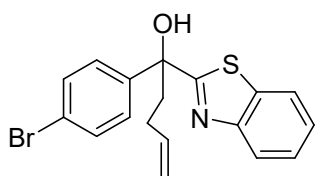
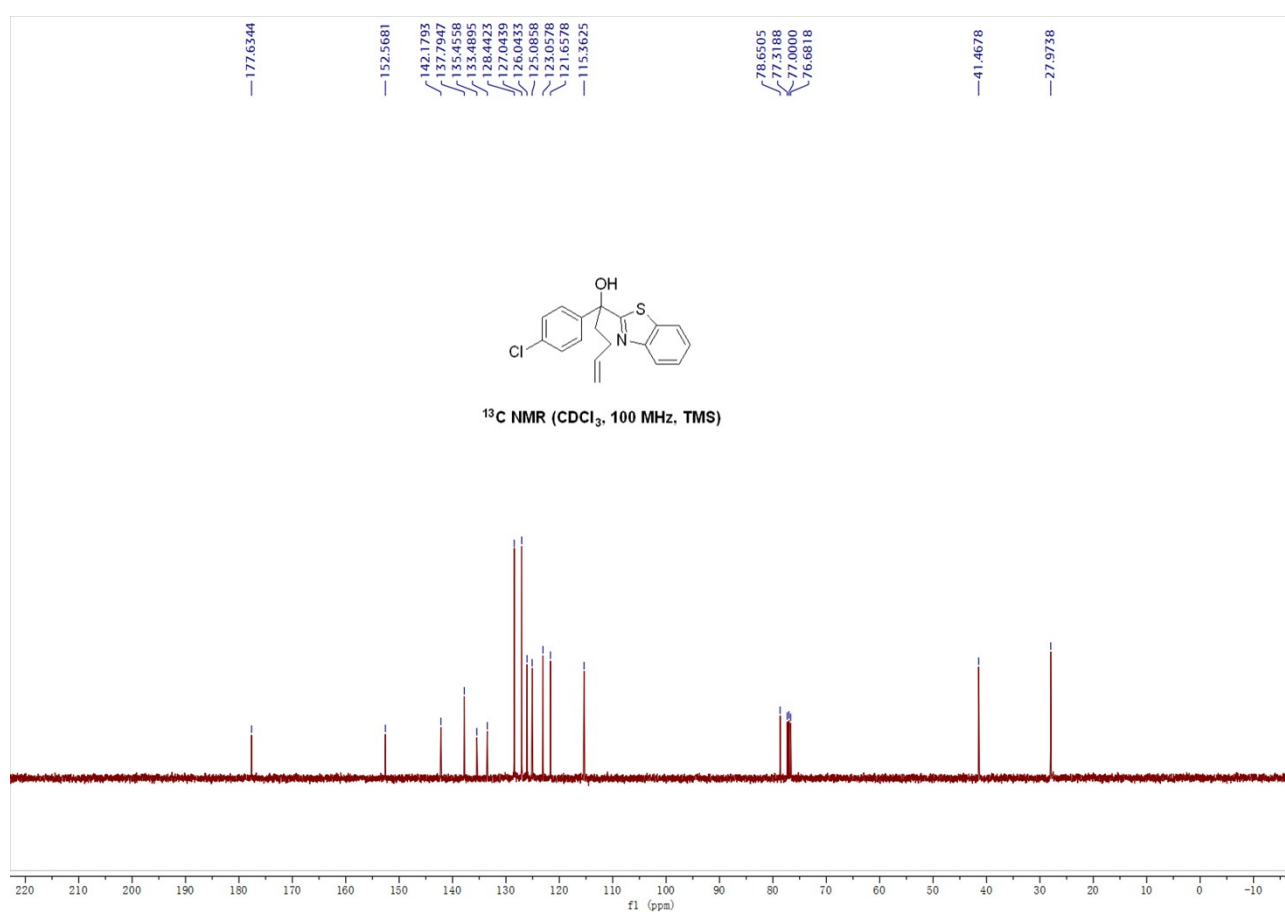




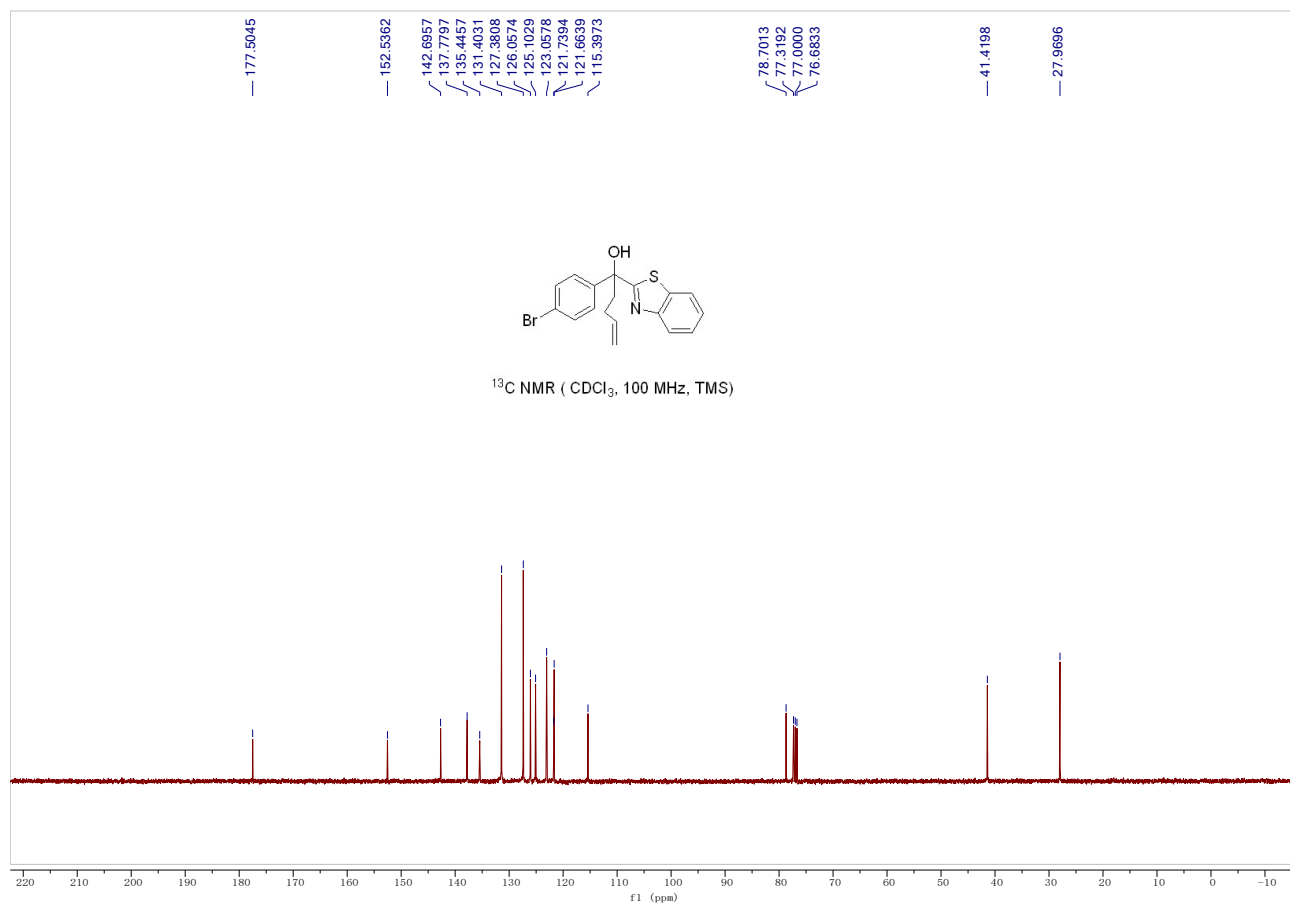
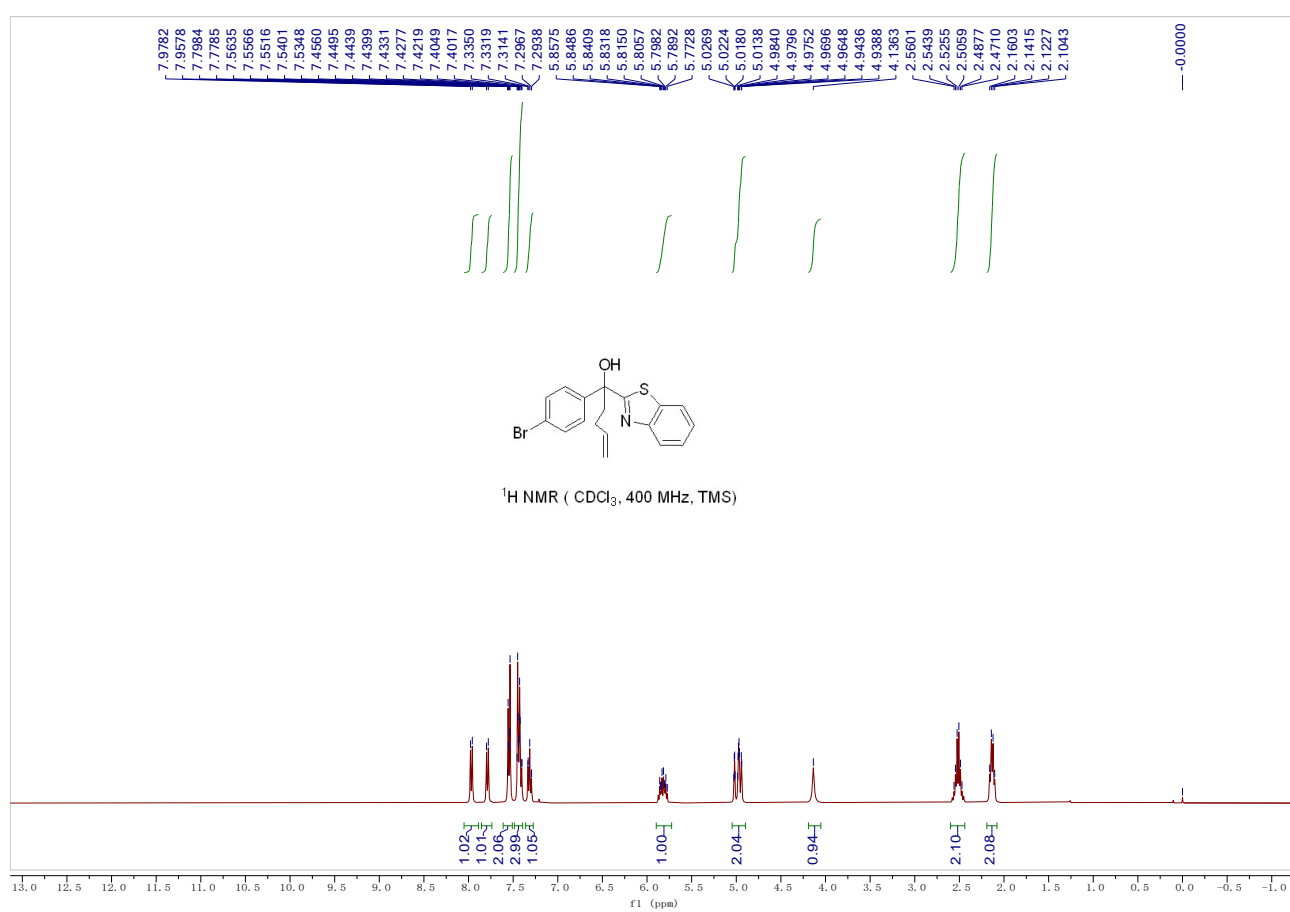


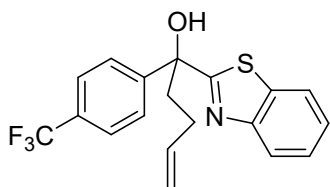
Compound 1f: Yield: 194.1 mg, 59%; A white solid; This is a known compound and its spectroscopic data are consistent with those reported in the literature;¹ ¹H NMR (400 MHz, CDCl₃) δ 7.97 (d, *J* = 8.1 Hz, 1H), 7.79 (d, *J* = 8.1 Hz, 1H), 7.65 – 7.56 (m, 2H), 7.46 – 7.38 (m, 1H), 7.36 – 7.24 (m, 3H), 5.92 – 5.75 (m, 1H), 5.07 – 4.89 (m, 2H), 4.16 (br, 1H), 2.60 – 2.43 (m, 2H), 2.21 – 2.07 (m, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 177.6, 152.6, 142.2, 137.8, 135.5, 133.5, 128.4, 127.0, 126.0, 125.1, 123.1, 121.7, 115.4, 78.7, 41.5, 28.0.



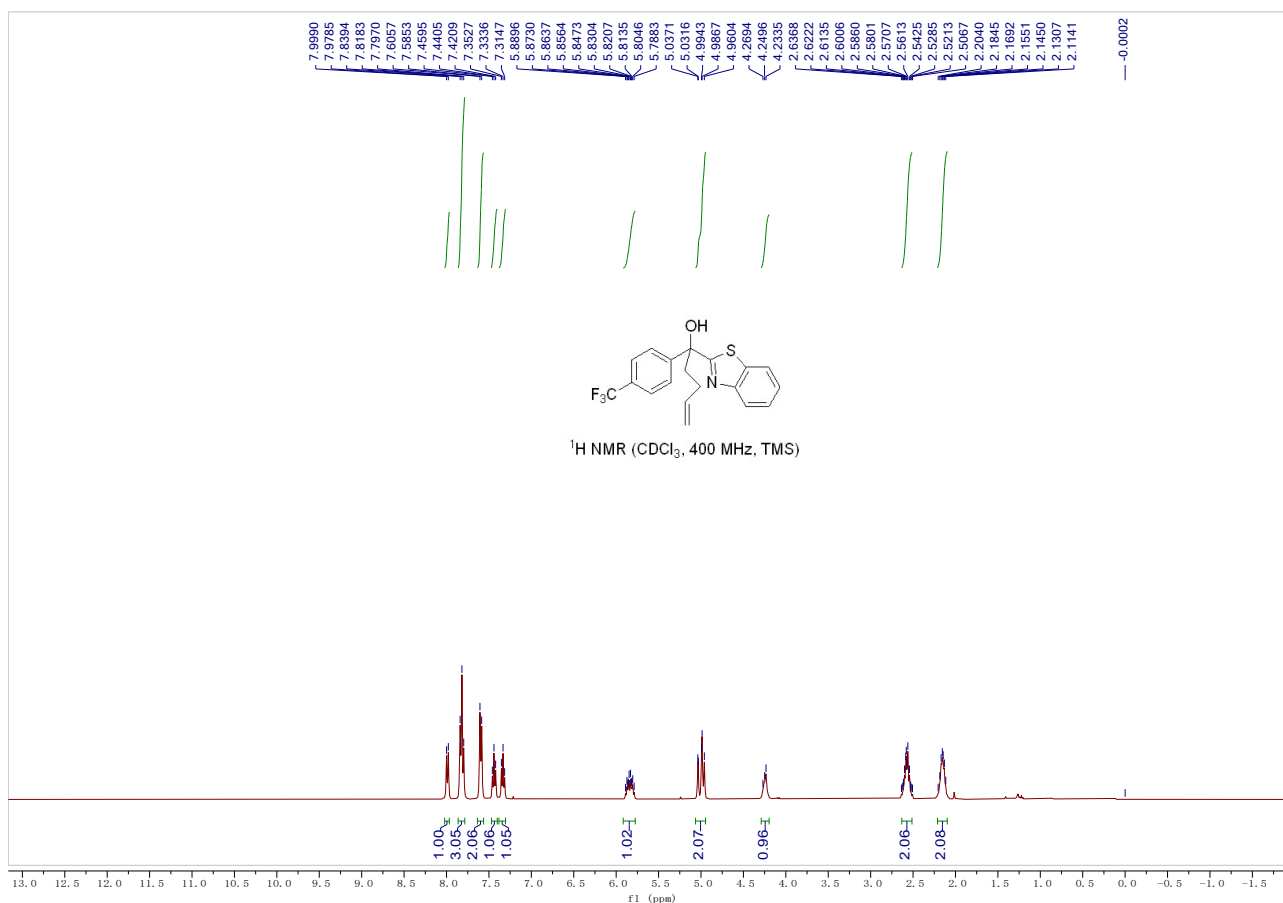


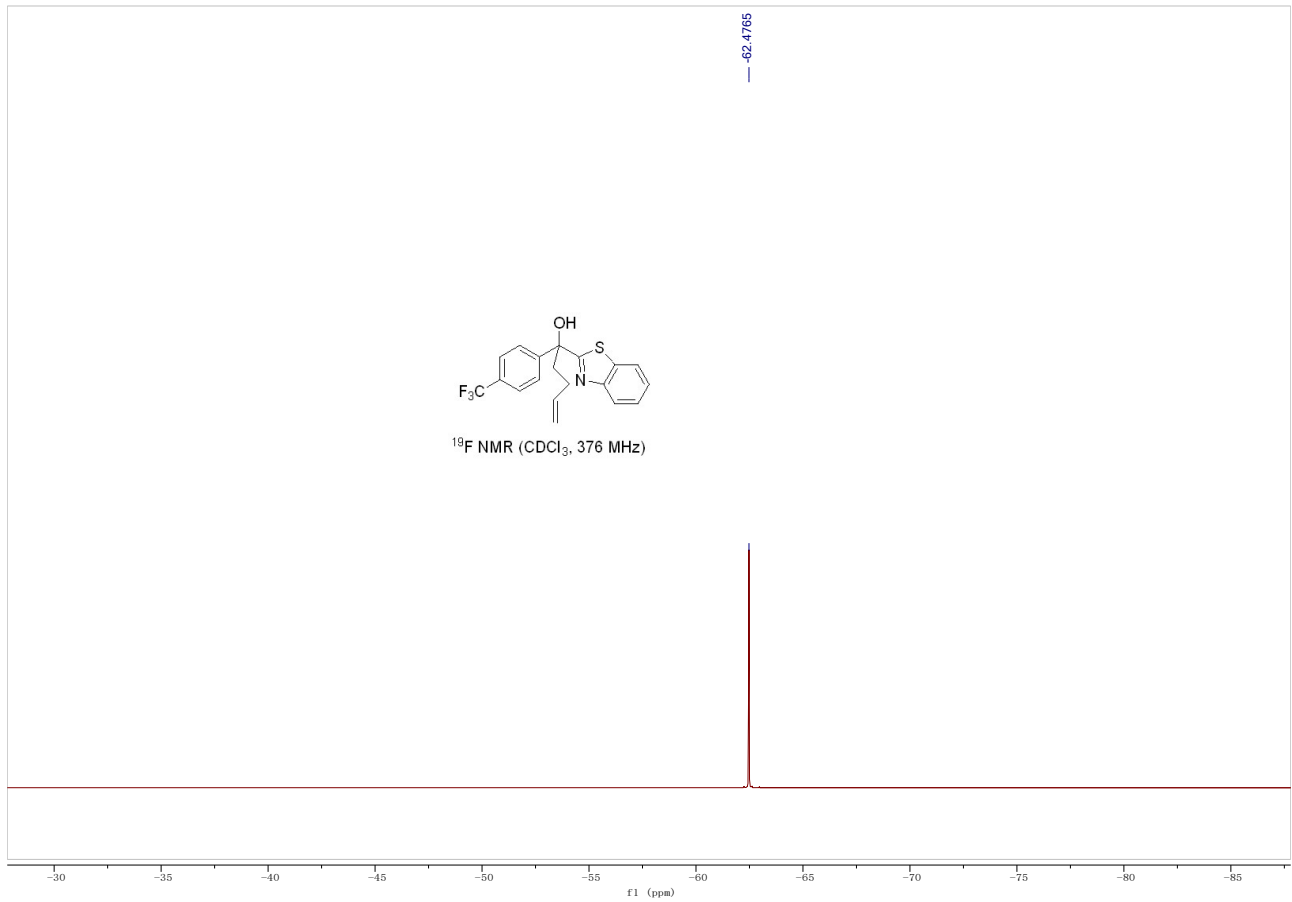
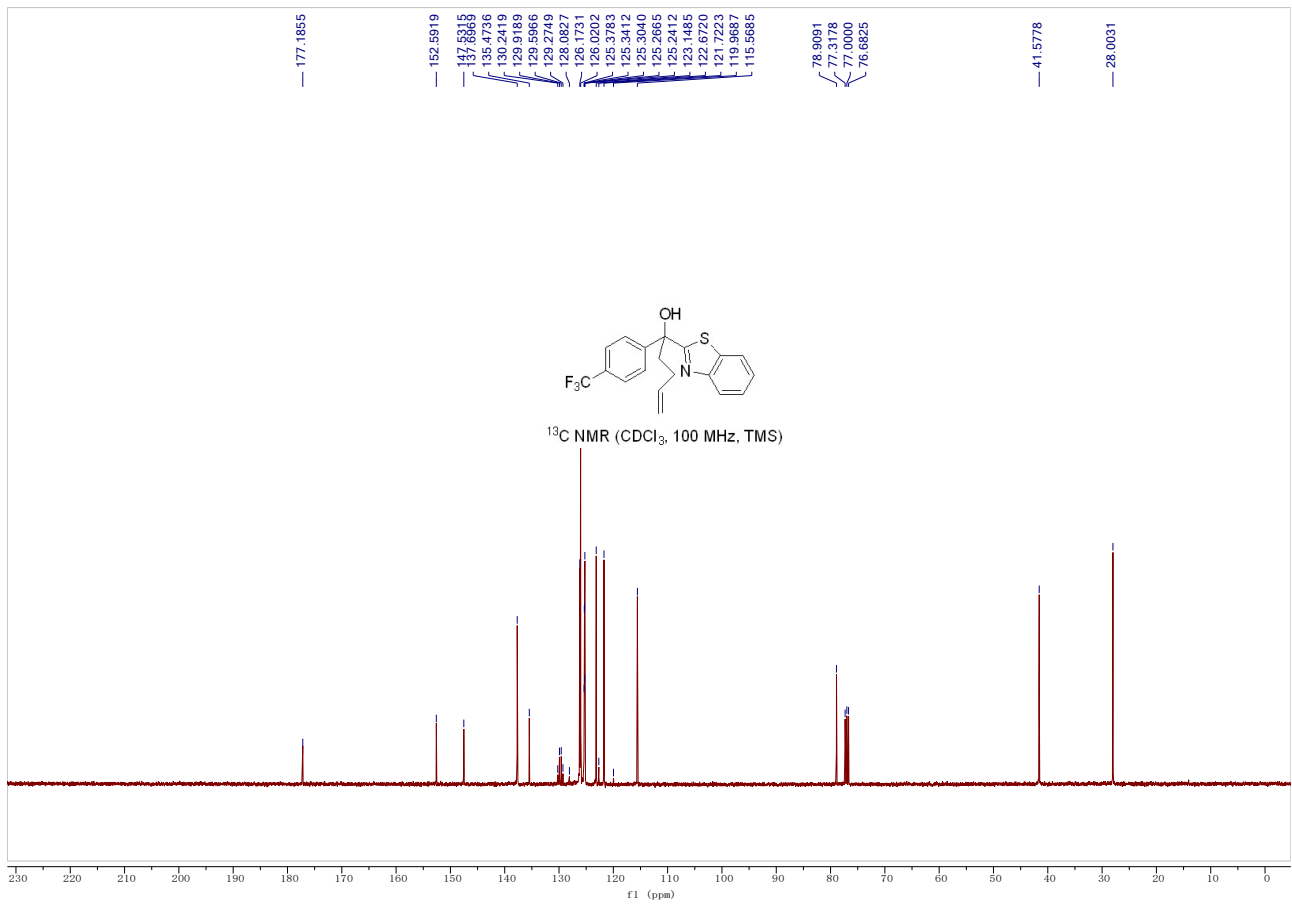
Compound 1g: Yield: 309.6 mg, 83%; A white solid; This is a known compound and its spectroscopic data are consistent with those reported in the literature;¹ ¹H NMR (400 MHz, CDCl₃) δ 7.97 (d, *J* = 8.0 Hz, 1H), 7.79 (d, *J* = 8.0 Hz, 1H), 7.61 – 7.51 (m, 2H), 7.49 – 7.39 (m, 3H), 7.36 – 7.28 (m, 1H), 5.90 – 5.72 (m, 1H), 5.05 – 4.90 (m, 2H), 4.14 (s, 1H), 2.60 – 2.44 (m, 2H), 2.19 – 2.08 (m, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 177.5, 152.5, 142.7, 137.8, 135.4, 131.4, 127.4, 126.1, 125.1, 123.1, 121.7, 121.7, 115.4, 78.7, 41.4, 28.0.

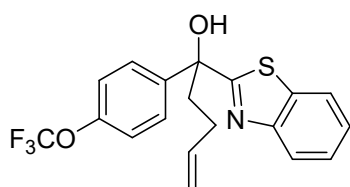




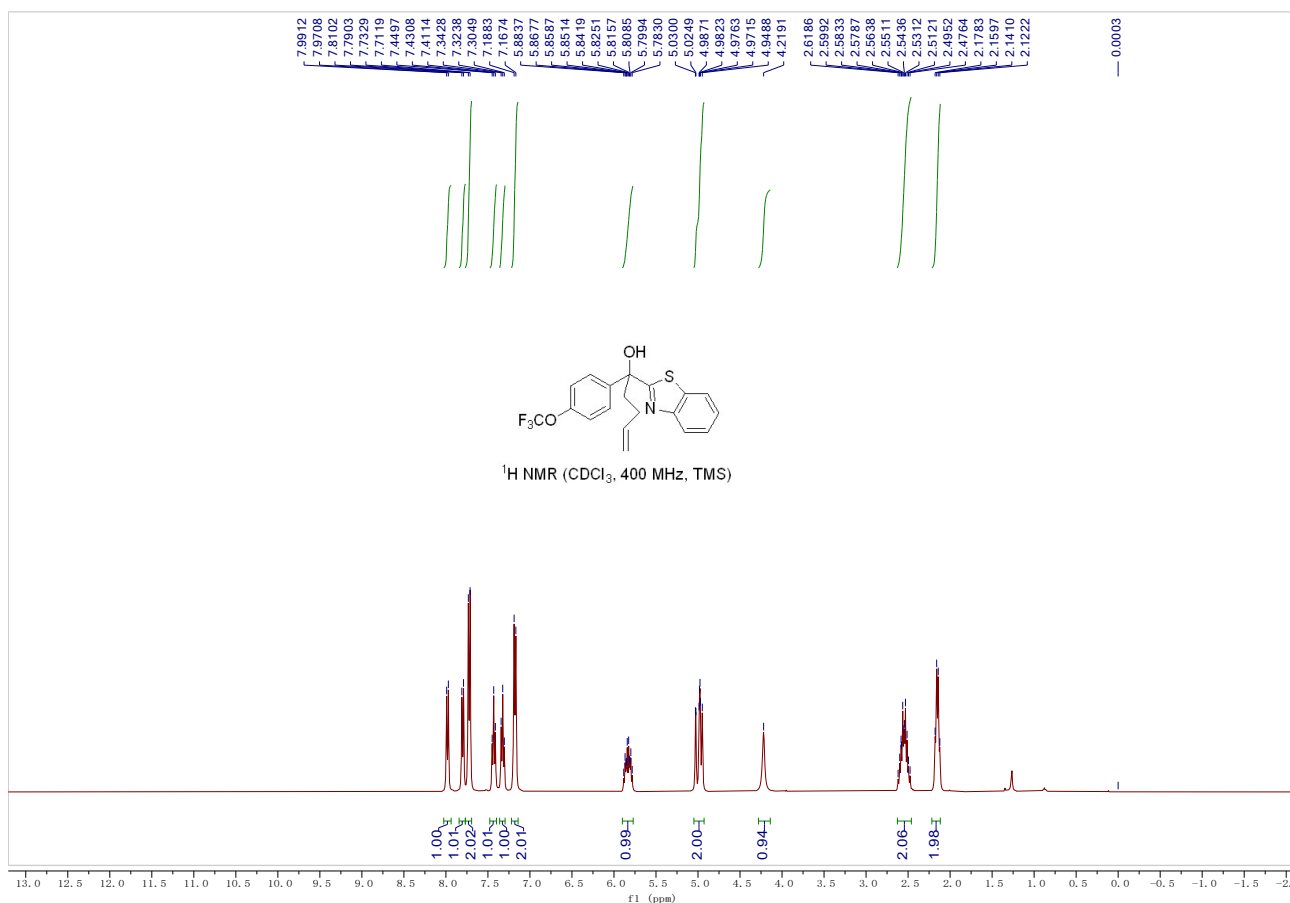
Compound 1h: Yield: 279.5 mg, 77%; A white solid; This is a known compound and its spectroscopic data are consistent with those reported in the literature; ^1H NMR (400 MHz, CDCl_3) δ 7.99 (d, $J = 8.1$ Hz, 1H), 7.82 (t, $J = 8.5$ Hz, 3H), 7.60 (d, $J = 8.1$ Hz, 2H), 7.44 (t, $J = 7.7$ Hz, 1H), 7.33 (t, $J = 7.7$ Hz, 1H), 5.92 – 5.78 (m, 1H), 5.06 – 4.95 (m, 2H), 4.23 (br, 1H), 2.63 – 2.51 (m, 2H), 2.21 – 2.10 (m, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 177.2, 152.6, 147.5, 137.7, 135.5, 129.8 (q, $J = 32.2$ Hz), 126.2, 126.0, 125.3 (q, $J = 3.7$ Hz), 125.2, 124.0 (q, $J = 270.4$ Hz), 123.1, 121.7, 115.6, 78.9, 41.6, 28.0; ^{19}F NMR (376 MHz, CDCl_3) δ -62.5.

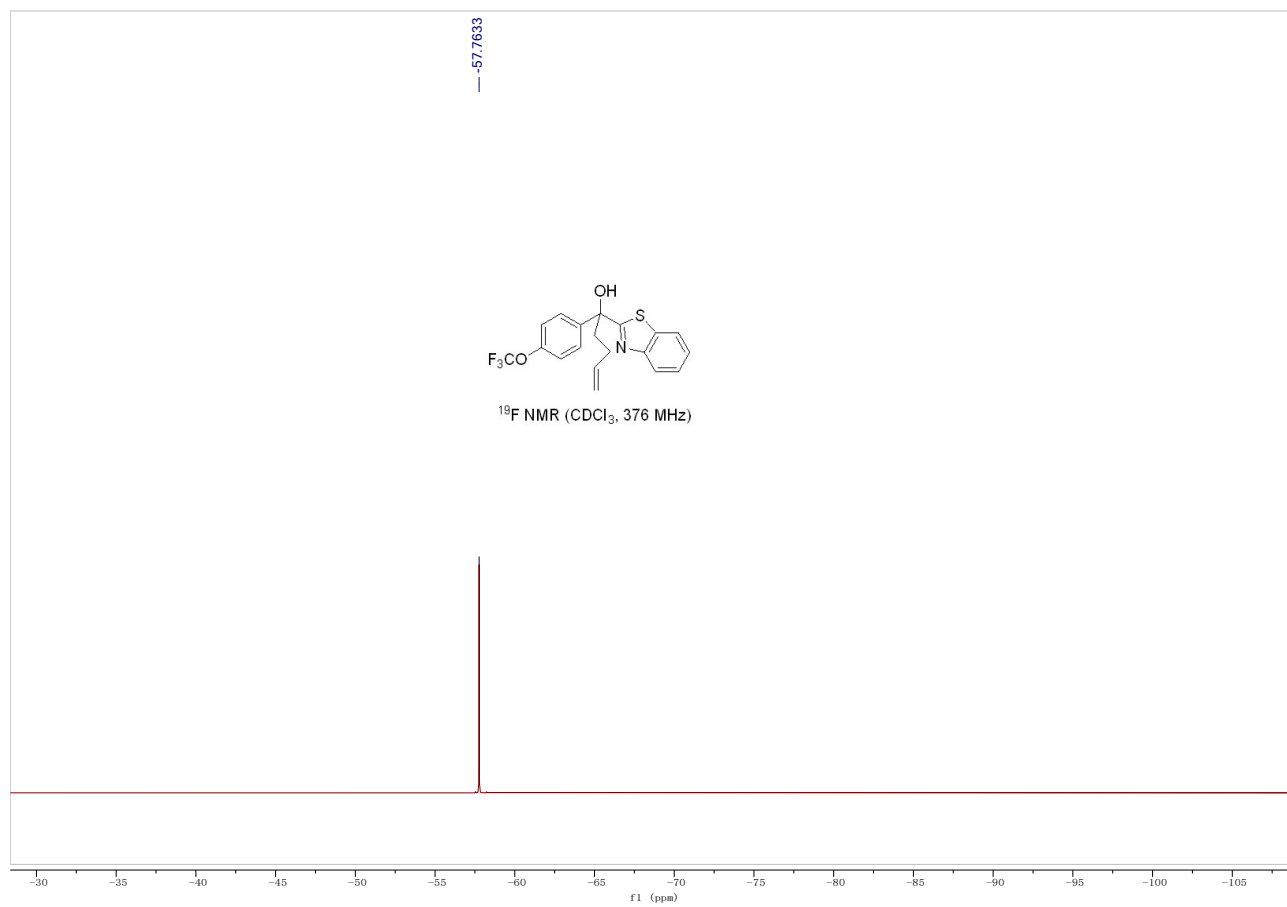
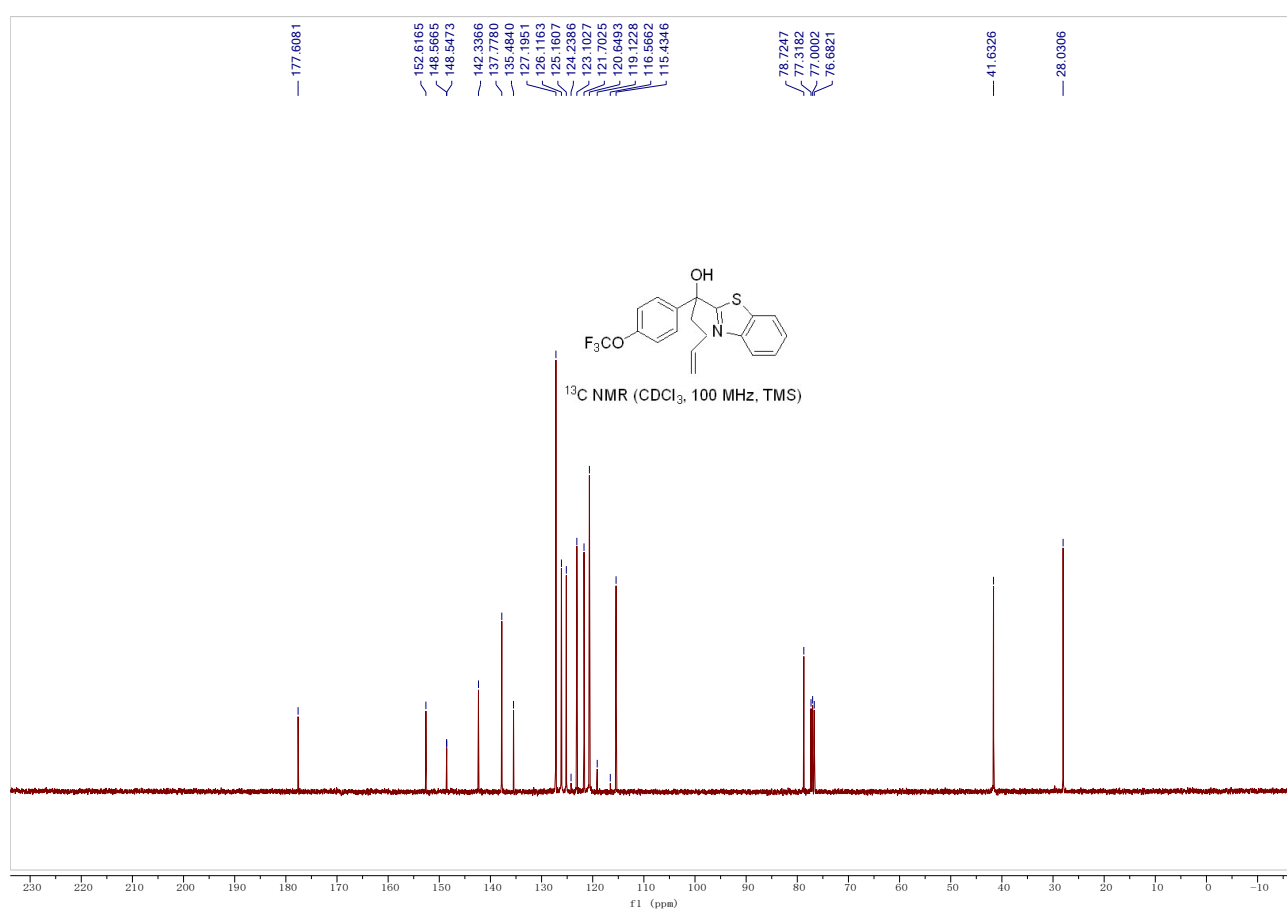


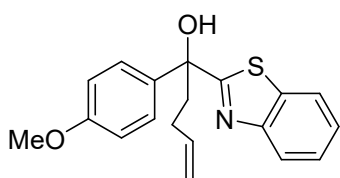




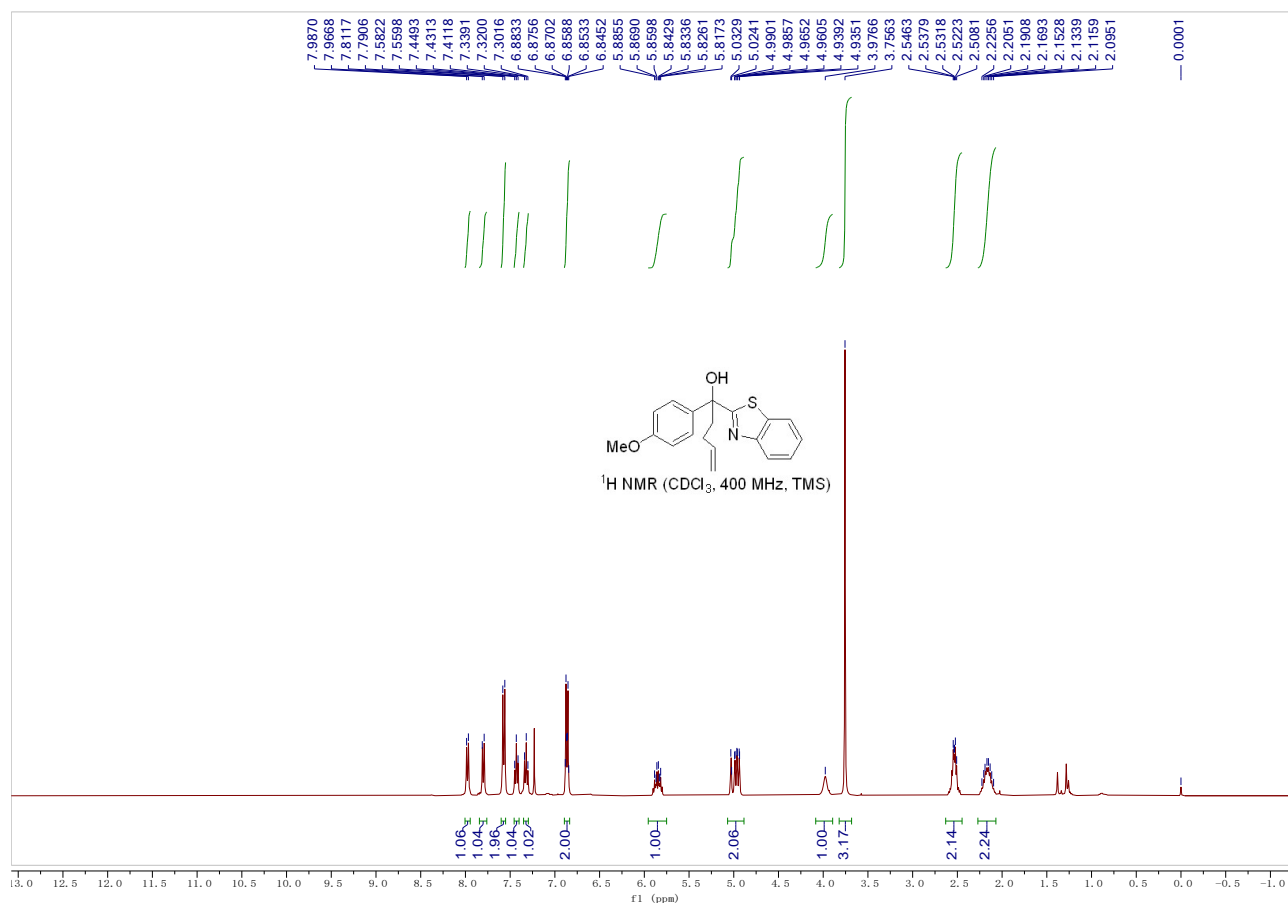
Compound 1i: Yield: 295.6 mg, 78%; A white solid; This is a known compound and its spectroscopic data are consistent with those reported in the literature;¹ ¹H NMR (400 MHz, CDCl₃) δ 7.98 (d, *J* = 8.0 Hz, 1H), 7.80 (d, *J* = 8.0 Hz, 1H), 7.72 (d, *J* = 8.4 Hz, 2H), 7.43 (t, *J* = 7.6 Hz, 1H), 7.32 (t, *J* = 7.6 Hz, 1H), 7.18 (d, *J* = 8.4 Hz, 2H), 5.90 – 5.77 (m, 1H), 5.05 – 4.93 (m, 2H), 4.22 (br, 1H), 2.63 – 2.46 (m, 2H), 2.22 – 2.12 (m, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 177.6, 152.6, 148.6, 148.5, 142.3, 137.8, 135.5, 127.2, 126.1, 125.2, 123.1, 121.7, 120.6, 120.4 (q, *J* = 255.5 Hz), 115.4, 78.7, 41.6, 28.0; ¹⁹F NMR (376 MHz, CDCl₃) δ -57.8.

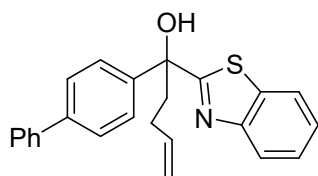
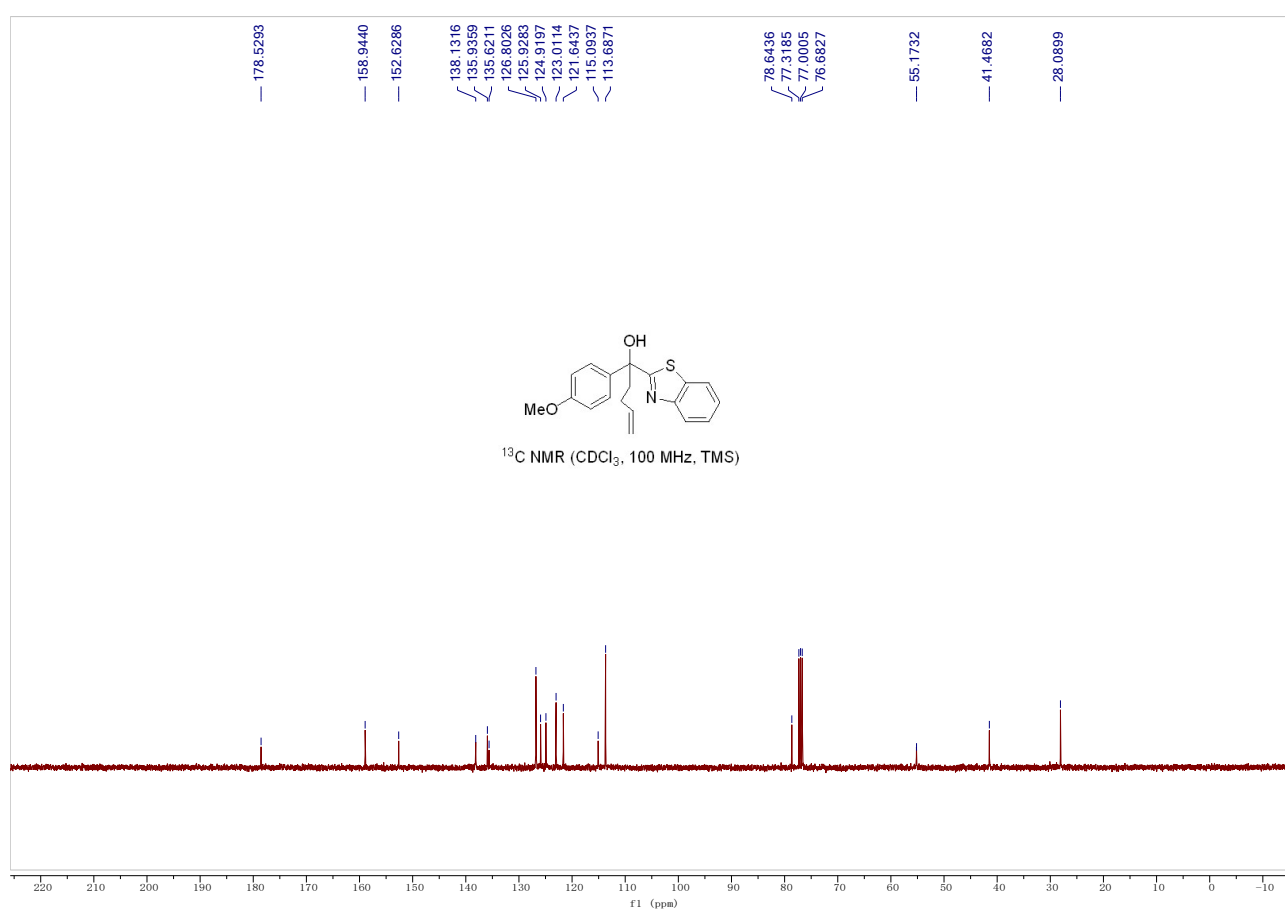




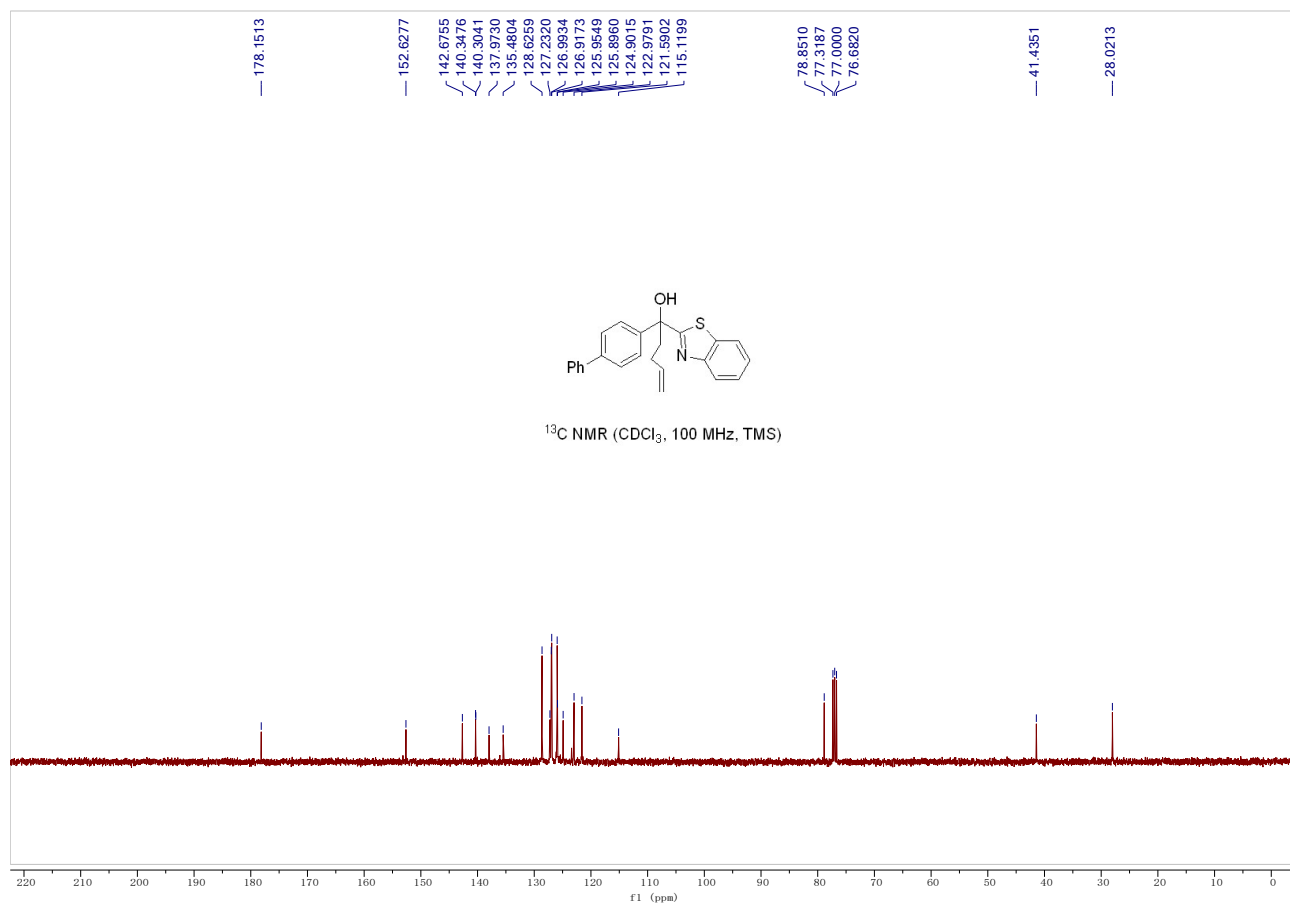
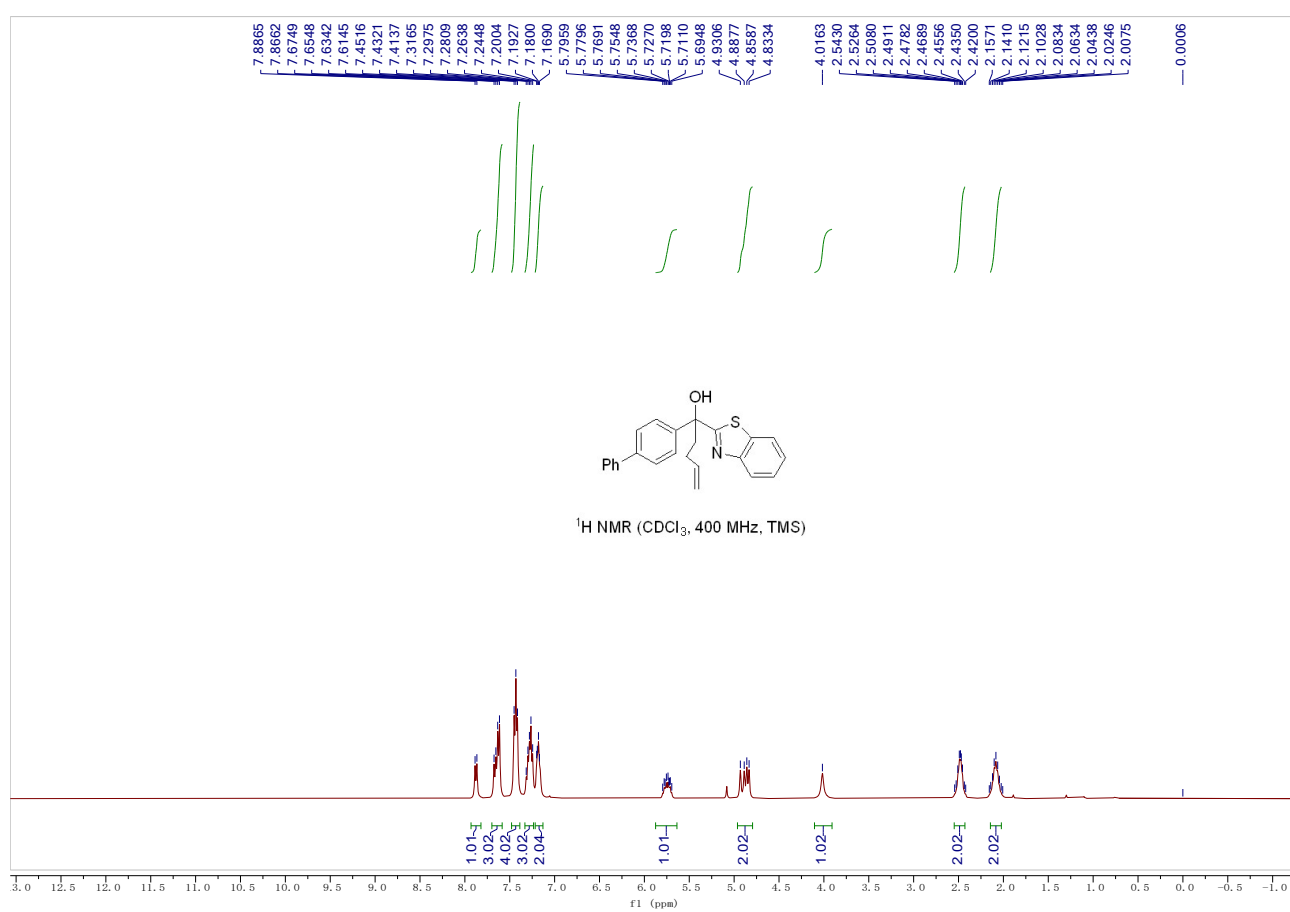


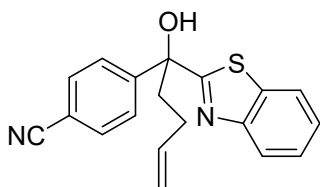
Compound 1j: Yield: 250.3 mg, 77%; A white solid; This is a known compound and its spectroscopic data are consistent with those reported in the literature; ^1H NMR (400 MHz, CDCl_3) δ 7.98 (d, $J = 8.1$ Hz, 1H), 7.80 (d, $J = 8.1$ Hz, 1H), 7.57 (d, $J = 8.9$ Hz, 2H), 7.43 (t, $J = 7.5$ Hz, 1H), 7.32 (t, $J = 7.5$ Hz, 1H), 6.89 – 6.83 (m, 2H), 5.96 – 5.75 (m, 1H), 5.07 – 4.89 (m, 2H), 3.98 (br, 1H), 3.76 (s, 3H), 2.63 – 2.45 (m, 2H), 2.27 – 2.07 (m, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 178.5, 158.9, 152.6, 138.1, 135.9, 135.6, 126.8, 125.9, 124.9, 123.0, 121.6, 115.1, 113.7, 78.6, 55.2, 41.5, 28.1.



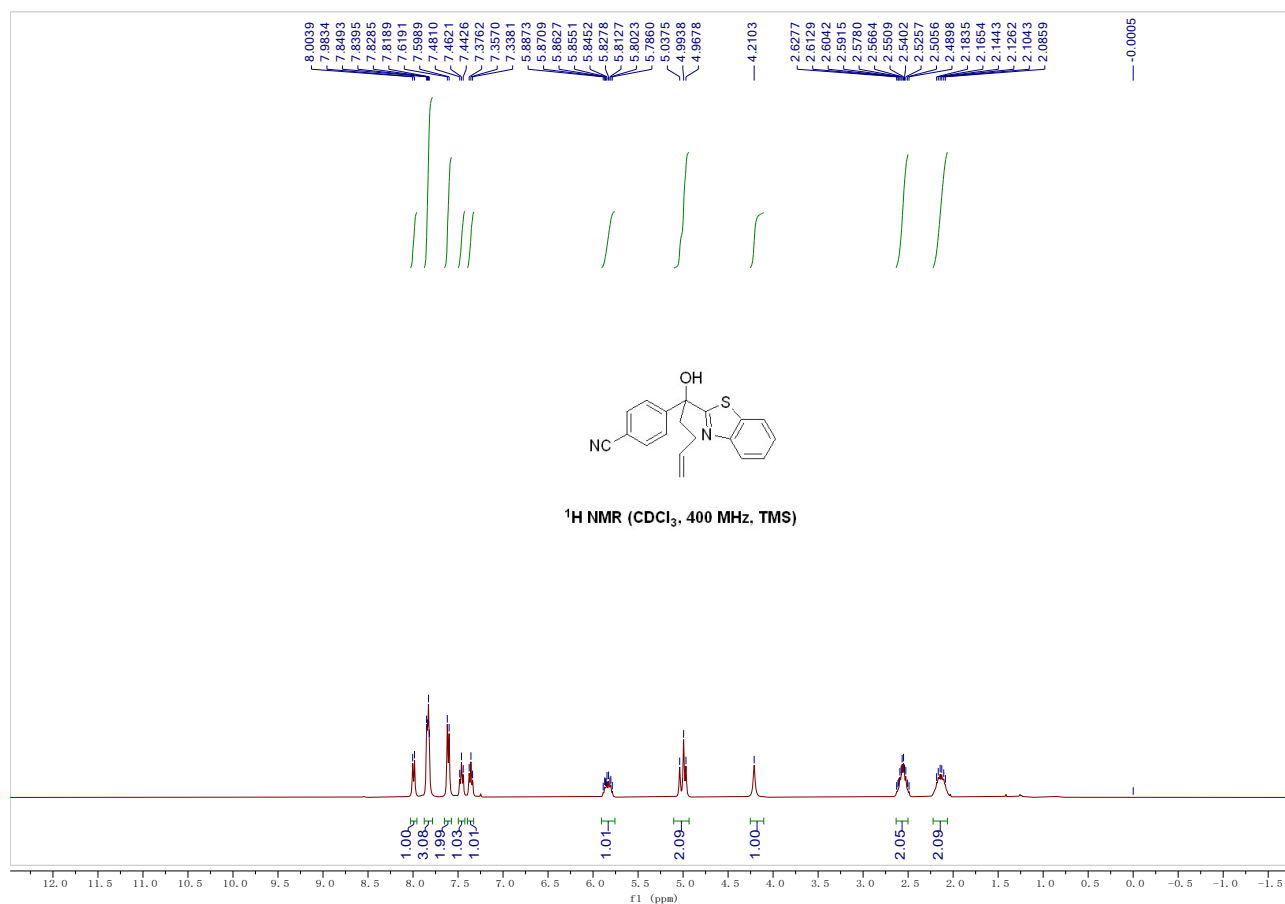


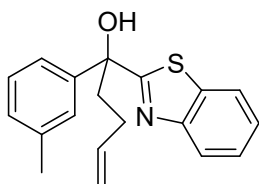
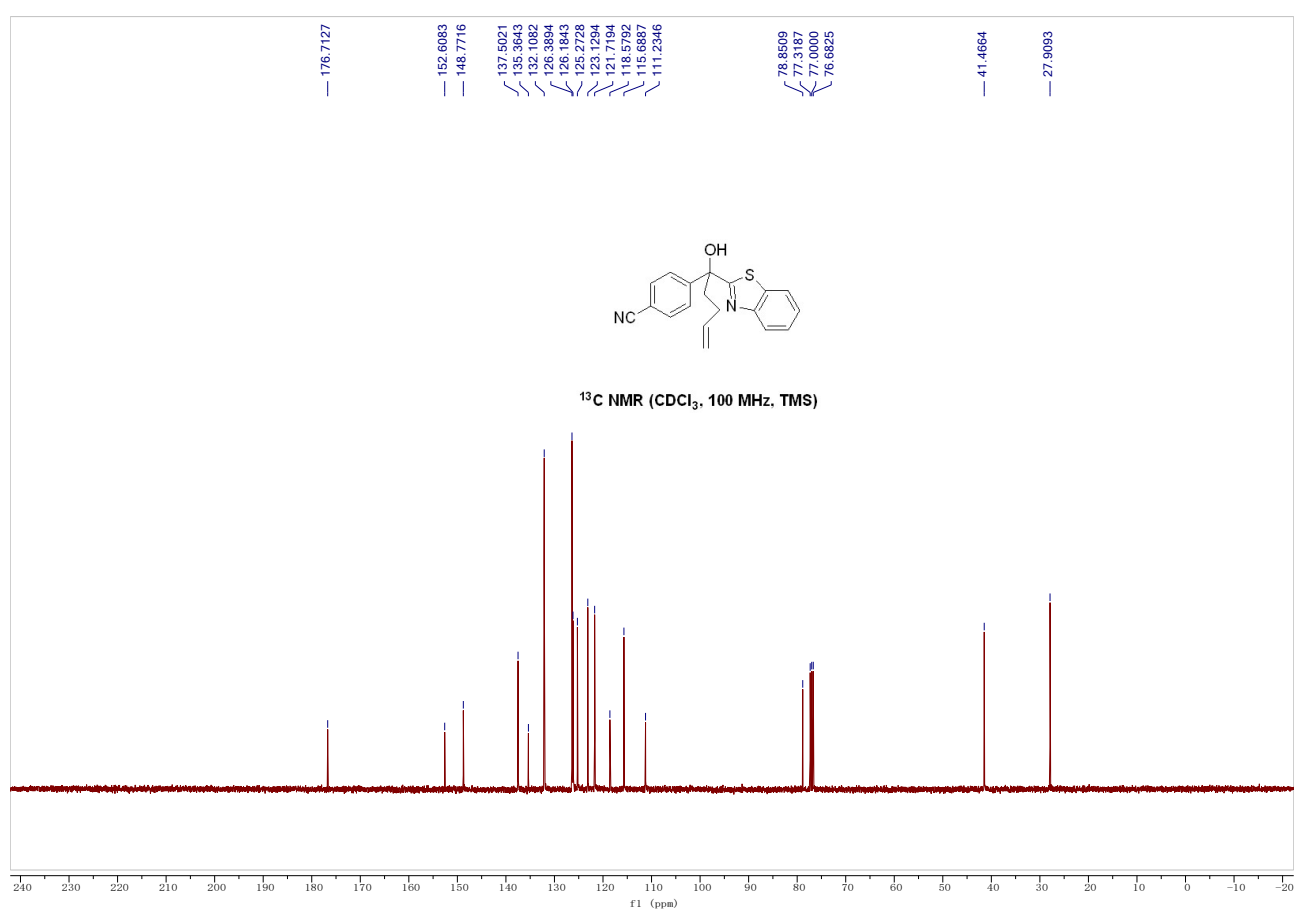
Compound 1k: Yield: 326.5 mg, 88%; A white solid; This is a known compound and its spectroscopic data are consistent with those reported in the literature;¹ ¹H NMR (400 MHz, CDCl₃) δ 7.88 (d, *J* = 8.1 Hz, 1H), 7.70 – 7.58 (m, 3H), 7.48 – 7.39 (m, 4H), 7.33 – 7.23 (m, 3H), 7.22 – 7.13 (m, 2H), 5.87 – 5.64 (m, 1H), 4.97 – 4.81 (m, 2H), 4.02 (s, 1H), 2.55 – 2.43 (m, 2H), 2.14 – 2.02 (m, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 178.2, 152.6, 142.7, 140.3, 140.3, 138.0, 135.5, 128.6, 127.2, 127.0, 126.9, 126.0, 125.9, 124.9, 123.0, 121.6, 115.1, 78.9, 41.4, 28.0.



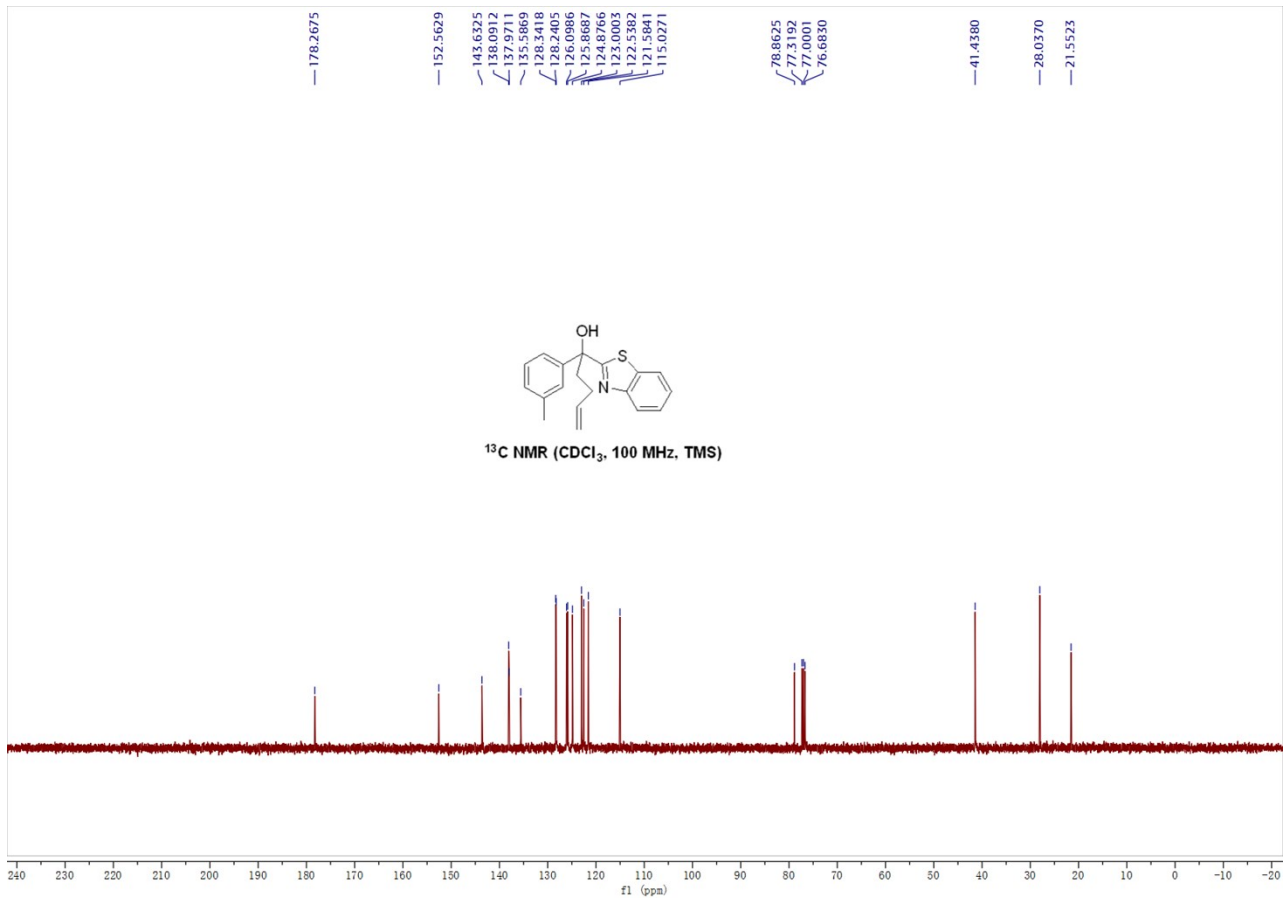
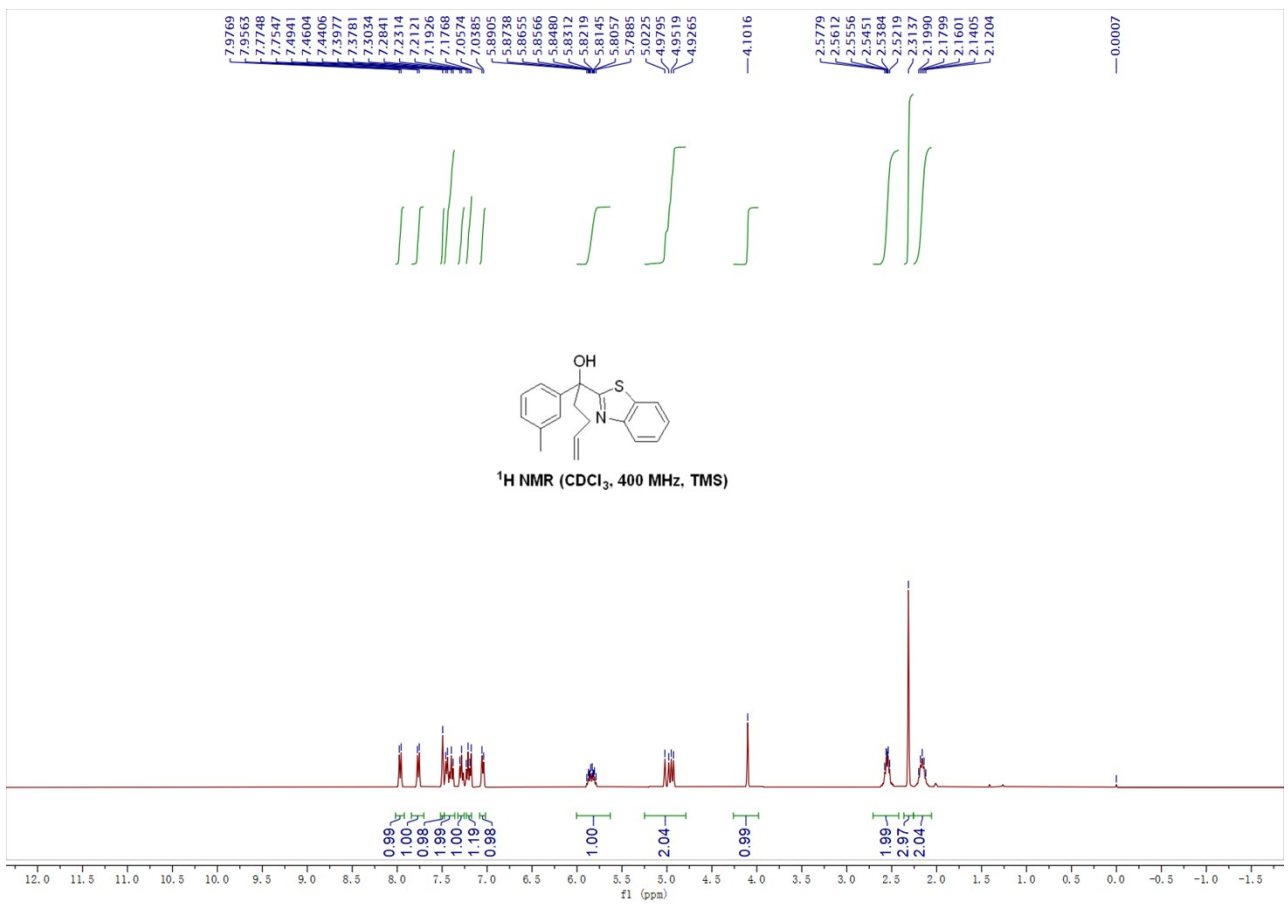


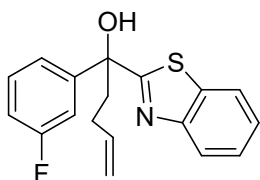
Compound 11: Yield: 156.8 mg, 49%; A white solid; This is a known compound and its spectroscopic data are consistent with those reported in the literature;¹ ¹H NMR (400 MHz, CDCl₃) δ 7.99 (d, *J* = 8.2 Hz, 1H), 7.88 – 7.78 (m, 3H), 7.61 (d, *J* = 8.2 Hz, 2H), 7.46 (t, *J* = 7.7 Hz, 1H), 7.36 (t, *J* = 7.7 Hz, 1H), 5.91 – 5.76 (m, 1H), 5.10 – 4.93 (m, 2H), 4.21 (s, 1H), 2.63 – 2.50 (m, 2H), 2.22 – 2.06 (m, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 176.7, 152.6, 148.8, 137.5, 135.4, 132.1, 126.4, 126.2, 125.3, 123.1, 121.7, 118.6, 115.7, 111.2, 78.9, 41.5, 27.9.



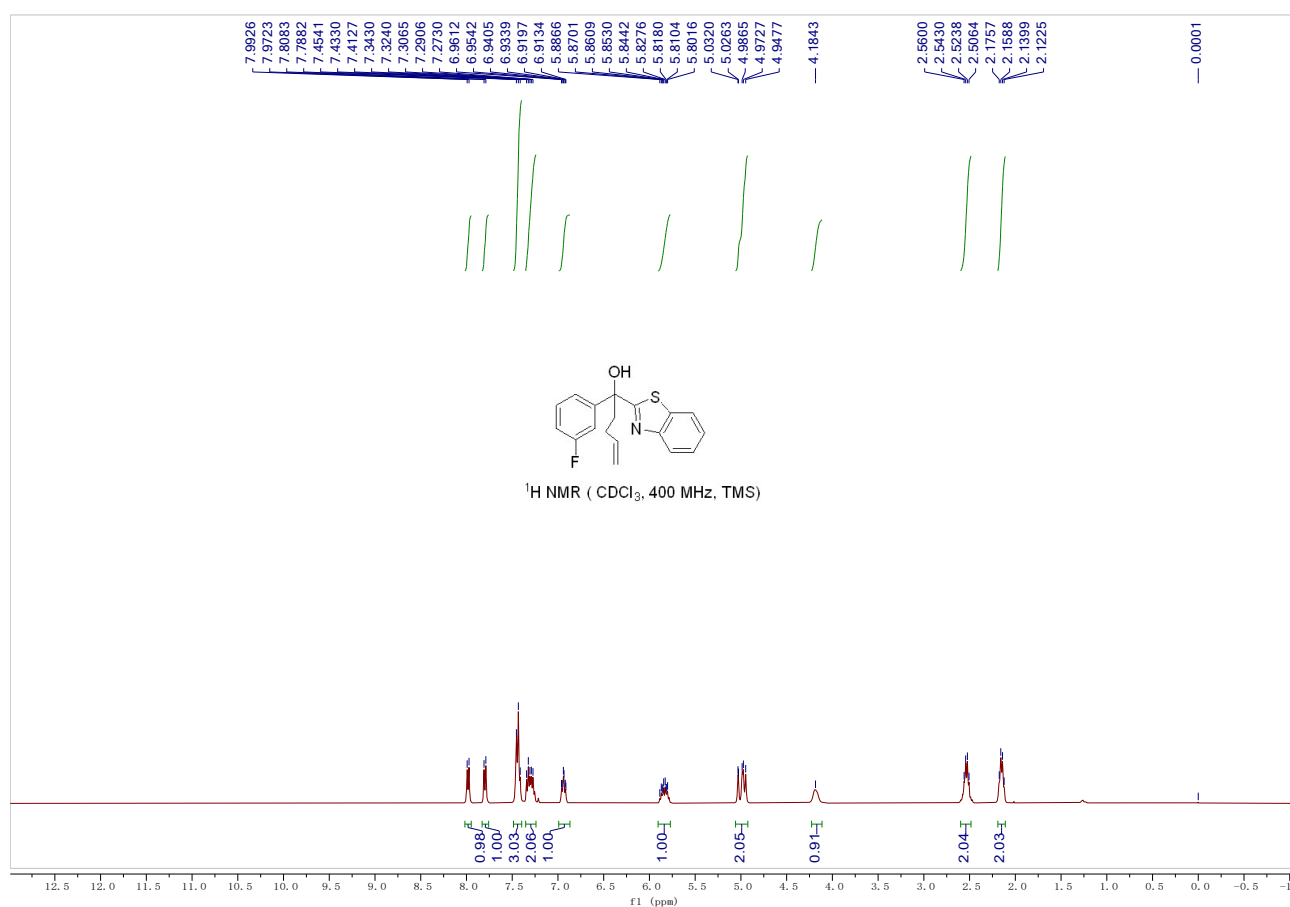


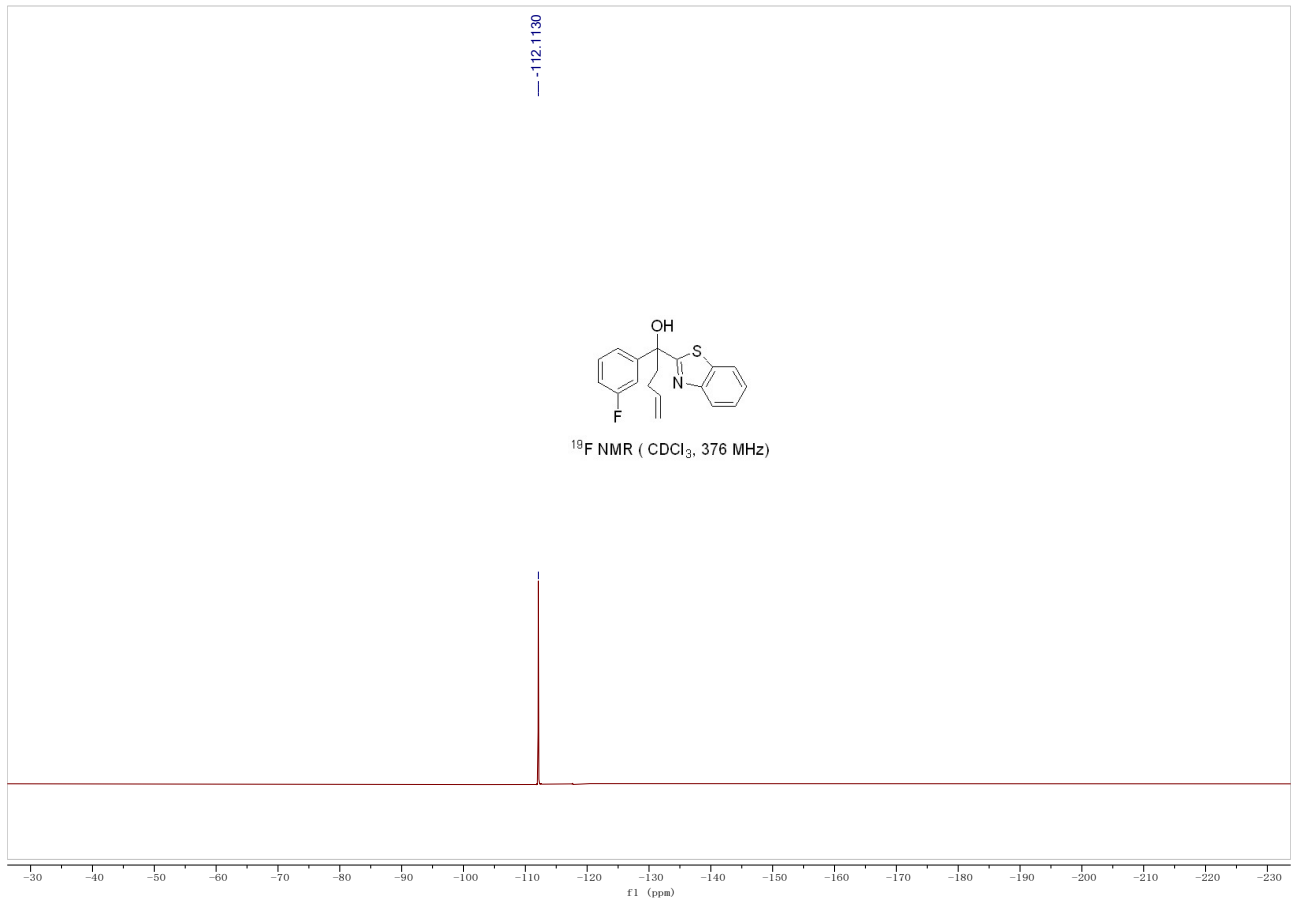
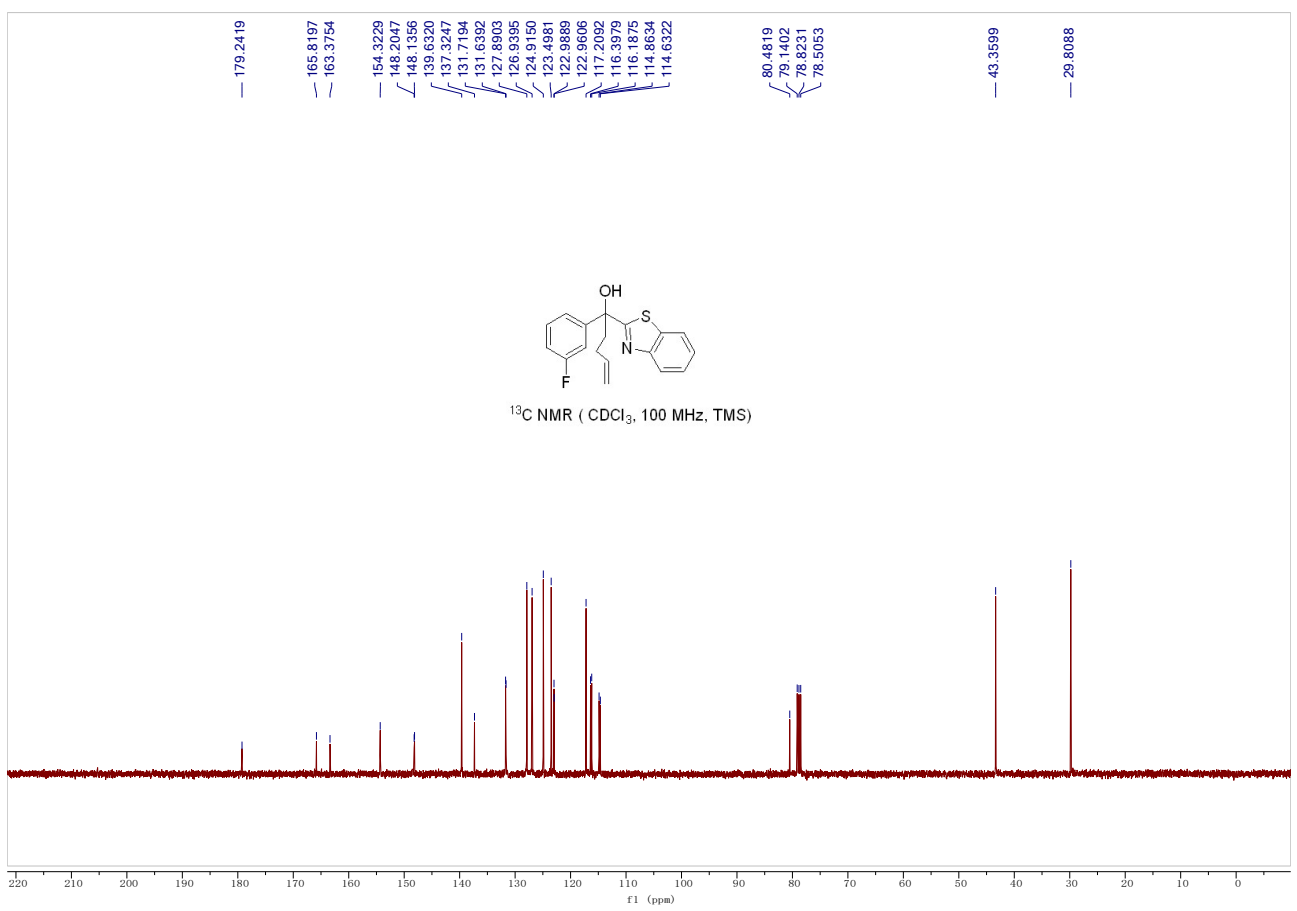
Compound 1m: Yield: 228.7 mg, 74%; A white solid; This is a known compound and its spectroscopic data are consistent with those reported in the literature;¹ ¹H NMR (400 MHz, CDCl₃) δ 7.97 (d, *J* = 8.1 Hz, 1H), 7.76 (d, *J* = 8.1 Hz, 1H), 7.52 – 7.48 (m, 1H), 7.48 – 7.36 (m, 2H), 7.33 – 7.26 (m, 1H), 7.23 – 7.17 (m, 1H), 7.05 (d, *J* = 7.5 Hz, 1H), 6.00 – 5.63 (m, 1H), 5.25 – 4.79 (m, 2H), 4.10 (br, 1H), 2.71 – 2.42 (m, 2H), 2.31 (s, 3H), 2.26 – 2.06 (m, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 178.3, 152.6, 143.6, 138.1, 138.0, 135.6, 128.3, 128.2, 126.1, 125.9, 124.9, 123.0, 122.5, 121.6, 115.0, 78.9, 41.4, 28.0, 21.6.

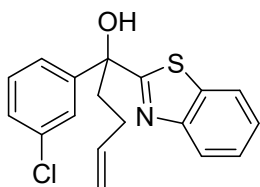




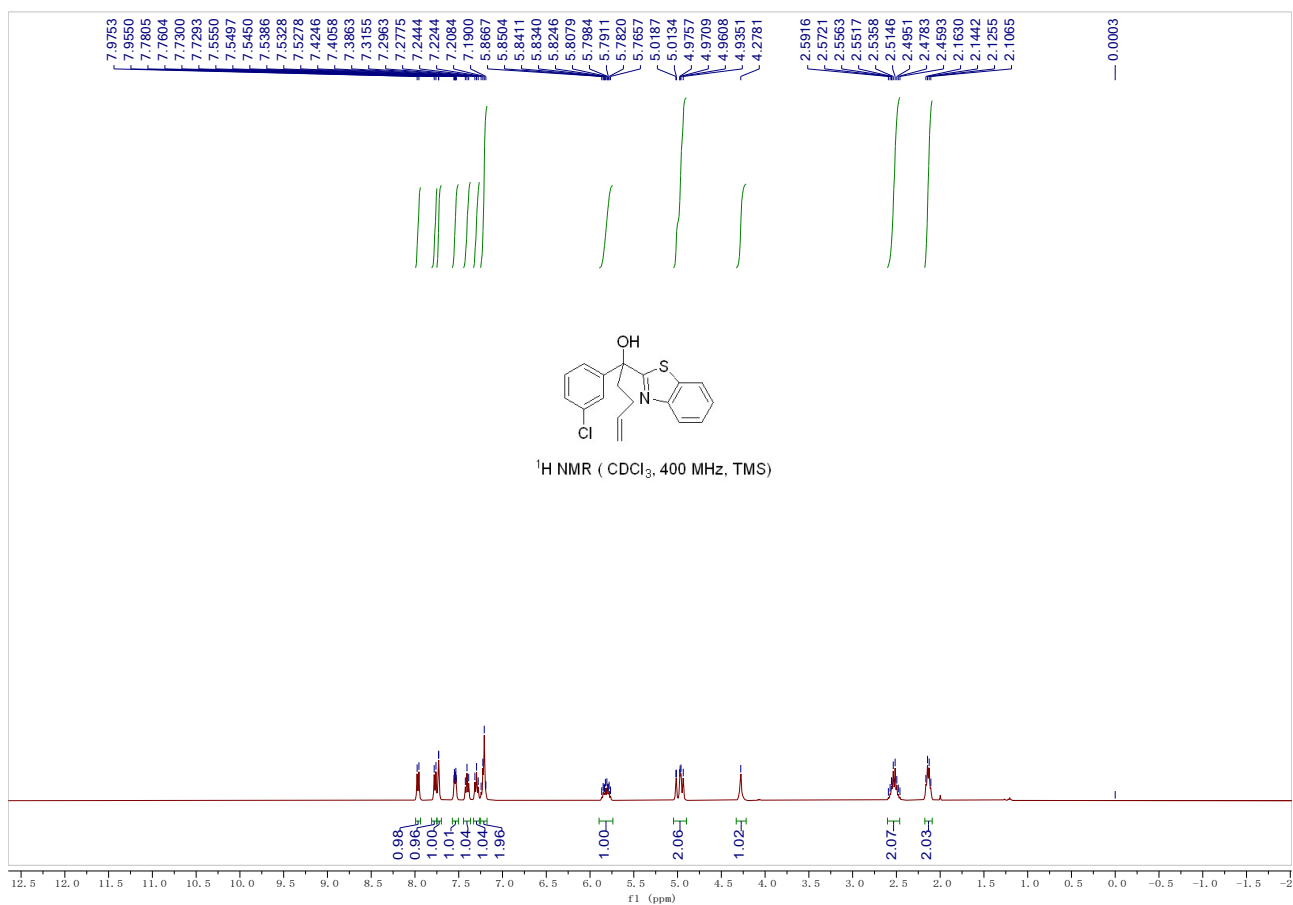
Compound 1n: Yield: 241.0 mg, 77%; A white solid; Mp: 86 - 88 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, $R_f = 0.3$); $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.98 (d, $J = 8.1$ Hz, 1H), 7.80 (d, $J = 8.1$ Hz, 1H), 7.44 (d, $J = 8.4$ Hz, 3H), 7.35 – 7.24 (m, 2H), 6.99 – 6.87 (m, 1H), 5.91 – 5.77 (m, 1H), 5.06 – 4.92 (m, 2H), 4.18 (br, 1H), 2.60 – 2.48 (m, 2H), 2.19 – 2.11 (m, 2H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ 177.4, 162.3 (d, $J = 244.4$ Hz), 152.5, 146.3 (d, $J = 6.9$ Hz), 137.8, 135.5, 129.8 (d, $J = 8.0$ Hz), 126.1, 125.1, 123.1, 121.7, 121.1 (d, $J = 2.9$ Hz), 115.4, 114.5 (d, $J = 22.1$ Hz), 112.9 (d, $J = 23.1$ Hz), 78.7, 41.5, 28.0; $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -112.1; IR (neat): ν 3444, 3073, 2912, 1588, 1436, 1239, 911, 858, 757, 728, 707 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{18}\text{H}_{17}\text{NOFS}$ $[\text{M}+\text{H}]^+$: 314.1009, found: 314.1004.

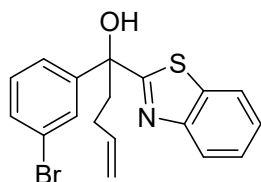
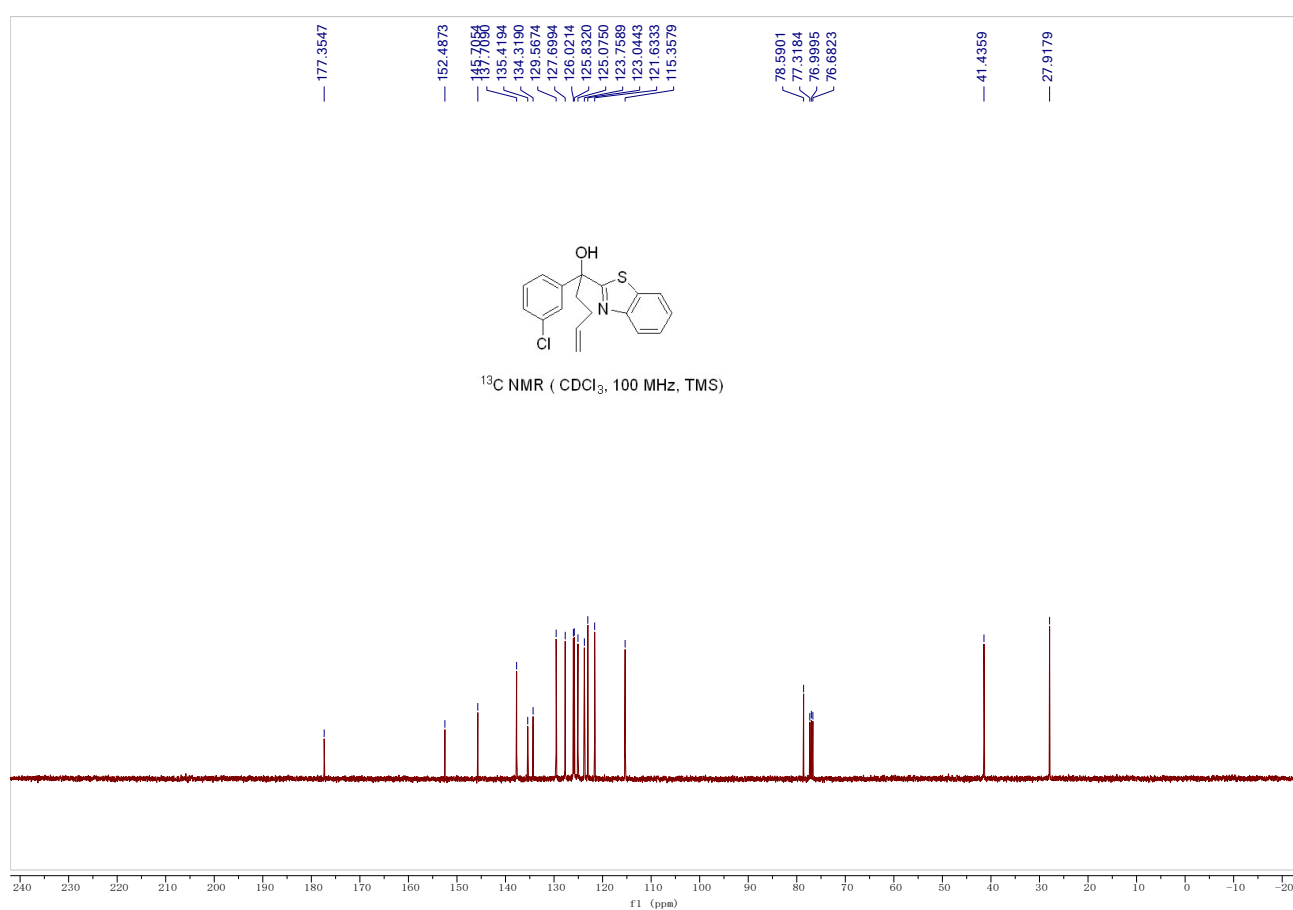




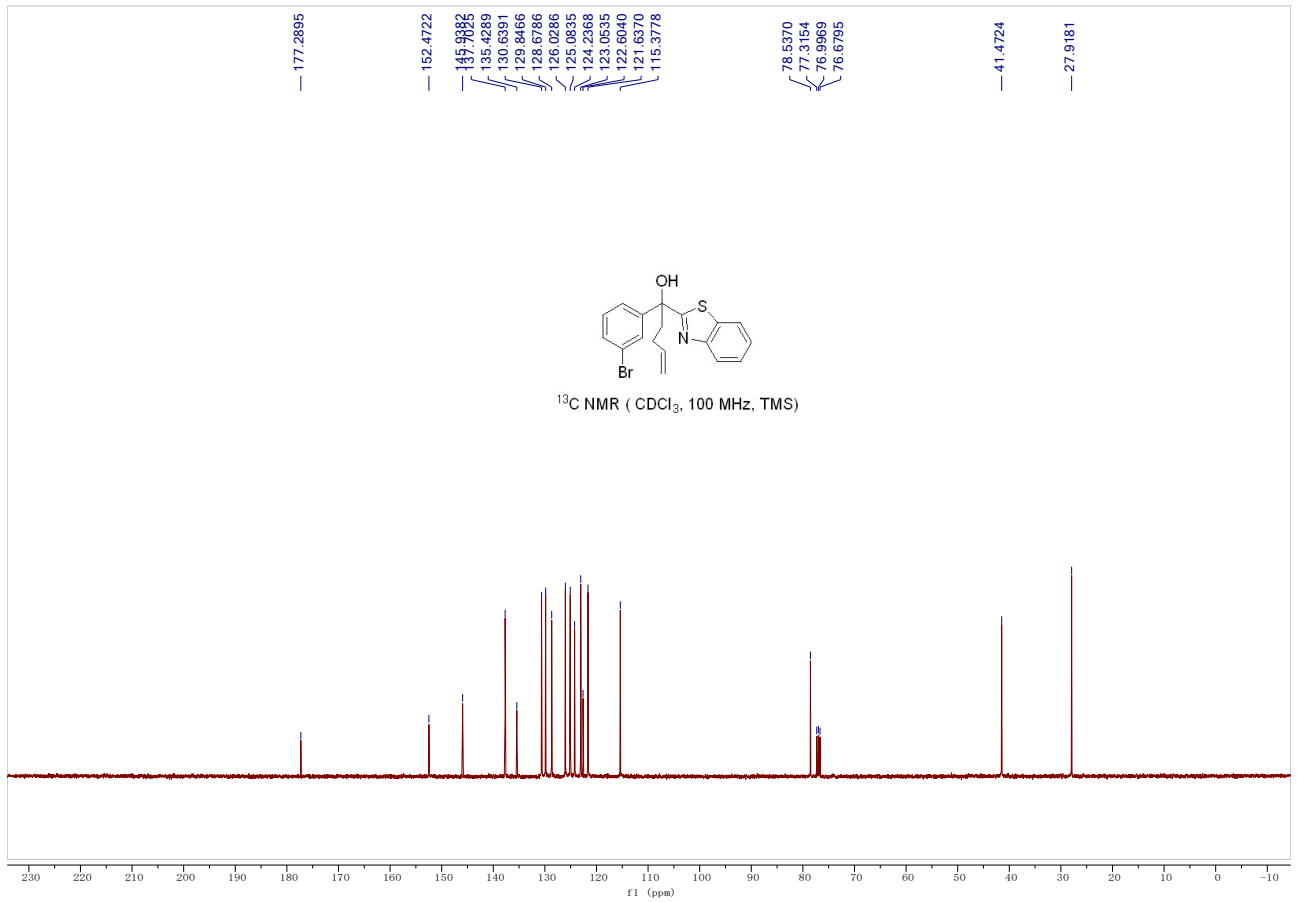
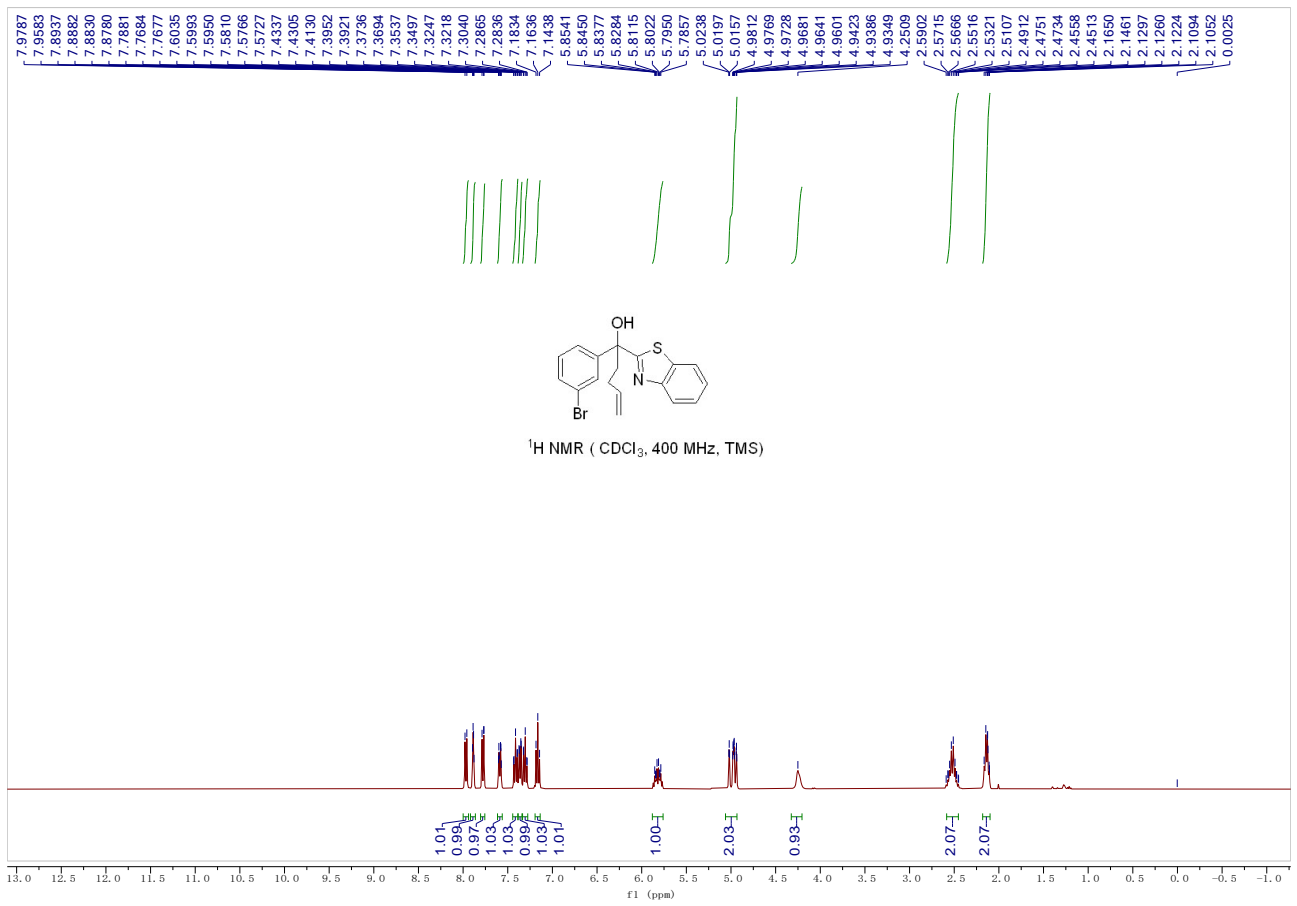


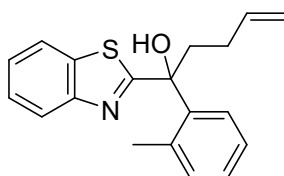
Compound 10: Yield: 256.6 mg, 78%; A white solid; This is a known compound and its spectroscopic data are consistent with those reported in the literature;² ¹H NMR (400 MHz, CDCl₃) δ 7.97 (d, *J* = 8.1 Hz, 1H), 7.77 (d, *J* = 8.1 Hz, 1H), 7.75 – 7.70 (m, 1H), 7.57 – 7.50 (m, 1H), 7.41 (t, *J* = 7.6 Hz, 1H), 7.30 (t, *J* = 7.6 Hz, 1H), 7.25 – 7.18 (m, 2H), 5.90 – 5.74 (m, 1H), 5.05 – 4.90 (m, 2H), 4.28 (s, 1H), 2.60 – 2.46 (m, 2H), 2.18 – 2.09 (m, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 177.4, 152.5, 145.7, 137.7, 135.4, 134.3, 129.6, 127.7, 126.0, 125.8, 125.1, 123.8, 123.0, 121.6, 115.4, 78.6, 41.4, 27.9.



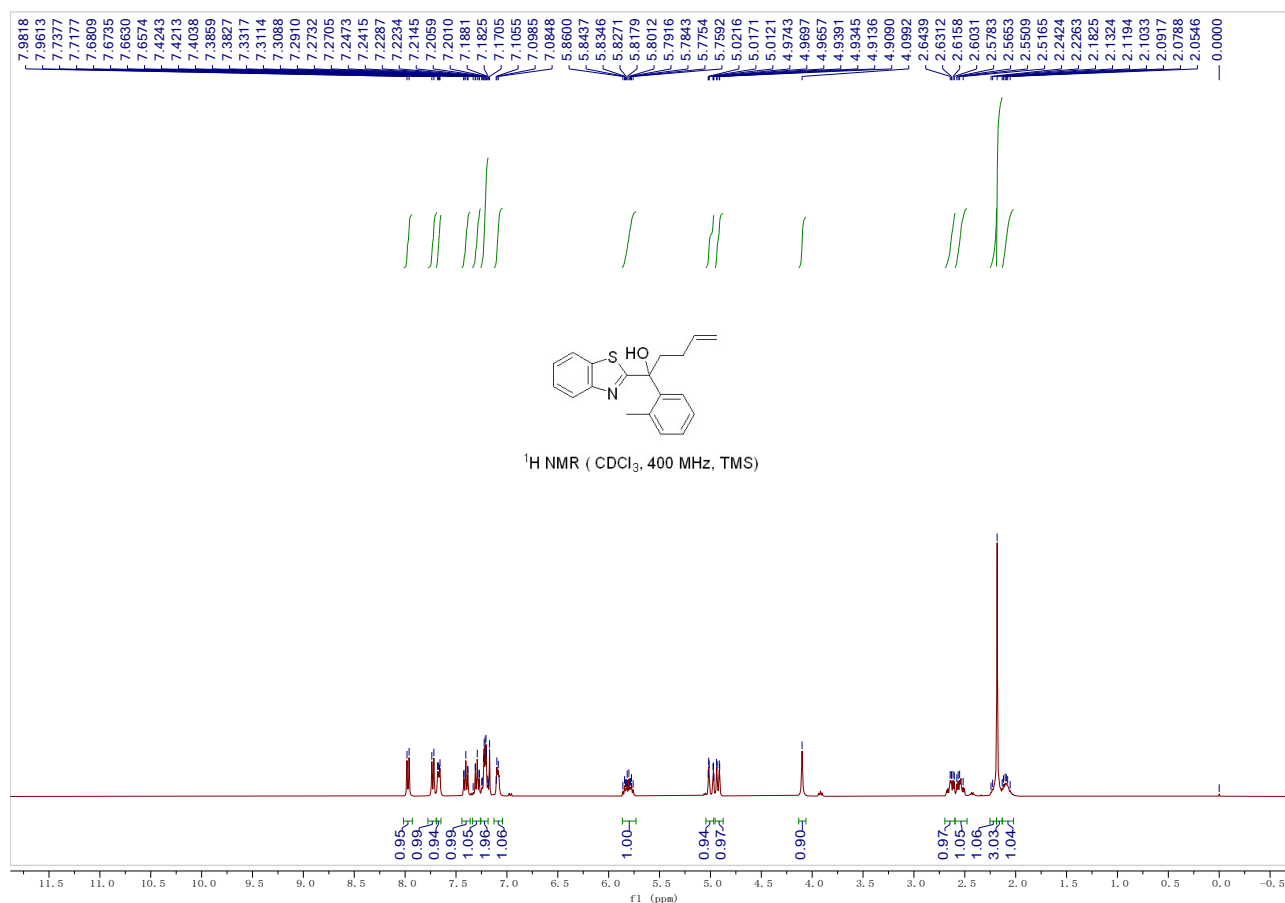


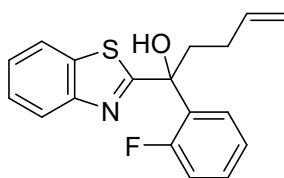
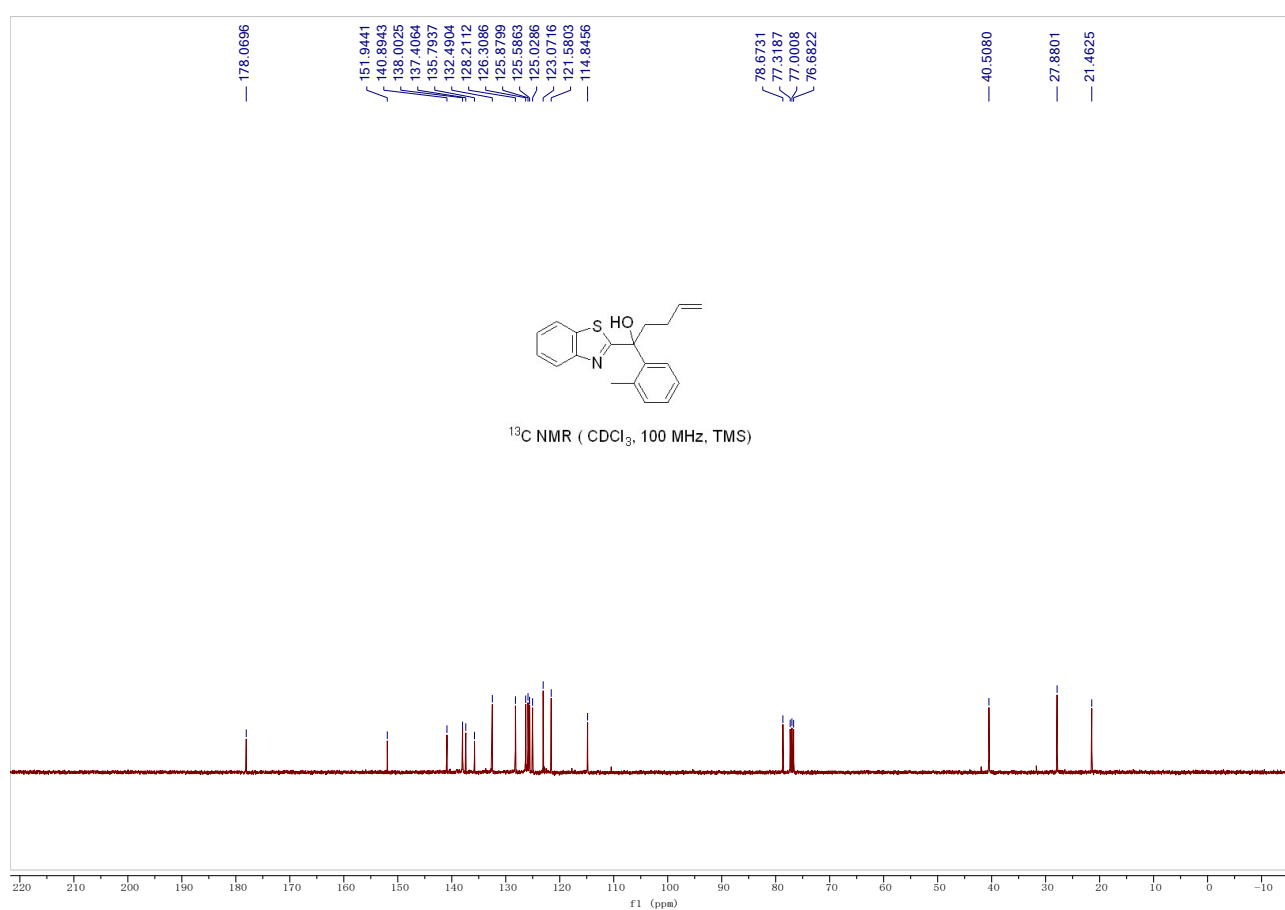
Compound 1p: Yield: 216.3 mg, 58%; A white solid; Mp: 106 – 108 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.3); ^1H NMR (400 MHz, CDCl_3) δ 7.97 (d, J = 8.1 Hz, 1H), 7.92 – 7.86 (m, 1H), 7.77 (d, J = 8.1 Hz, 1H), 7.61 – 7.56 (m, 1H), 7.44 – 7.39 (m, 1H), 7.38 – 7.34 (m, 1H), 7.33 – 7.28 (m, 1H), 7.16 (t, J = 7.9 Hz, 1H), 5.88 – 5.76 (m, 1H), 5.06 – 4.93 (m, 2H), 4.25 (br, 1H), 2.58 – 2.45 (m, 2H), 2.18 – 2.10 (m, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 177.3, 152.5, 145.9, 137.7, 135.4, 130.6, 129.8, 128.7, 126.0, 125.1, 124.2, 123.1, 122.6, 121.6, 115.4, 78.5, 41.5, 27.9; IR (neat): ν 3431, 3076, 2914, 1641, 1539, 1312, 1075, 997, 910, 787, 757, 728, 702 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{18}\text{H}_{17}\text{NOSBr}$ $[\text{M}+\text{H}]^+$: 374.0209, found: 374.0211.



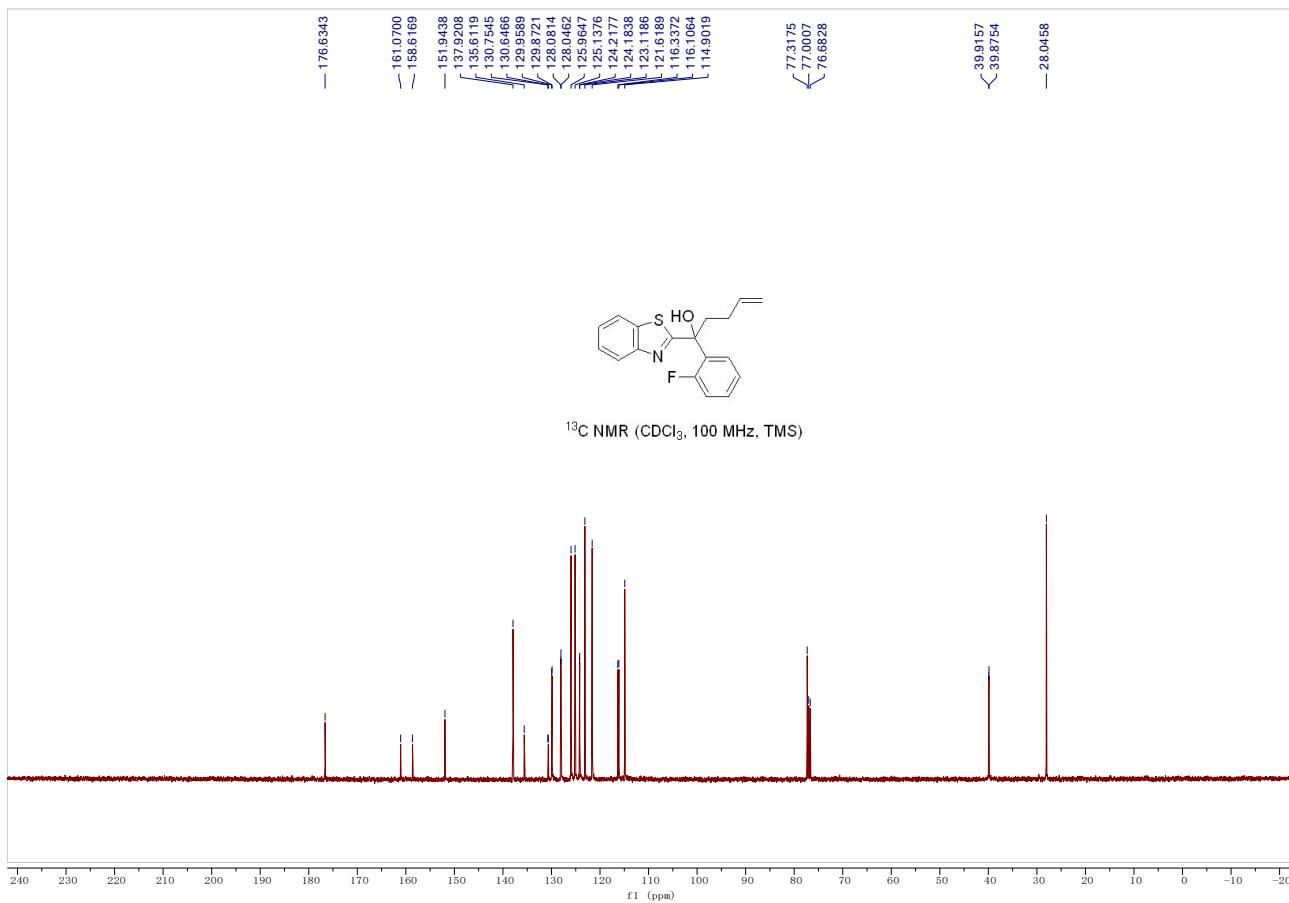
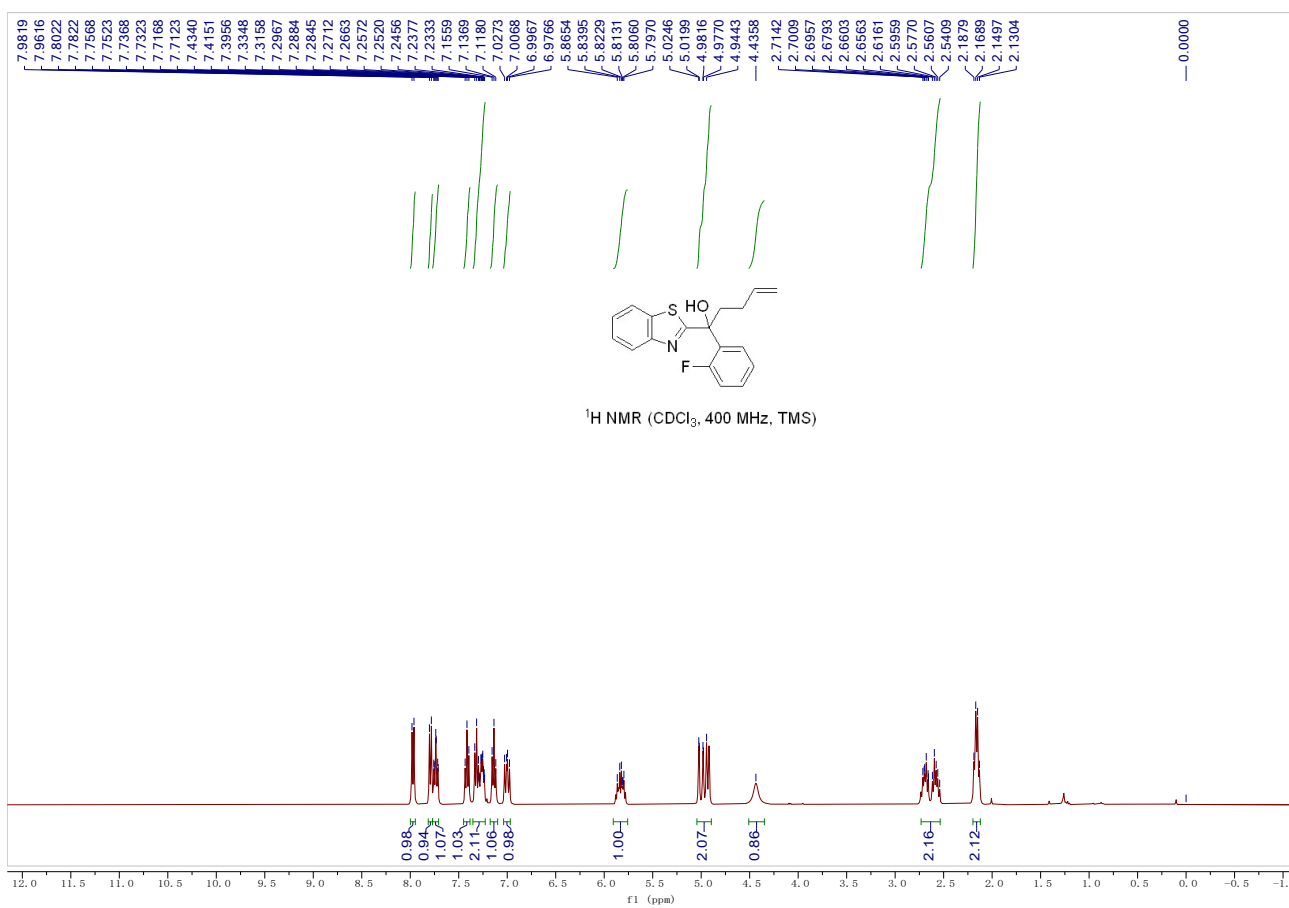


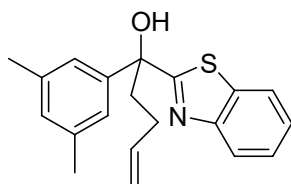
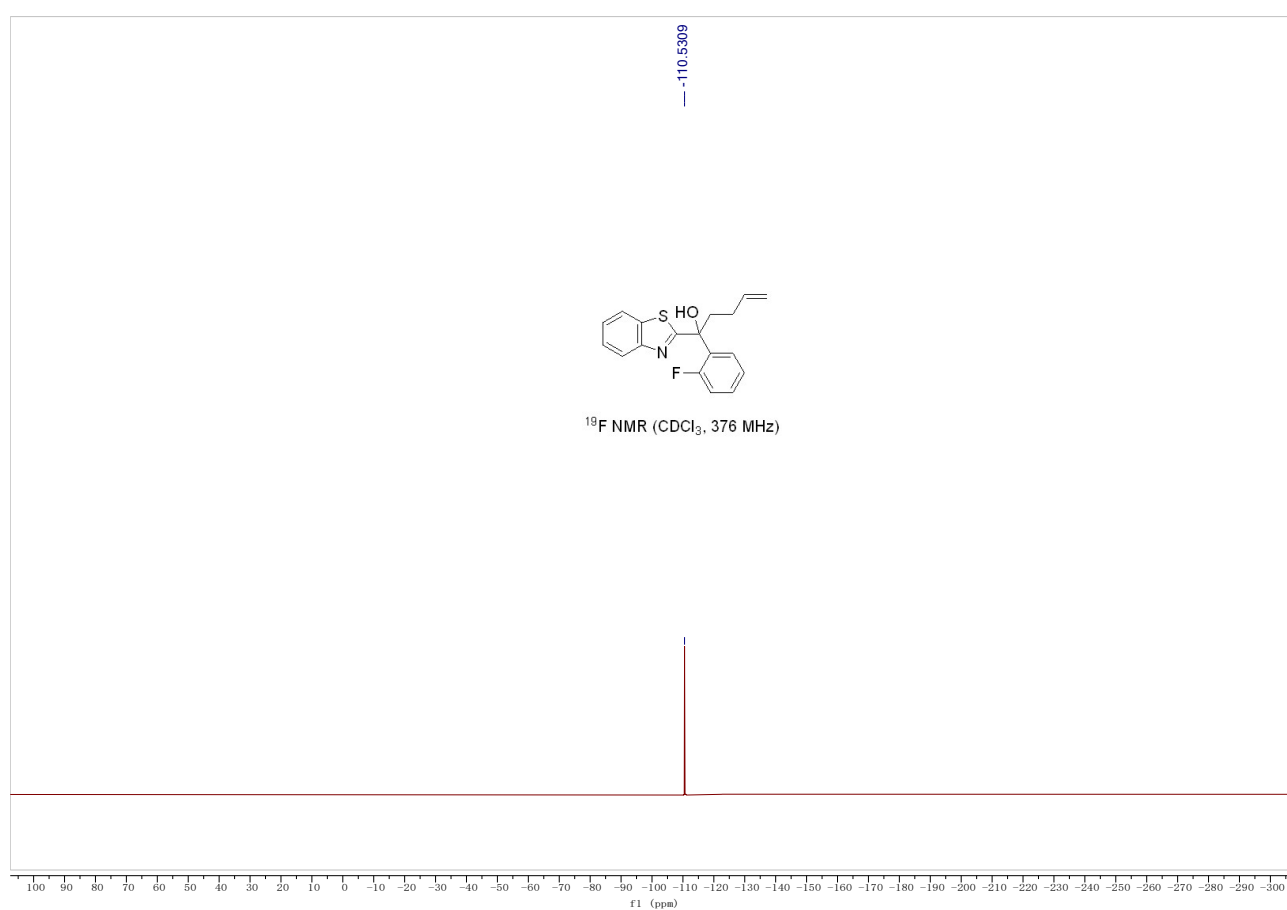
Compound 1q: Yield: 225.9 mg, 73%; A white solid; This is a known compound and its spectroscopic data are consistent with those reported in the literature;¹ ¹H NMR (400 MHz, CDCl₃) δ 7.97 (d, *J* = 8.0 Hz, 1H), 7.73 (d, *J* = 7.6 Hz, 1H), 7.70 – 7.65 (m, 1H), 7.44 – 7.36 (m, 1H), 7.34 – 7.26 (m, 1H), 7.26 – 7.18 (m, 2H), 7.13 – 7.04 (m, 1H), 5.86 – 5.73 (m, 1H), 5.04 – 4.97 (m, 1H), 4.95 – 4.87 (m, 1H), 4.10 (br, 1H), 2.70 – 2.60 (m, 1H), 2.60 – 2.48 (m, 1H), 2.25 – 2.19 (m, 1H), 2.18 (s, 3H), 2.13 – 2.02 (m, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 178.1, 151.9, 140.9, 138.0, 137.4, 135.8, 132.5, 128.2, 126.3, 125.9, 125.6, 125.0, 123.1, 121.6, 114.8, 78.7, 40.5, 27.9, 21.5.



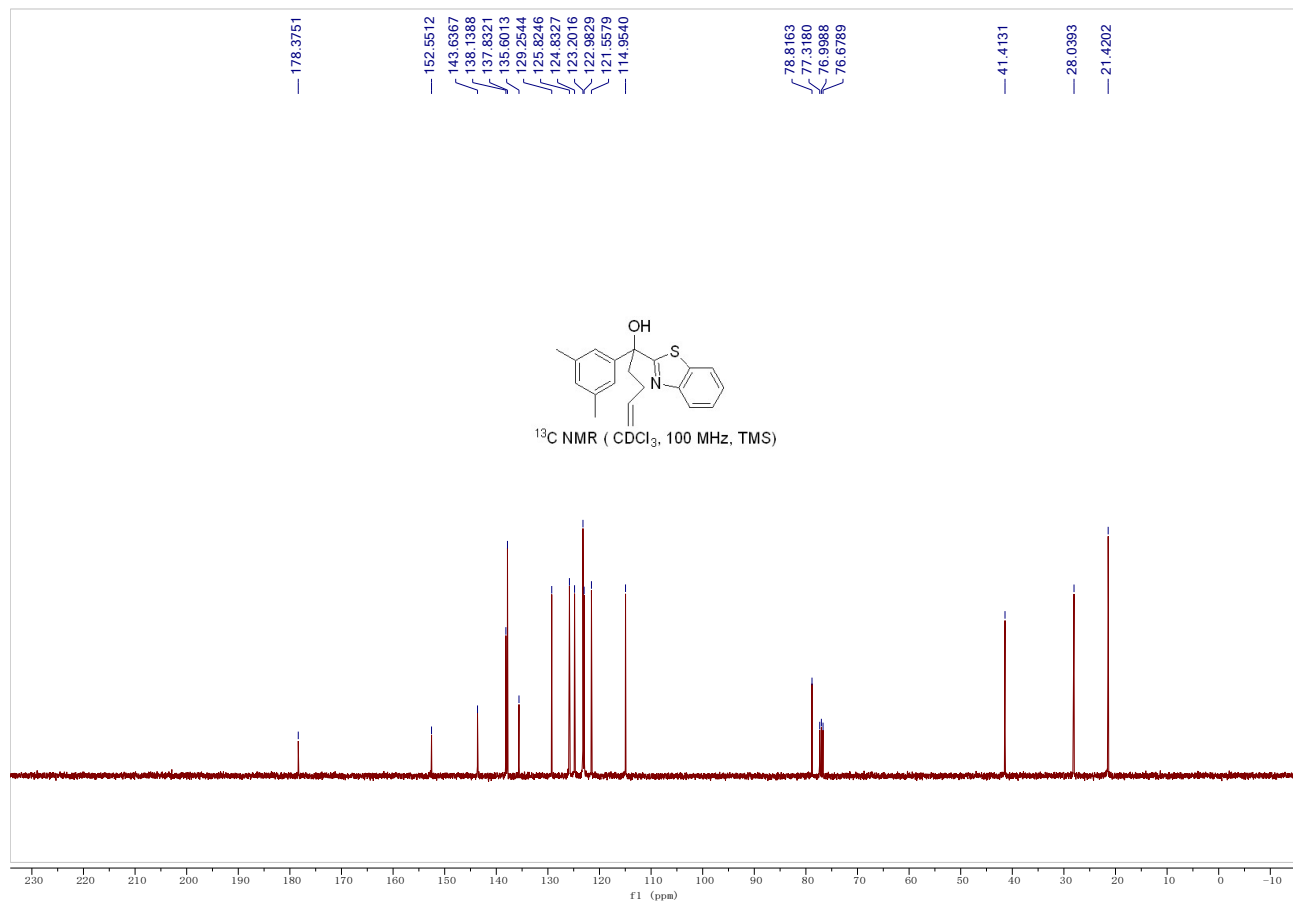
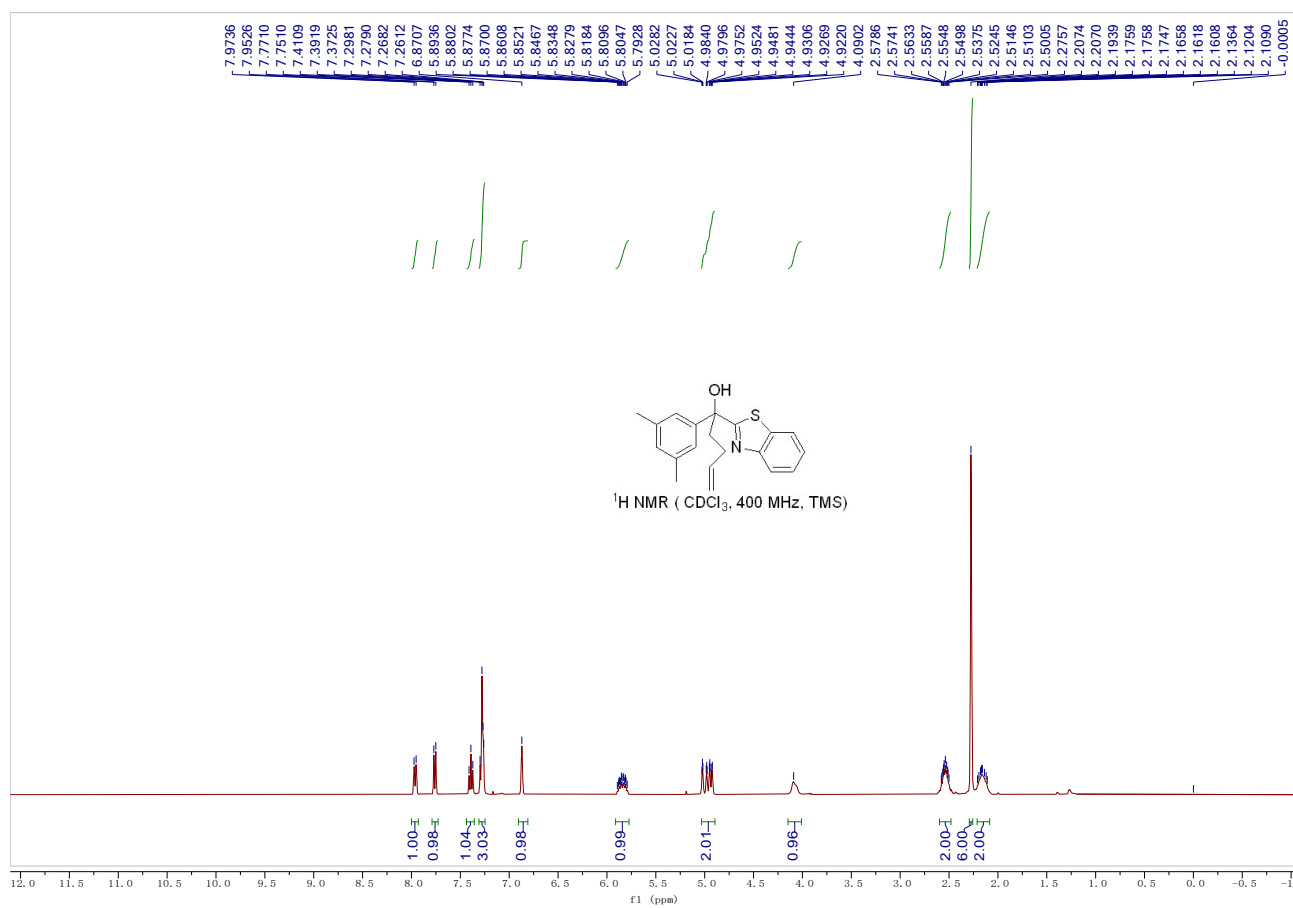


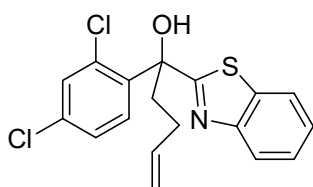
Compound 1r: Yield: 200.3 mg, 64%; A white solid; Mp: 79 - 81 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.3); ^1H NMR (400 MHz, CDCl_3) δ 7.97 (d, J = 8.1 Hz, 1H), 7.79 (d, J = 8.1 Hz, 1H), 7.77 – 7.71 (m, 1H), 7.41 (t, J = 7.6 Hz, 1H), 7.35 – 7.23 (m, 2H), 7.14 (t, J = 7.6 Hz, 1H), 7.04 – 6.97 (m, 1H), 5.91 – 5.76 (m, 1H), 5.04 – 4.90 (m, 2H), 4.44 (br, 1H), 2.73 – 2.54 (m, 2H), 2.20 – 2.12 (m, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 176.6, 159.9 (d, J = 245.3 Hz), 151.9, 137.9, 135.6, 130.7 (d, J = 10.9 Hz), 129.9 (d, J = 8.9 Hz), 128.1 (d, J = 3.5 Hz), 126.0, 125.1, 124.2 (d, J = 3.4 Hz), 123.1, 121.6, 116.2 (d, J = 23.5 Hz), 114.9, 77.3, 39.9 (d, J = 4.0 Hz), 28.0; ^{19}F NMR (376 MHz, CDCl_3) δ -110.5; IR (neat): ν 3209, 2922, 1644, 1550, 1445, 1199, 1186, 906, 840, 768, 696 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{18}\text{H}_{17}\text{NOFS}$ $[\text{M}+\text{H}]^+$: 314.1009, found: 314.1010.



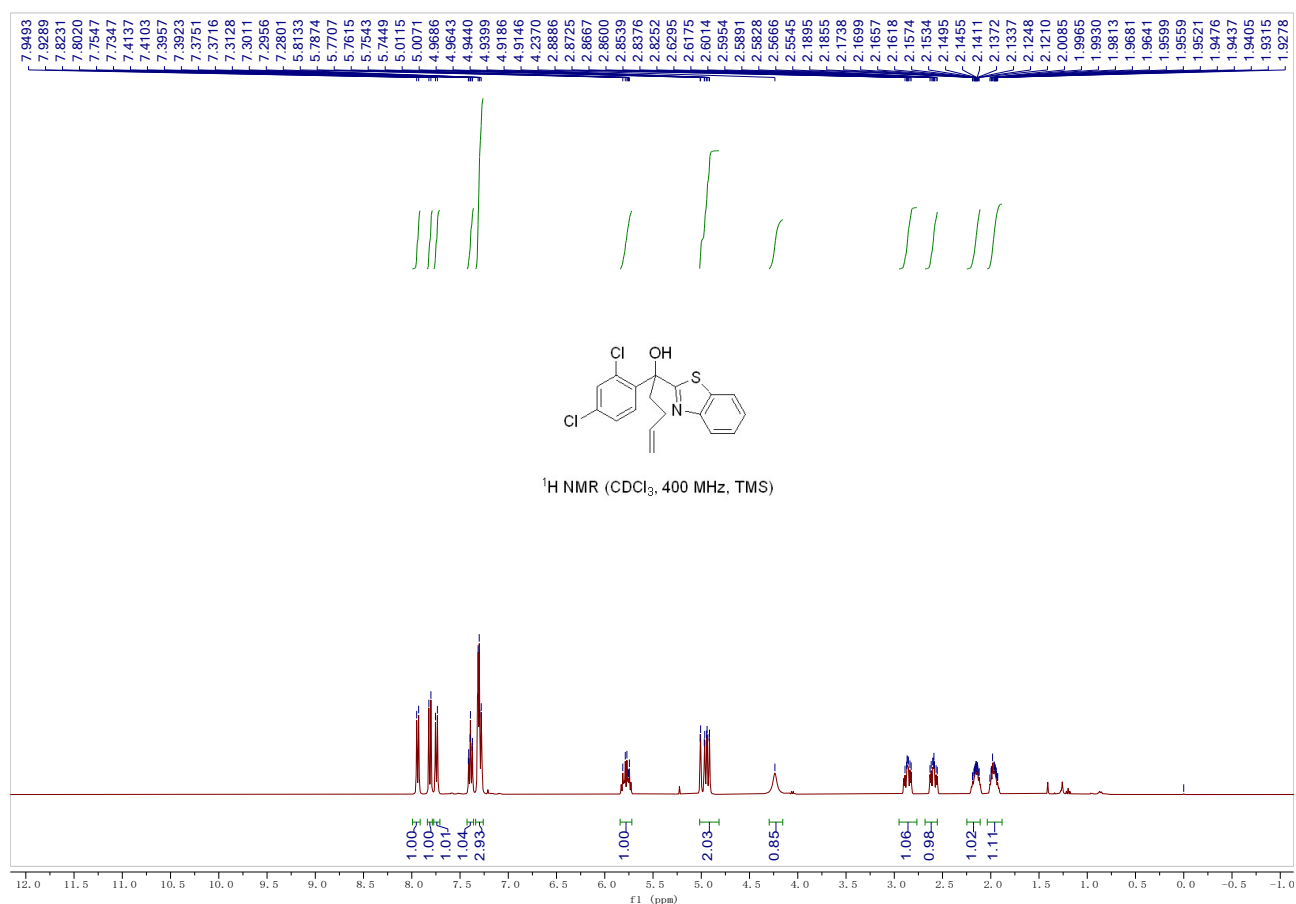


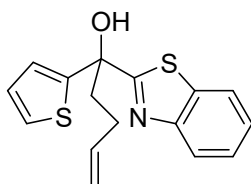
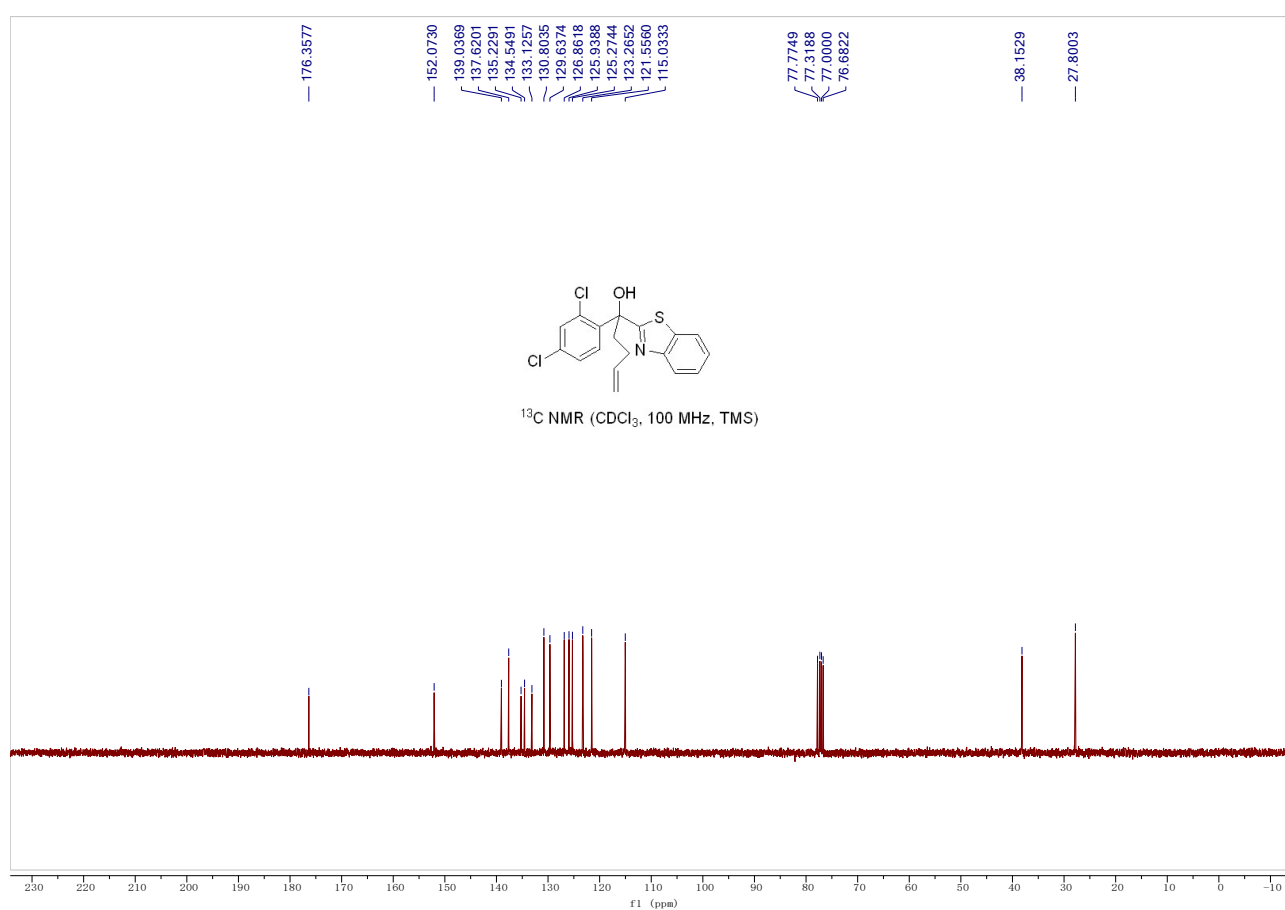
Compound 1s: Yield: 180.9 mg, 56%; A white solid; Mp: 99 - 101 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.3); ^1H NMR (400 MHz, CDCl_3) δ 7.84 (dd, J = 7.7, 1.5 Hz, 1H), 7.50 (td, J = 7.5, 1.5 Hz, 1H), 7.42 – 7.34 (m, 4H), 7.31 – 7.24 (m, 2H), 7.21 – 7.16 (m, 1H), 3.49 (s, 3H), 1.59 – 1.53 (m, 2H), 1.20 – 1.12 (m, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 168.4, 142.0, 140.6, 131.4, 131.3, 131.2, 129.8, 129.7, 128.0, 127.0, 126.61, 126.56, 123.9, 51.8, 5.3, 1.9; IR (neat): ν 3439, 3042, 1654, 1639, 1456, 1435, 1304, 1242, 1072, 913, 757, 727 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{20}\text{H}_{21}\text{NONaS}$ $[\text{M}+\text{Na}]^+$: 346.1236, found: 346.1233.



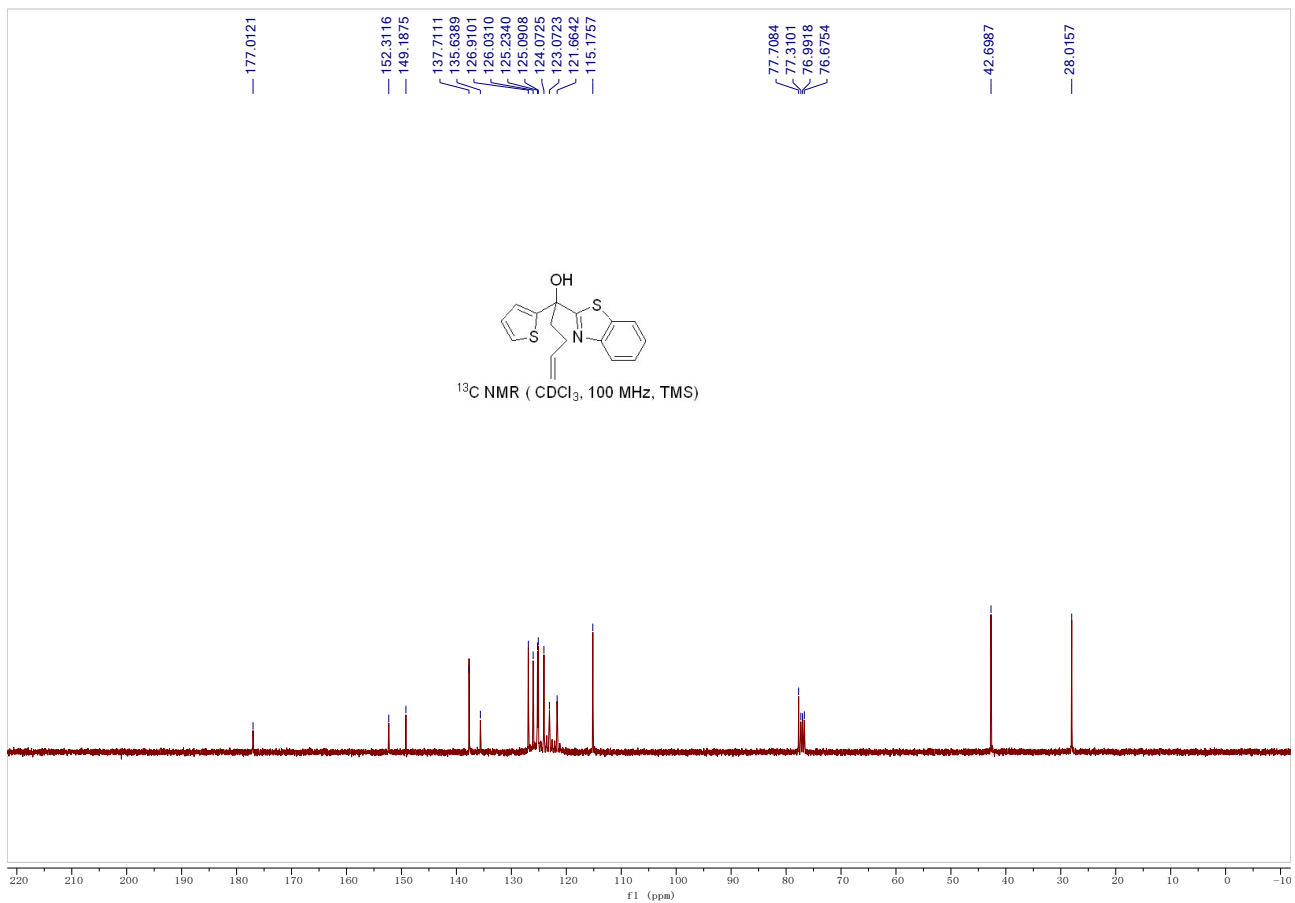
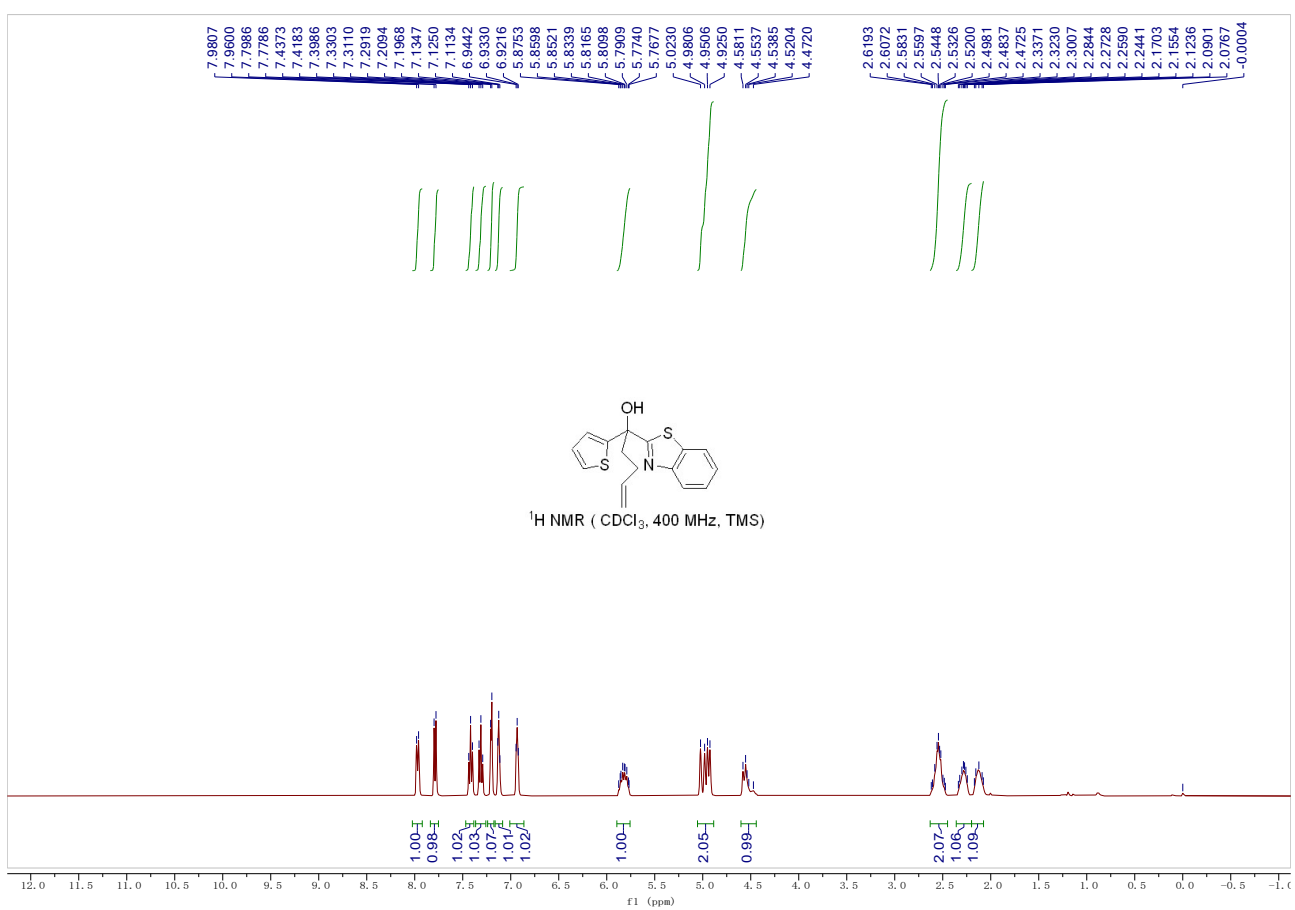


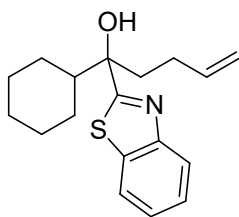
Compound 1t: Yield: 228.7 mg, 63%; A white solid; Mp: 104 - 106 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, $R_f = 0.3$); $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.94 (d, $J = 8.0$ Hz, 1H), 7.81 (d, $J = 8.4$ Hz, 1H), 7.74 (d, $J = 8.0$ Hz, 1H), 7.43 – 7.36 (m, 1H), 7.34 – 7.26 (m, 3H), 5.84 – 5.72 (m, 1H), 5.02 – 4.82 (m, 2H), 4.24 (s, 1H), 2.95 – 2.77 (m, 1H), 2.68 – 2.55 (m, 1H), 2.25 – 2.11 (m, 1H), 2.04 – 1.88 (m, 1H); $^{13}\text{C NMR}$ (101 MHz, cdcl_3) δ 176.4, 152.1, 139.0, 137.6, 135.2, 134.5, 133.1, 130.8, 129.6, 126.9, 125.9, 125.3, 123.3, 121.6, 115.0, 77.8, 38.2, 27.8; IR (neat): ν 3214, 3110, 2919, 1637, 1491, 1445, 1167, 1123, 998, 914, 839, 774, 745, 710 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{18}\text{H}_{16}\text{NOSCl}_2$ $[\text{M}+\text{H}]^+$: 364.0324, found: 364.0332.



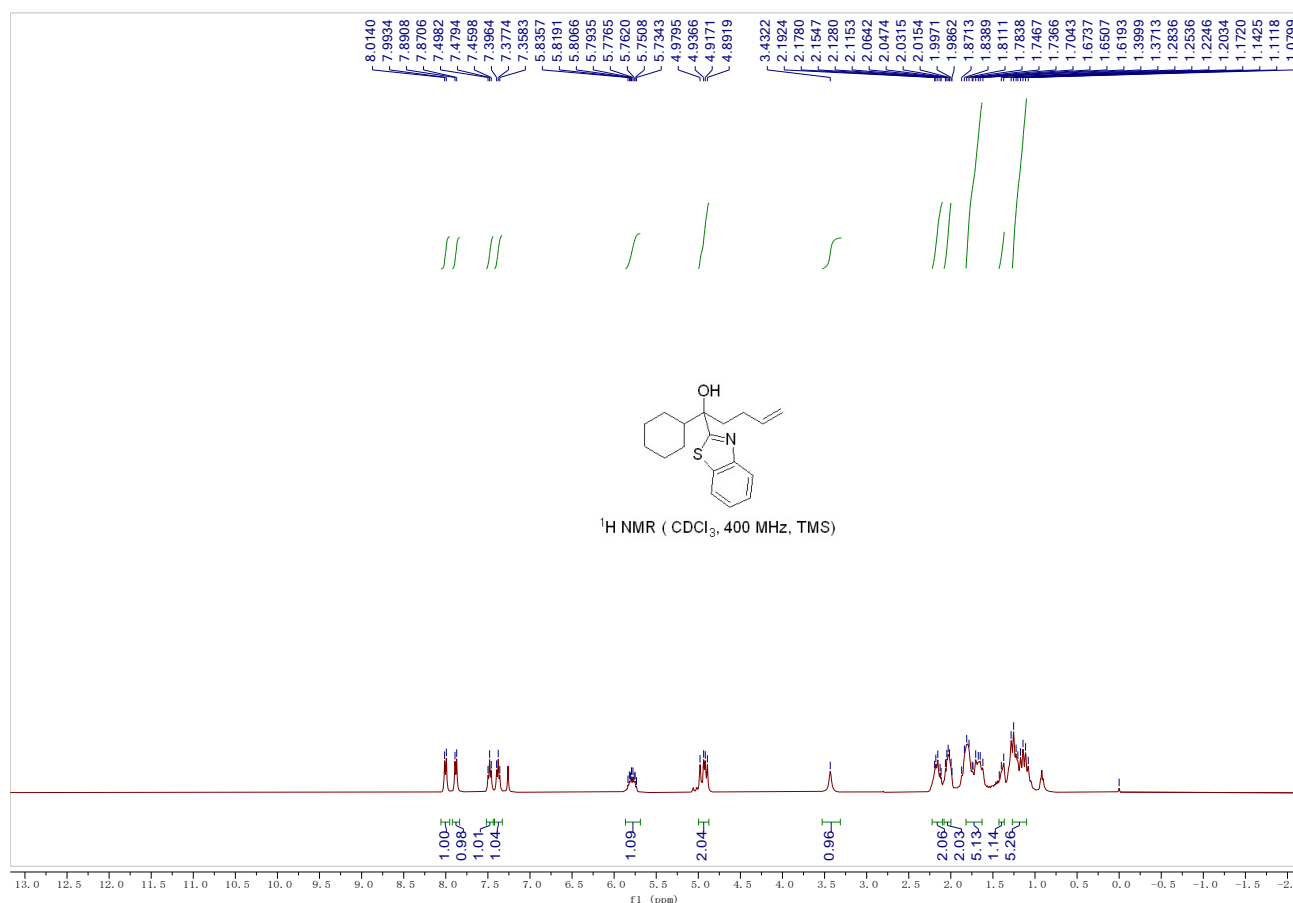


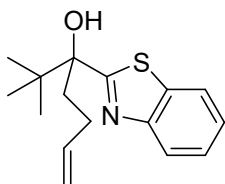
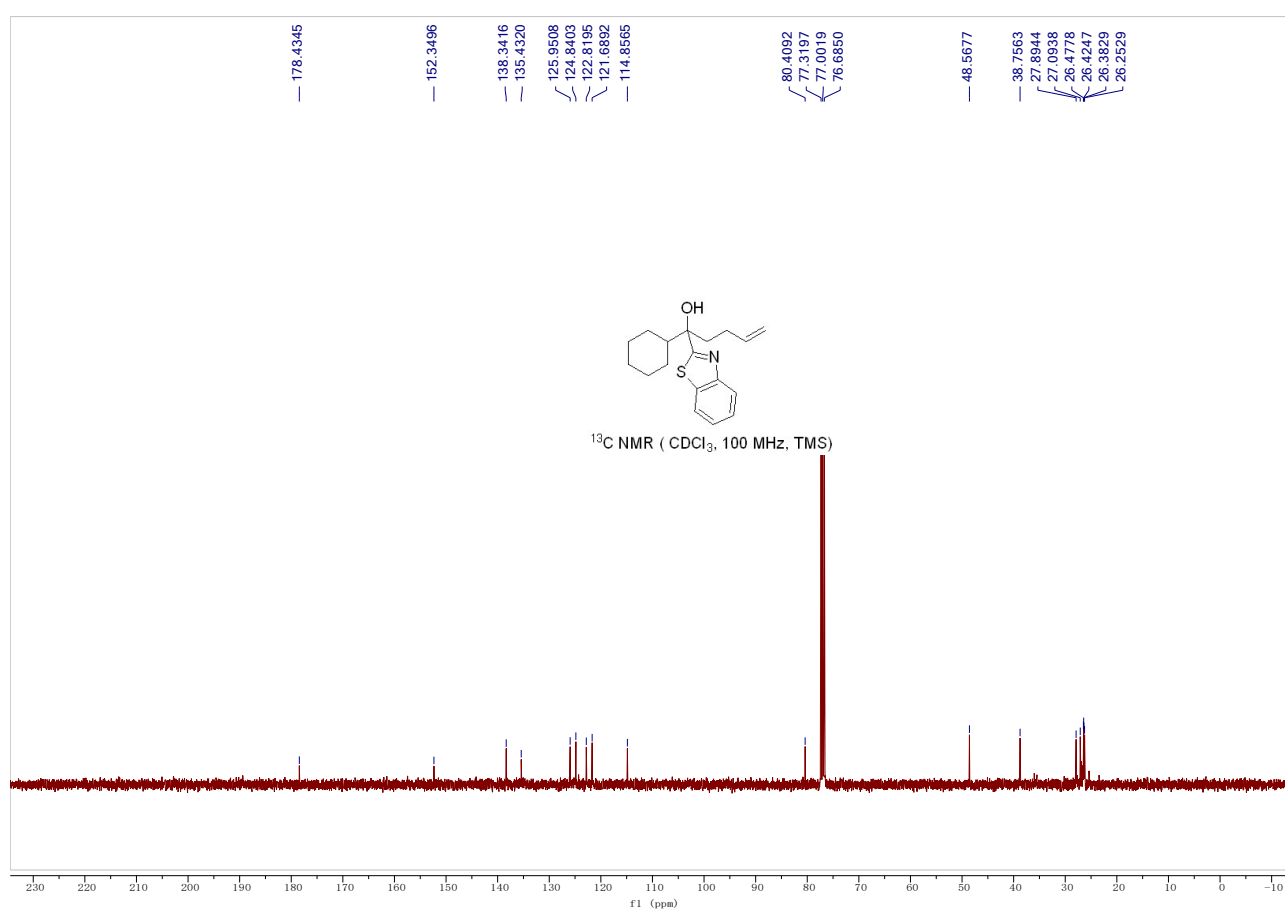
Compound 1u: Yield: 153.5 mg, 51%; A white solid; This is a known compound and its spectroscopic data are consistent with those reported in the literature;¹ ^1H NMR (400 MHz, CDCl₃) δ 7.97 (d, $J = 8.3$ Hz, 1H), 7.79 (d, $J = 8.2$ Hz, 1H), 7.47 – 7.38 (m, 1H), 7.37 – 7.26 (m, 1H), 7.20 (d, $J = 5.0$ Hz, 1H), 7.16 – 7.08 (m, 1H), 7.01 – 6.86 (m, 1H), 5.89 – 5.76 (m, 1H), 5.05 – 4.89 (m, 2H), 4.60 – 4.44 (br, 1H), 2.63 – 2.45 (m, 2H), 2.36 – 2.20 (m, 1H), 2.20 – 2.08 (m, 1H); ^{13}C NMR (100 MHz, CDCl₃) δ 177.0, 152.3, 149.2, 137.7, 135.6, 126.9, 126.0, 125.2, 125.1, 124.1, 123.1, 121.7, 115.2, 77.7, 42.7, 28.0.



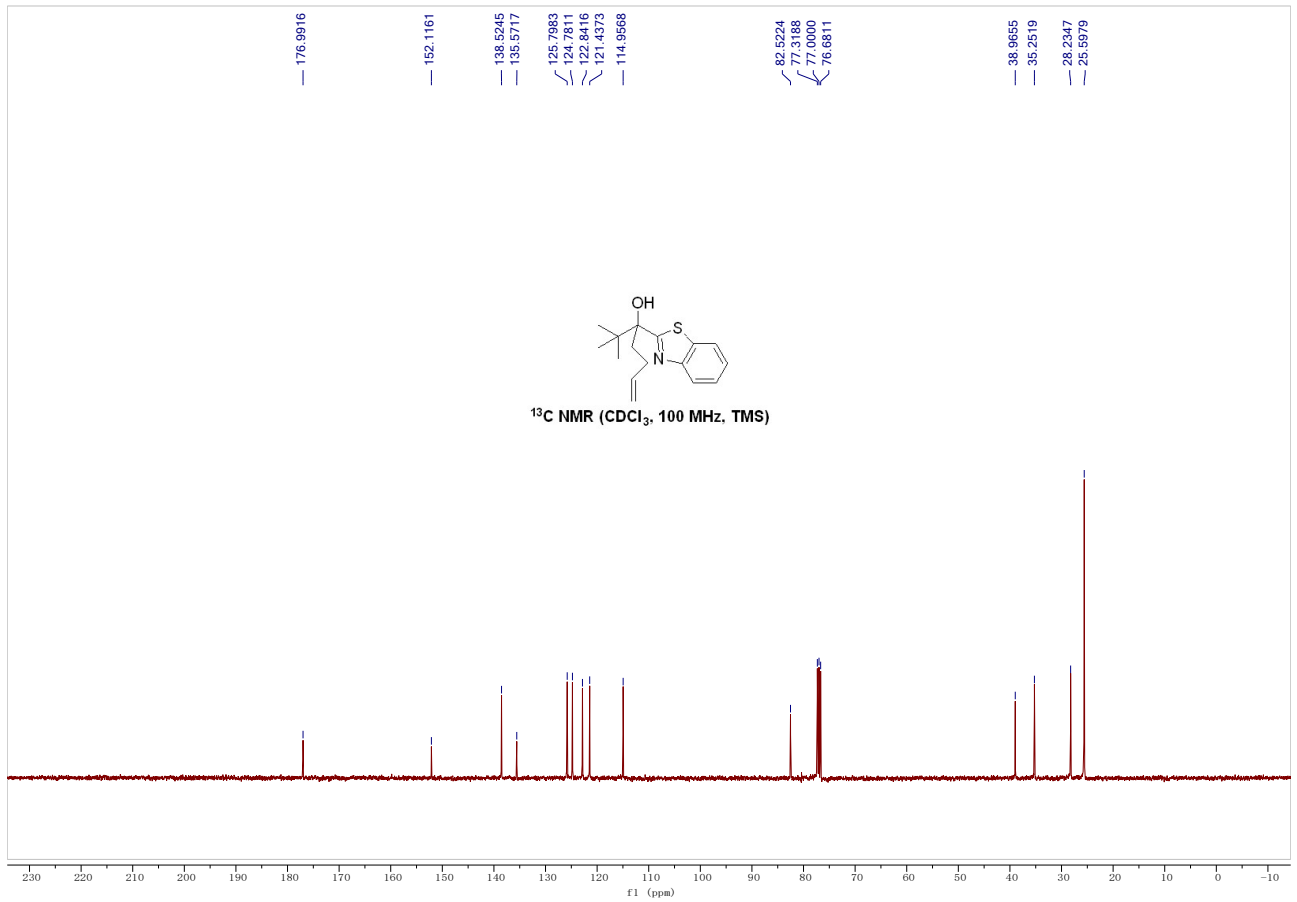
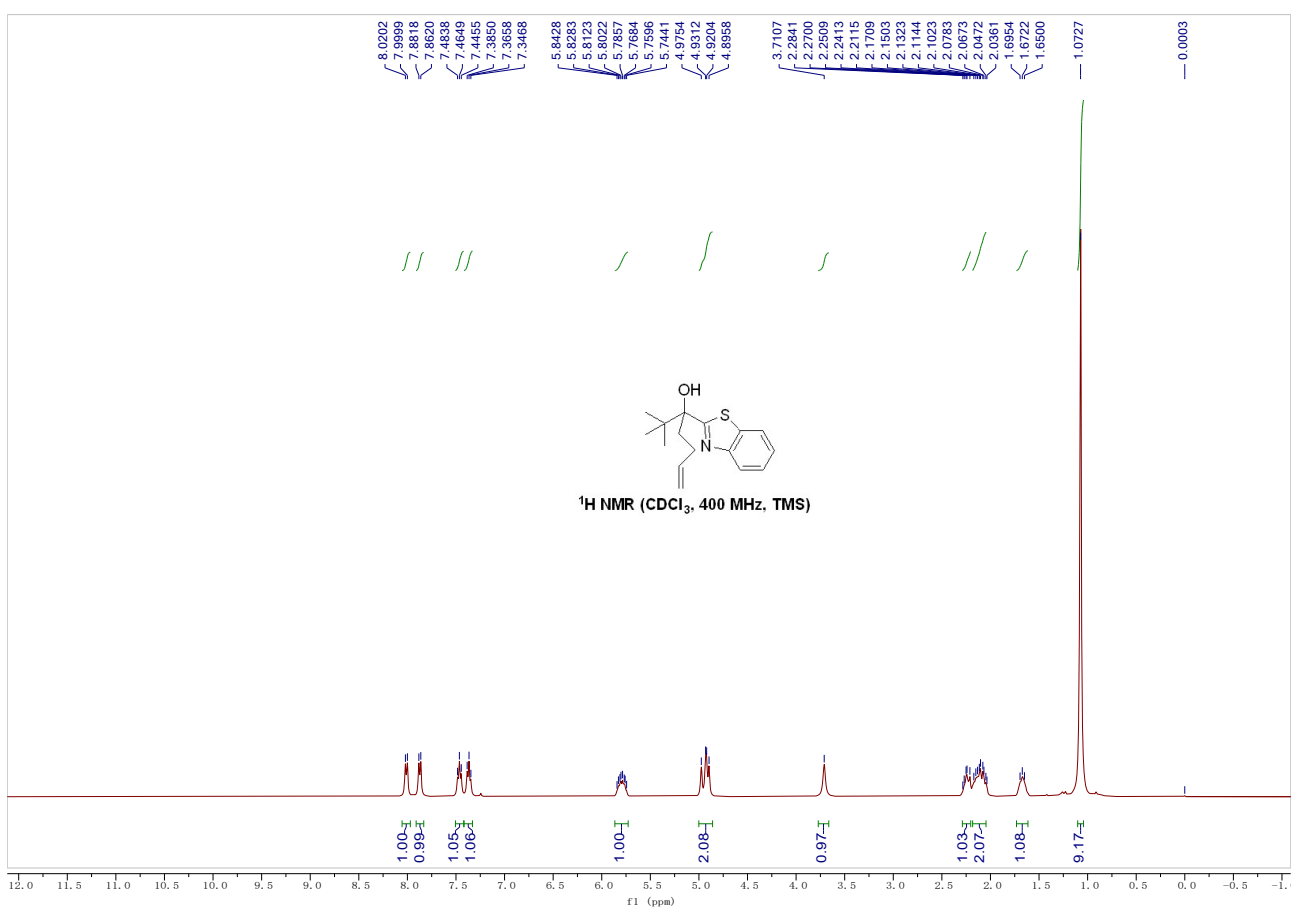


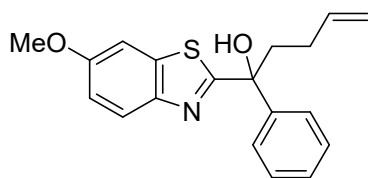
Compound 1v: Yield: 126.4 mg, 42%; A white solid; This is a known compound and its spectroscopic data are consistent with those reported in the literature;¹ ¹H NMR (400 MHz, CDCl₃) δ 8.00 (d, *J* = 8.0 Hz, 1H), 7.88 (d, *J* = 8.0 Hz, 1H), 7.48 (t, *J* = 8.0 Hz, 1H), 7.38 (t, *J* = 8.0 Hz, 1H), 5.87 – 5.69 (m, 1H), 5.00 – 4.87 (m, 2H), 3.43 (br, 1H), 2.22 – 2.10 (m, 2H), 2.08 – 2.00 (m, 2H), 1.82 – 1.63 (m, 5H), 1.43 – 1.37 (m, 1H), 1.27 – 1.10 (m, 5H); ¹³C NMR (100 MHz, CDCl₃) δ 178.4, 152.3, 138.3, 135.4, 126.0, 124.8, 122.8, 121.7, 114.9, 80.4, 48.6, 38.8, 27.9, 27.1, 26.5, 26.42, 26.38, 26.2.



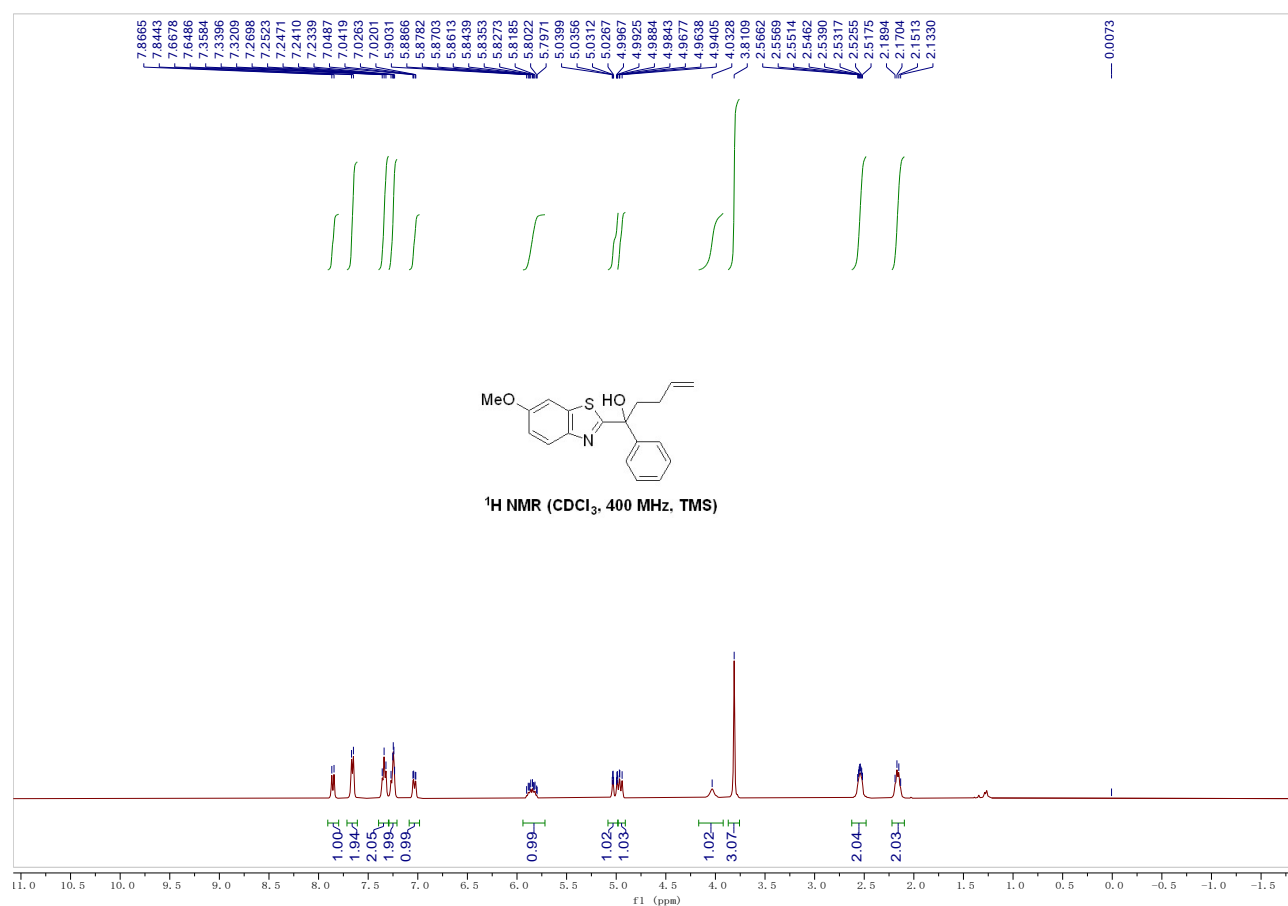


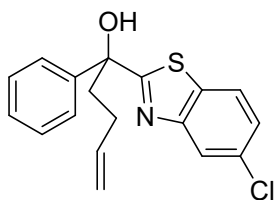
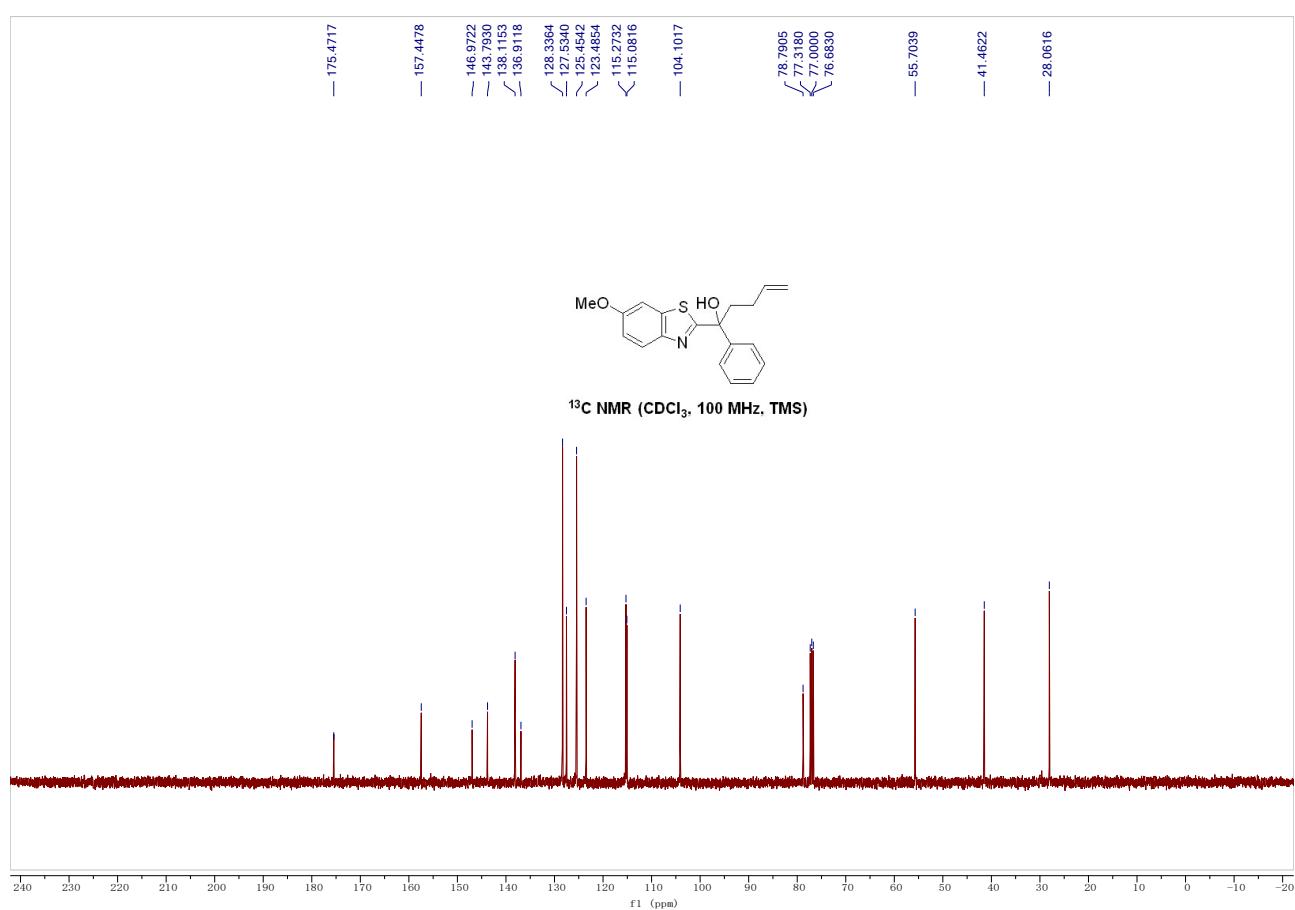
Compound 1w: Yield: 156.8 mg, 57%; A colorless oil; This is a known compound and its spectroscopic data are consistent with those reported in the literature;² ^1H NMR (400 MHz, CDCl_3) δ 8.01 (d, $J = 8.1$ Hz, 1H), 7.87 (d, $J = 8.1$ Hz, 1H), 7.46 (t, $J = 7.6$ Hz, 1H), 7.37 (t, $J = 7.6$ Hz, 1H), 5.87 – 5.73 (m, 1H), 5.00 – 4.86 (m, 2H), 3.71 (br, 1H), 2.29 – 2.20 (m, 1H), 2.18 – 2.05 (m, 2H), 1.73 – 1.61 (m, 1H), 1.07 (s, 9H); ^{13}C NMR (100 MHz, CDCl_3) δ 177.0, 152.1, 138.5, 135.6, 125.8, 124.8, 122.8, 121.4, 115.0, 82.5, 39.0, 35.3, 28.2, 25.6.



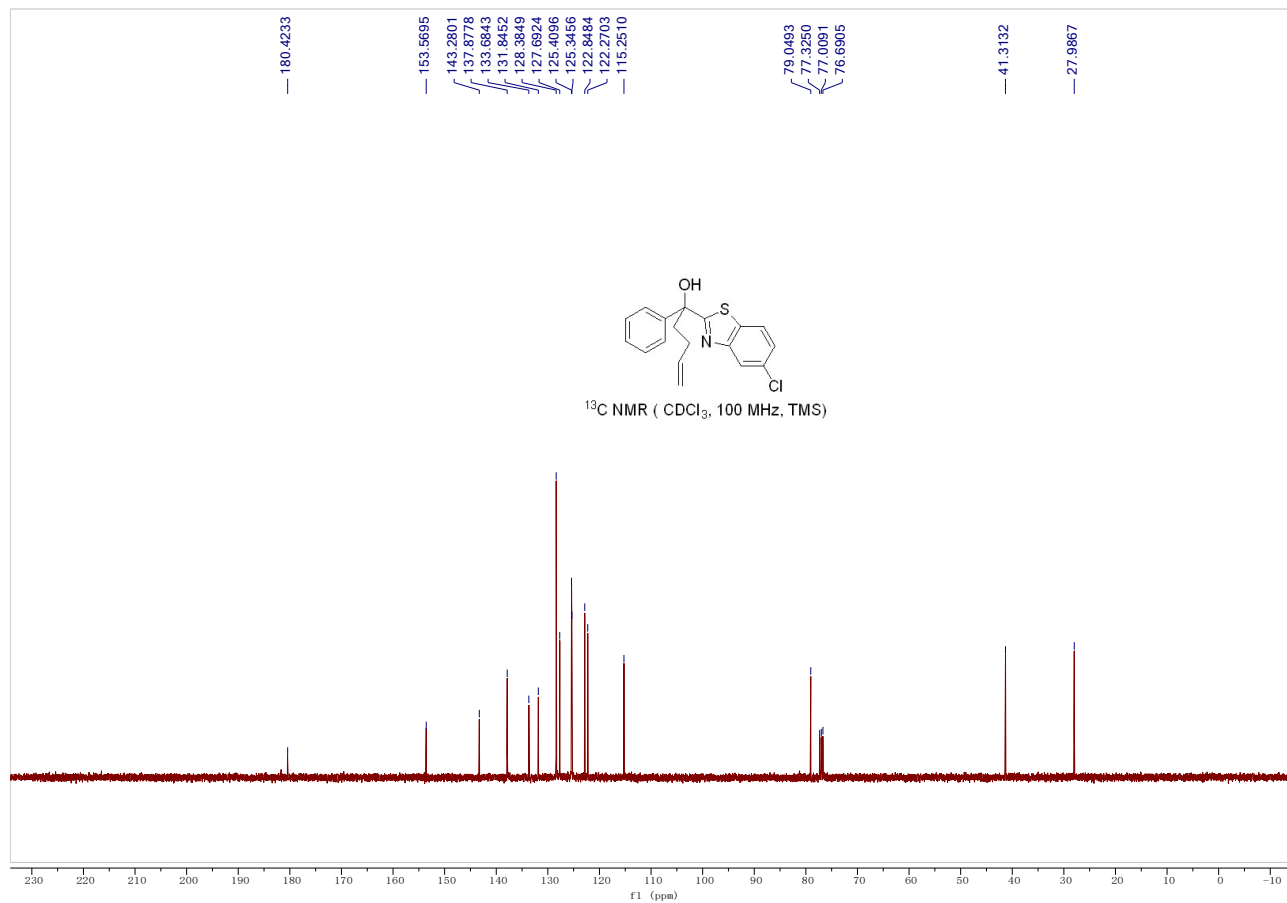
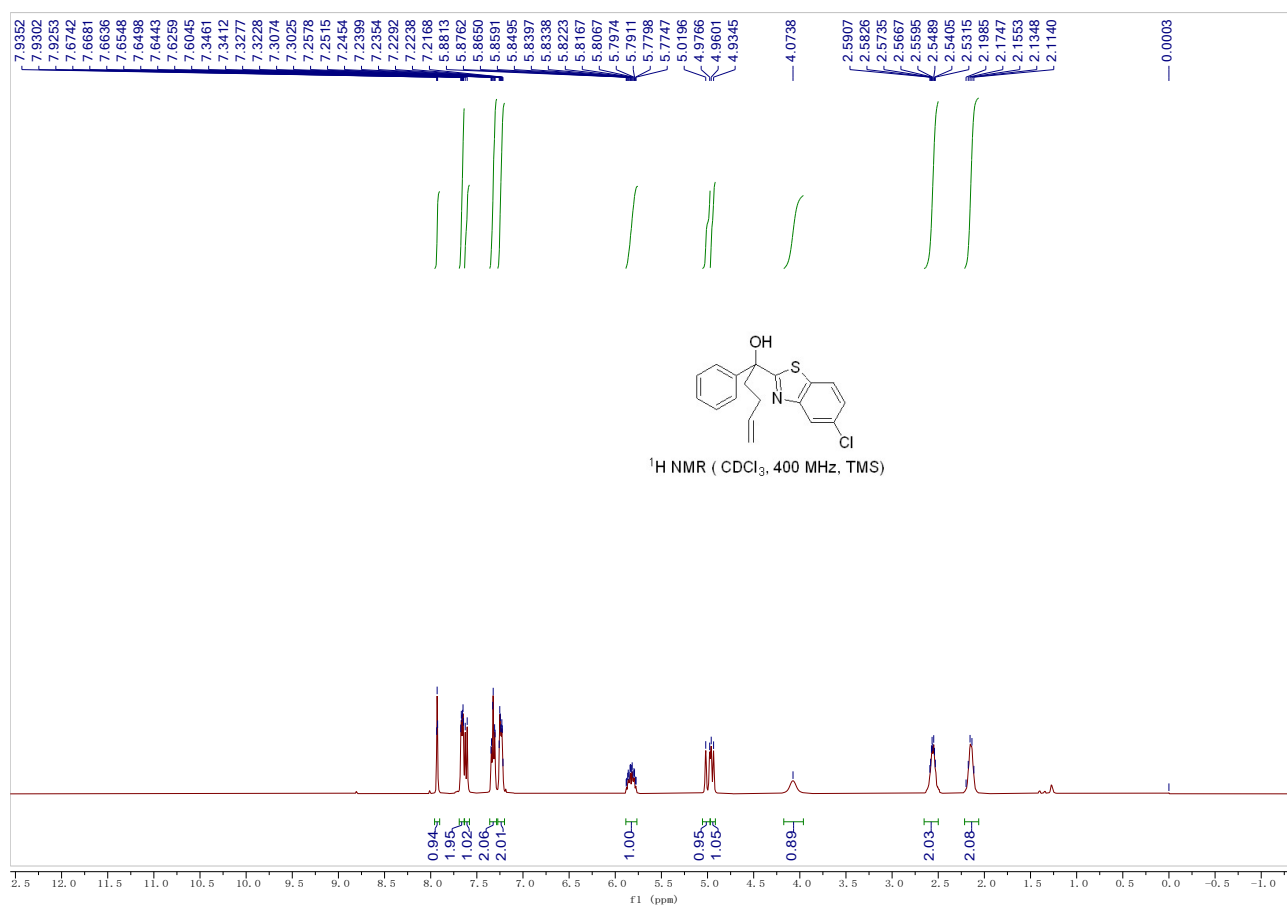


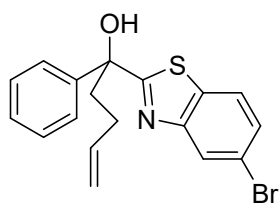
Compound 1x: Yield: 146.3 mg, 45%; A white solid; This is a known compound and its spectroscopic data are consistent with those reported in the literature;¹ ¹H NMR (400 MHz, CDCl₃) δ 7.86 (d, *J* = 8.8 Hz, 1H), 7.71 – 7.61 (m, 2H), 7.40 – 7.30 (m, 2H), 7.29 – 7.21 (m, 2H), 7.03 (dd, *J* = 8.8, 2.4 Hz, 1H), 5.94 – 5.72 (m, 1H), 5.08 – 4.98 (m, 1H), 4.98 – 4.91 (m, 1H), 4.03 (br, 1H), 3.81 (s, 3H), 2.63 – 2.48 (m, 2H), 2.22 – 2.10 (m, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 175.5, 157.4, 147.0, 143.8, 138.1, 136.9, 128.3, 127.5, 125.5, 123.5, 115.3, 115.1, 104.1, 78.8, 55.7, 41.5, 28.1.



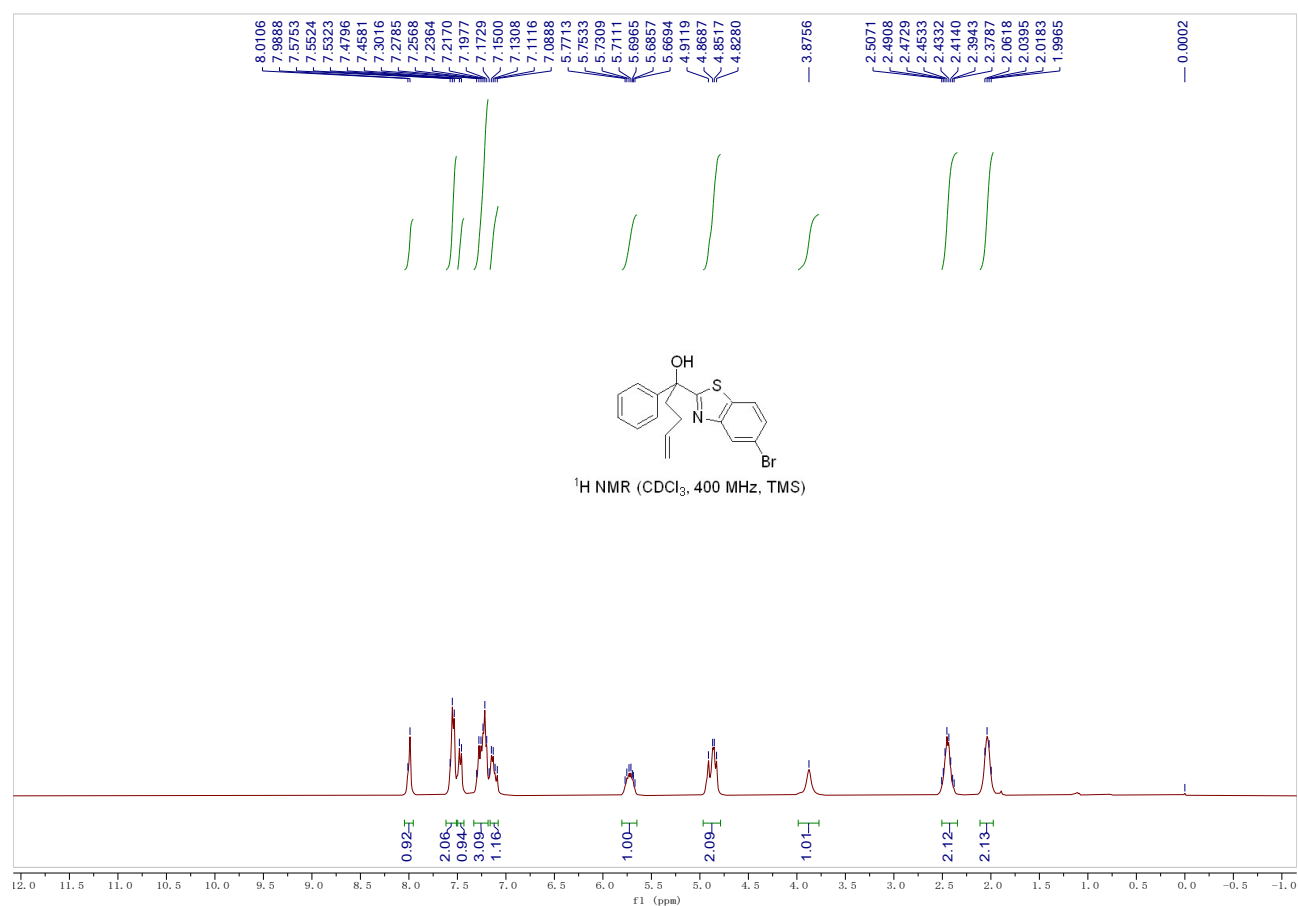


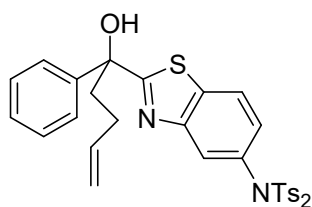
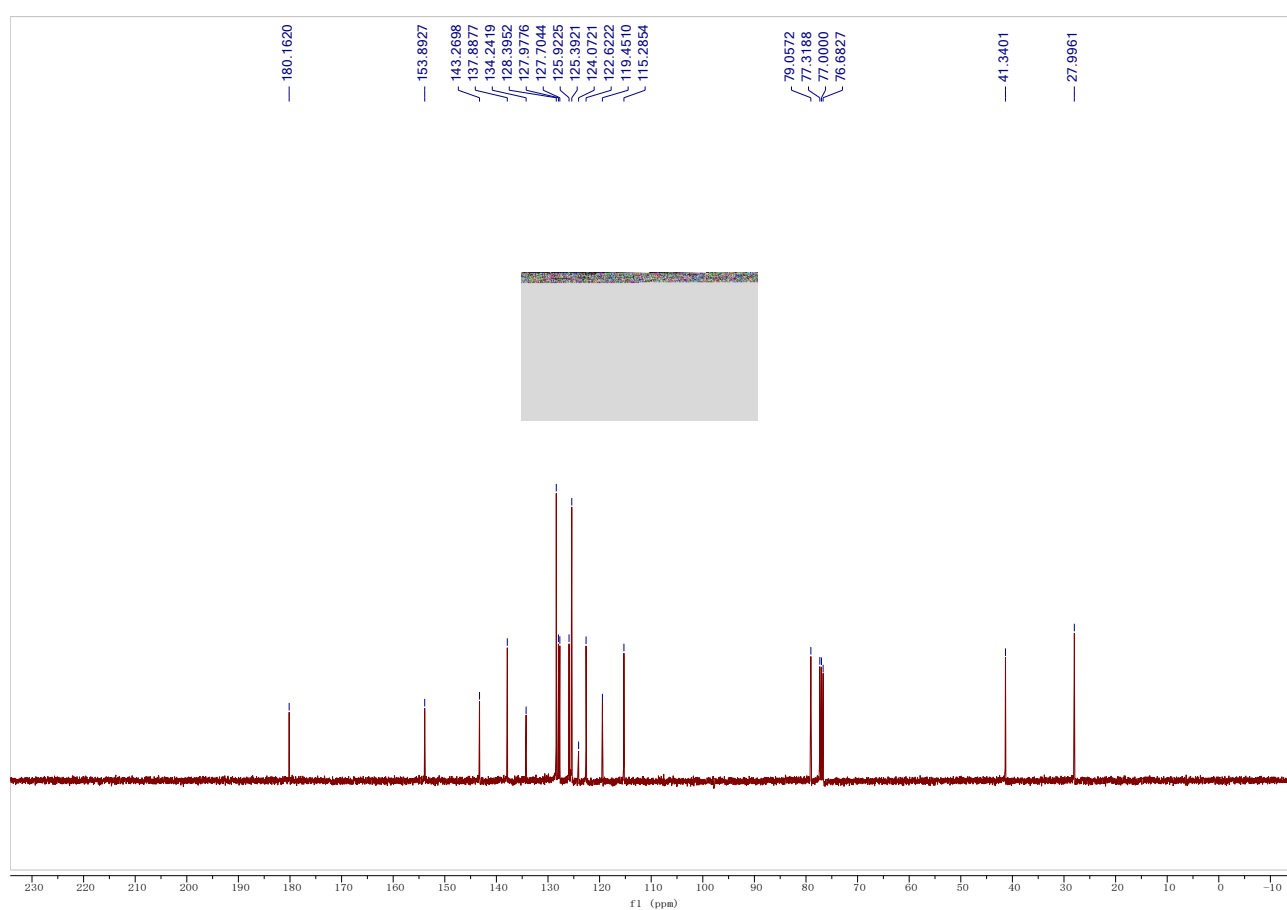
Compound 1y: Yield: 180.9 mg, 55%; A white solid; This is a known compound and its spectroscopic data are consistent with those reported in the literature;¹ ¹H NMR (400 MHz, CDCl₃) δ 7.96 – 7.90 (m, 1H), 7.69 – 7.64 (m, 2H), 7.63 – 7.58 (m, 1H), 7.36 – 7.29 (m, 2H), 7.27 – 7.20 (m, 2H), 5.89 – 5.77 (m, 1H), 5.05 – 4.97 (m, 1H), 4.97 – 4.92 (m, 1H), 4.07 (br, 1H), 2.65 – 2.50 (m, 2H), 2.21 – 2.06 (m, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 180.4, 153.6, 143.3, 137.9, 133.7, 131.8, 128.4, 127.7, 125.4, 125.3, 122.8, 122.3, 115.3, 79.0, 41.3, 28.0.



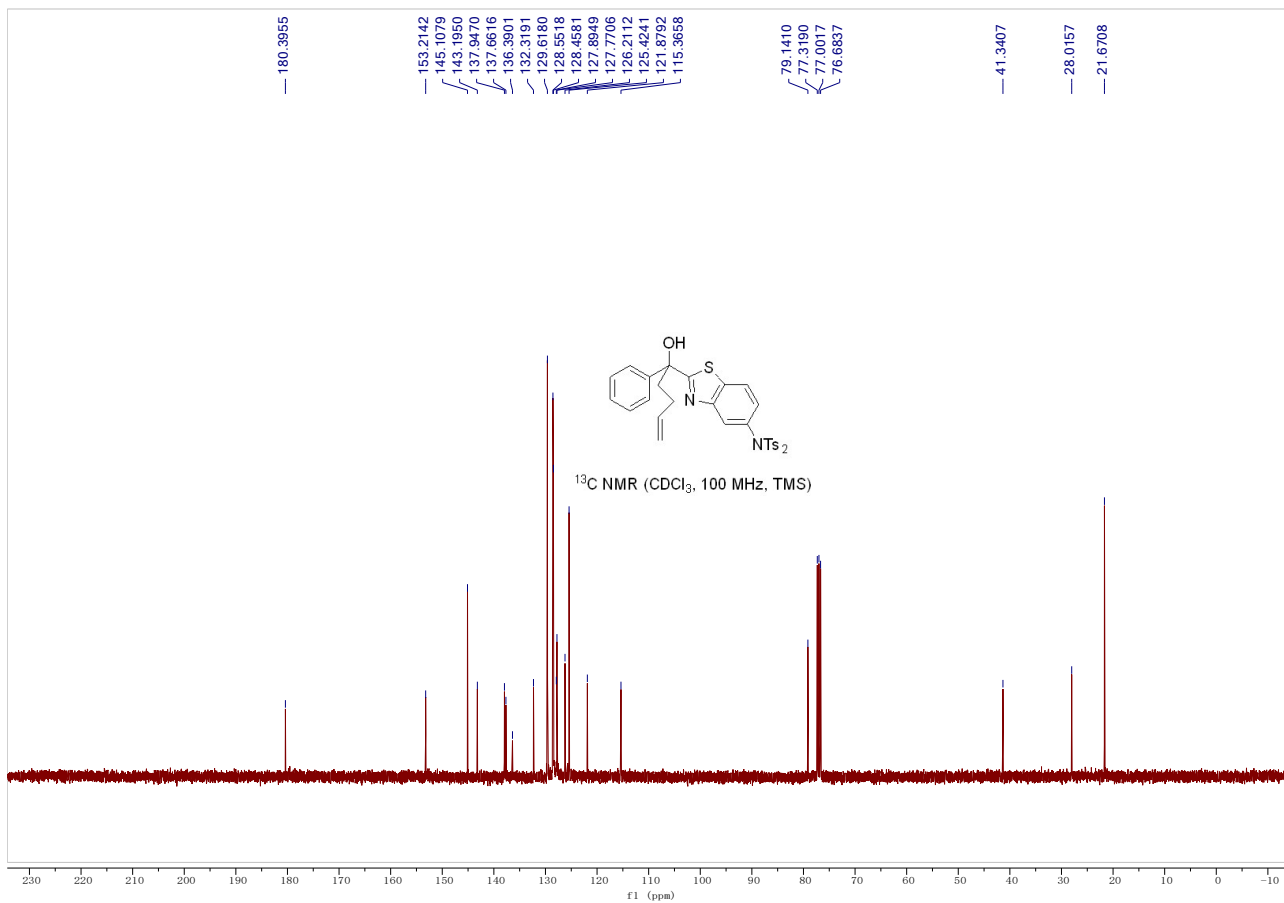
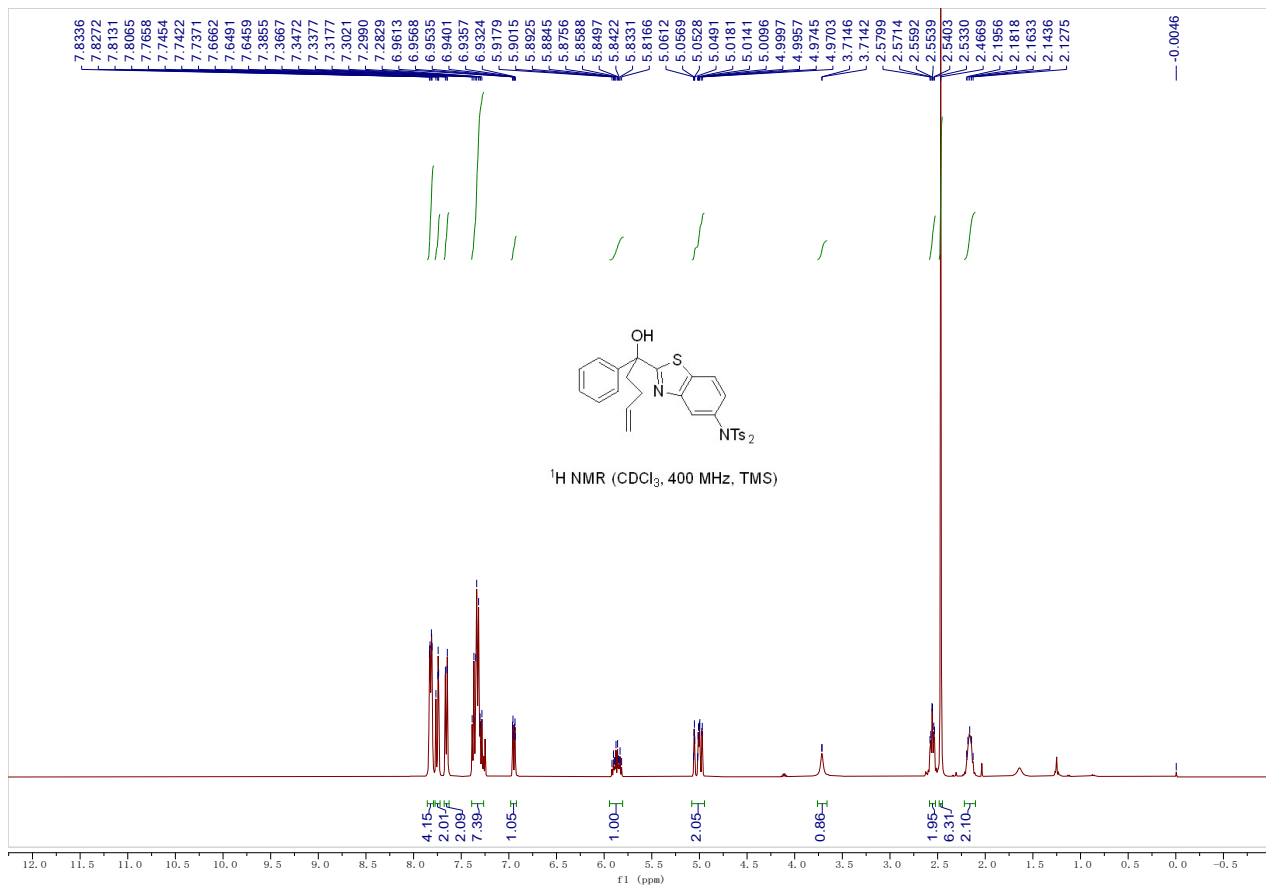


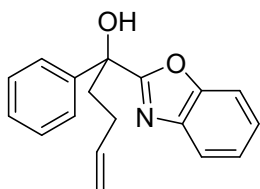
Compound 1z: Yield: 270.9 mg, 79%; A white solid; Mp: 106 - 108 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.3); ^1H NMR (400 MHz, CDCl_3) δ 8.05 – 7.95 (m, 1H), 7.54 (d, J = 8.0 Hz, 2H), 7.47 (d, J = 8.4 Hz, 1H), 7.33 – 7.18 (m, 3H), 7.16 – 7.08 (m, 1H), 5.80 – 5.65 (m, 1H), 4.97 – 4.79 (m, 2H), 3.88 (s, 1H), 2.51 – 2.34 (m, 2H), 2.11 – 1.98 (m, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 180.2, 153.9, 143.3, 137.9, 134.2, 128.4, 128.0, 127.7, 125.9, 125.4, 124.1, 122.6, 119.5, 115.3, 79.1, 41.3, 28.0; IR (neat): ν 3452, 3058, 2906, 1583, 1493, 1446, 1433, 1068, 1053, 909, 899, 869, 797, 763, 698 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{18}\text{H}_{17}\text{NOSBr}$ $[\text{M}+\text{H}]^+$: 374.0209, found: 374.0197.



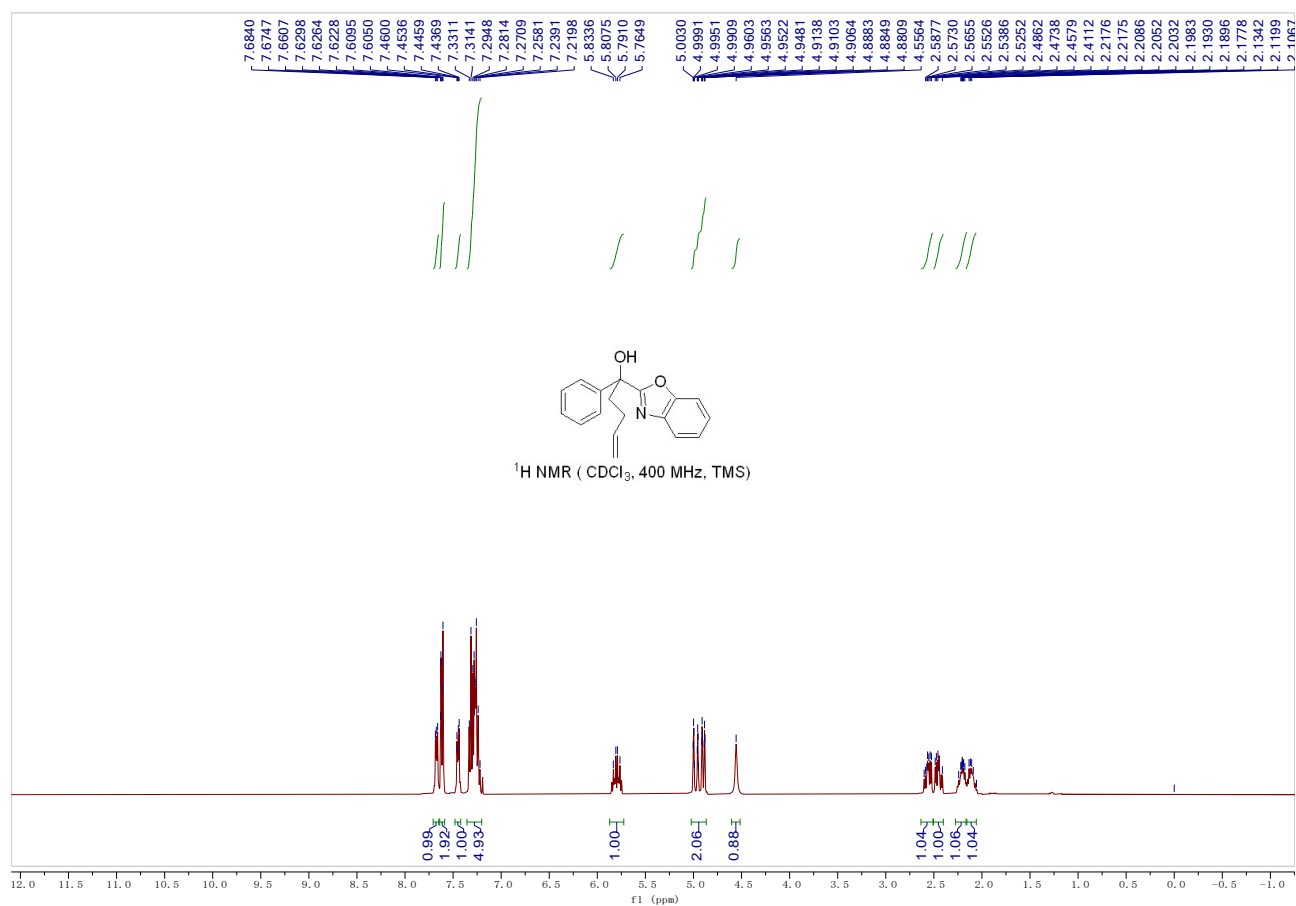


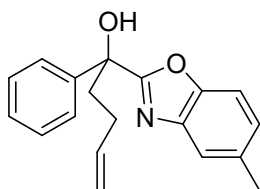
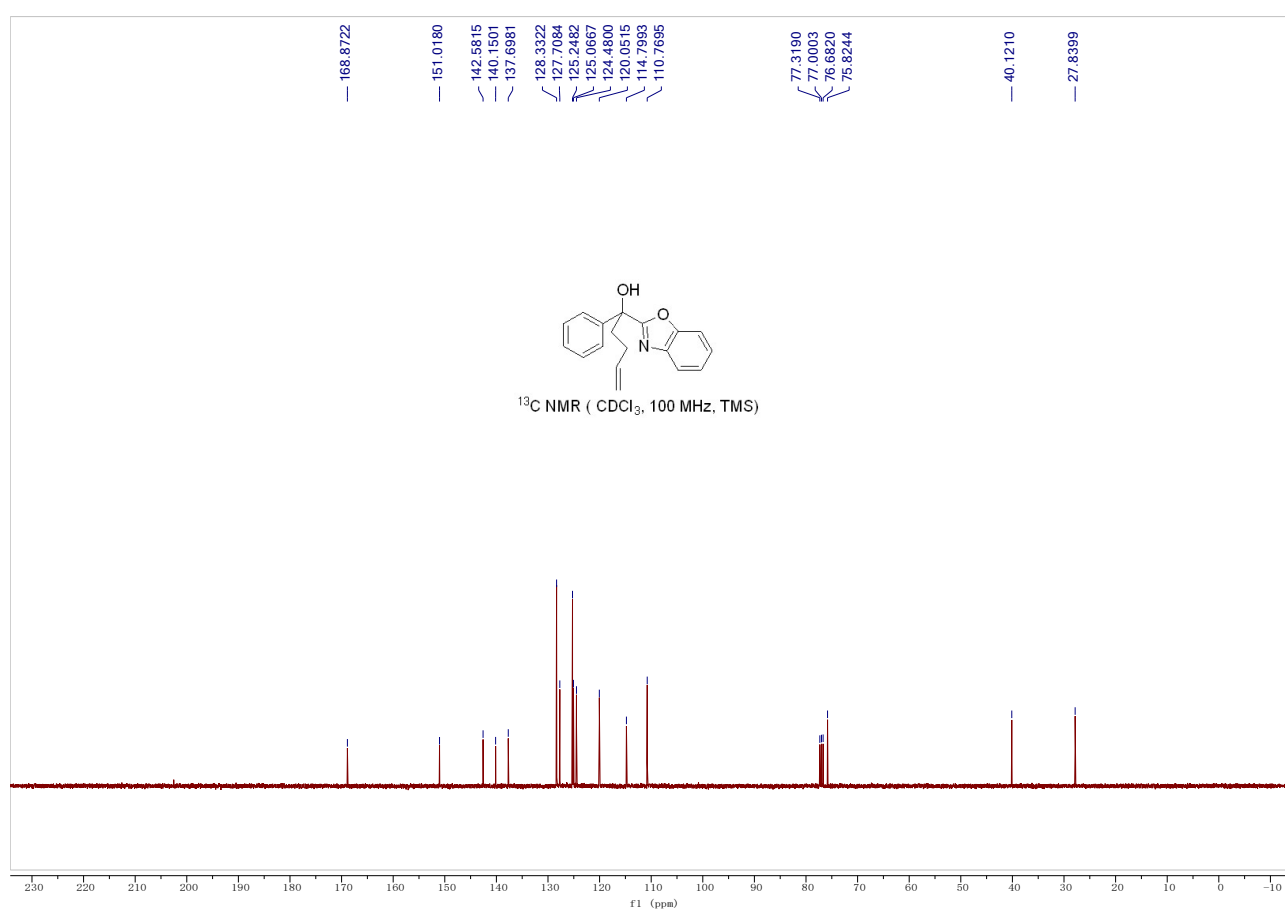
Compound 1aa: Yield: 265.7 mg, 43%; A white solid; Mp: 126 - 128 °C; isolated by column chromatography on silica gel (PE/EtOAc = 2:1, R_f = 0.4); ^1H NMR (400 MHz, CDCl_3) δ 7.85 – 7.79 (m, 4H), 7.78 – 7.72 (m, 2H), 7.69 – 7.58 (m, 2H), 7.40 – 7.27 (m, 7H), 6.97 – 6.92 (m, 1H), 5.96 – 5.77 (m, 1H), 5.06 – 4.90 (m, 2H), 3.71 (br, 1H), 2.60 – 2.52 (m, 2H), 2.47 (s, 6H), 2.22 – 2.11 (m, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 180.4, 153.2, 145.1, 143.2, 137.9, 137.7, 136.4, 132.3, 129.6, 128.6, 128.5, 127.9, 127.8, 126.2, 125.4, 121.9, 115.4, 79.1, 41.3, 28.0, 21.7; IR (neat): ν 2986, 2922, 1596, 1447, 1377, 1188, 1167, 1084, 979, 919, 861, 811, 732, 701, 674, 658 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{32}\text{H}_{31}\text{N}_2\text{O}_5\text{S}_3$ $[\text{M}+\text{H}]^+$: 619.1389, found: 619.1381.



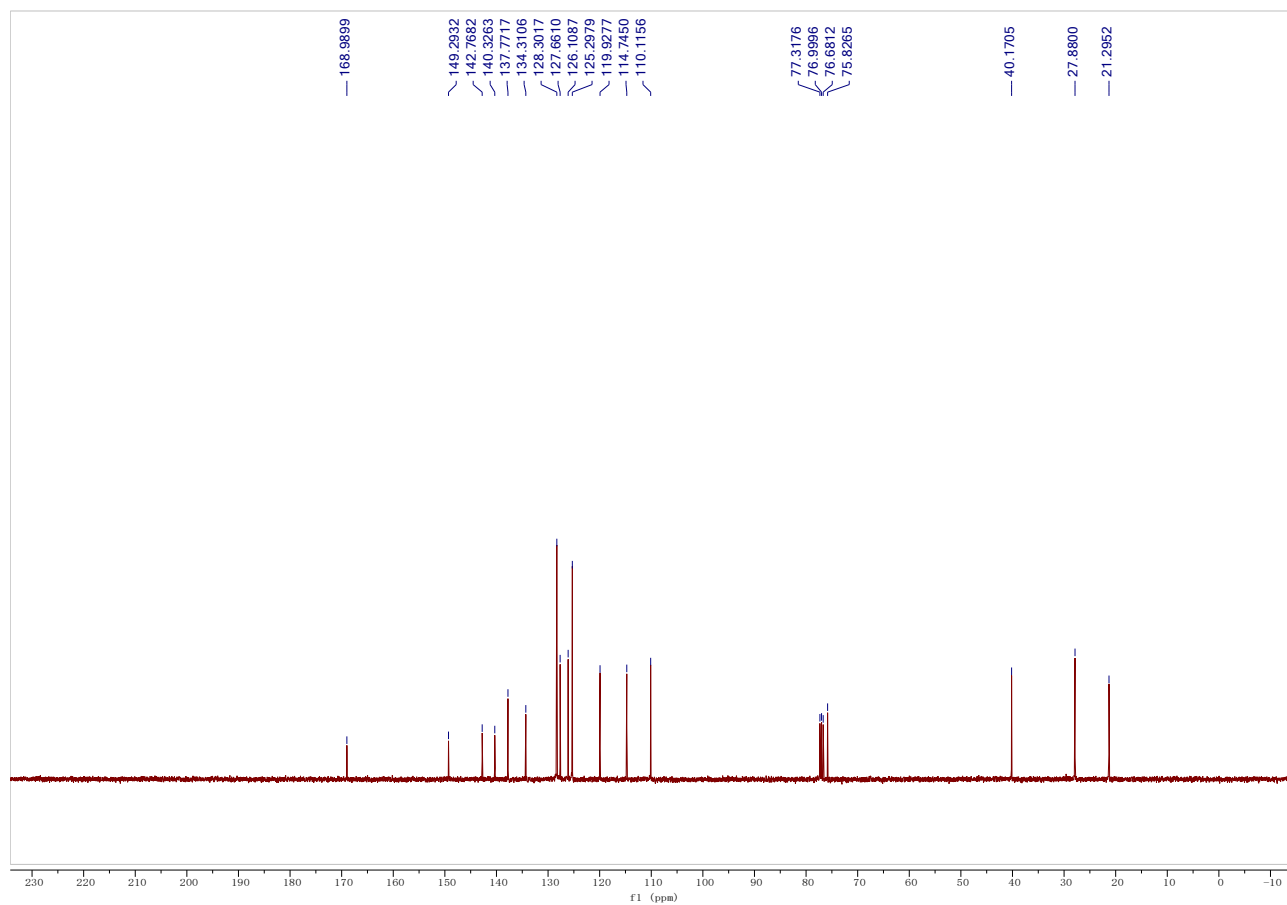
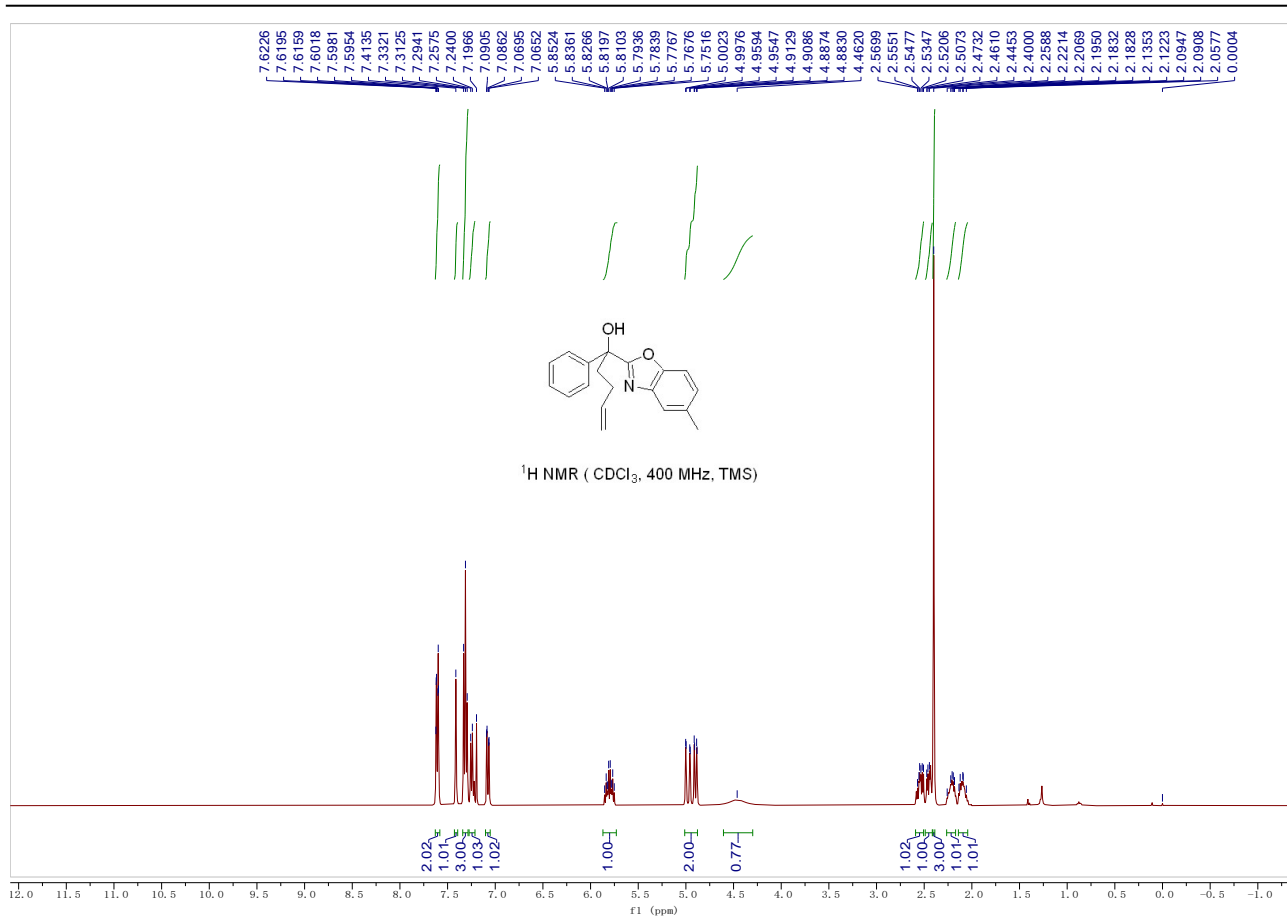


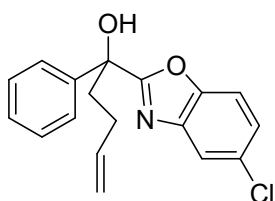
Compound 1ab: Yield: 245.5 mg, 88%; A white solid; This is a known compound and its spectroscopic data are consistent with those reported in the literature;¹ ¹H NMR (400 MHz, CDCl₃) δ 7.71 – 7.65 (m, 1H), 7.64 – 7.59 (m, 2H), 7.48 – 7.42 (m, 1H), 7.36 – 7.20 (m, 5H), 5.87 – 5.72 (m, 1H), 5.02 – 4.87 (m, 2H), 4.56 (br, 1H), 2.63 – 2.51 (m, 1H), 2.50 – 2.40 (m, 1H), 2.28 – 2.16 (m, 1H), 2.17 – 2.06 (m, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 168.9, 151.0, 142.6, 140.1, 137.7, 128.3, 127.7, 125.2, 125.1, 124.5, 120.1, 114.8, 110.8, 75.8, 40.1, 27.8.



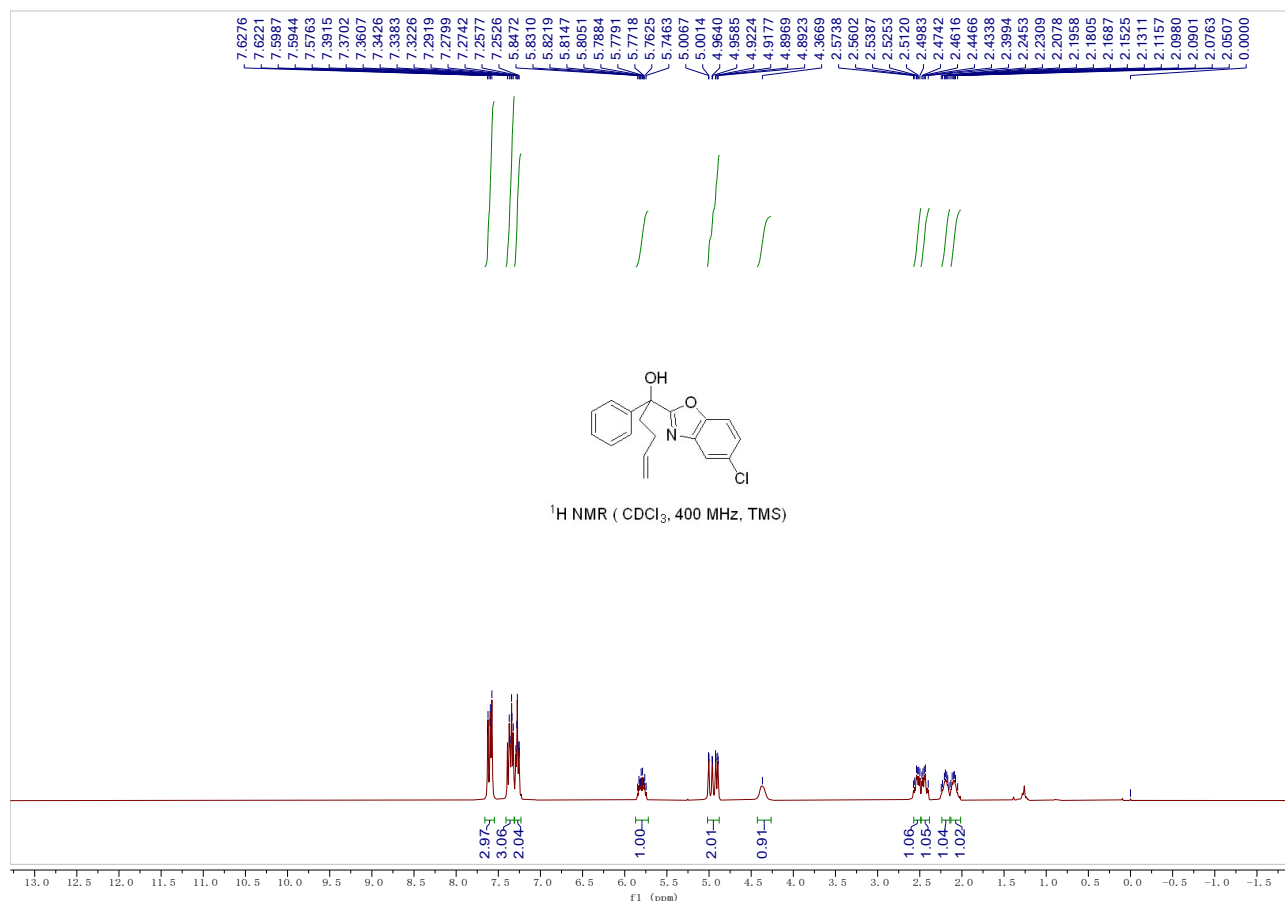


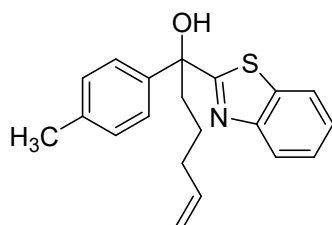
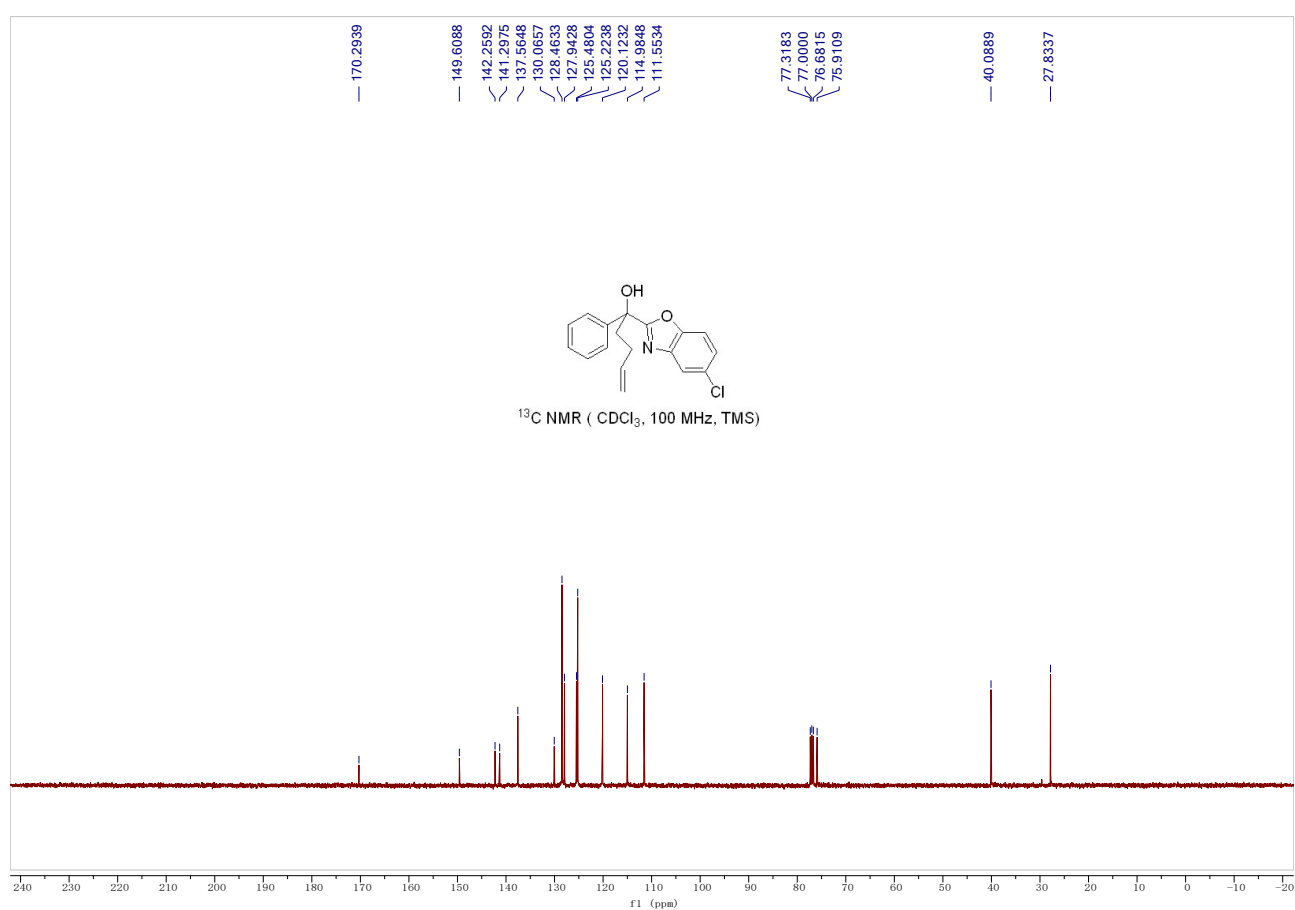
Compound 1ac: Yield: 263.7 mg, 90%; A white solid; Mp: 106 - 108 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ¹H NMR (400 MHz, CDCl₃) δ 7.63 – 7.58 (m, 2H), 7.43 – 7.39 (m, 1H), 7.34 – 7.29 (m, 3H), 7.27 – 7.21 (m, 1H), 7.10 – 7.05 (m, 1H), 5.87 – 5.73 (m, 1H), 5.01 – 4.88 (m, 2H), 4.46 (br, 1H), 2.59 – 2.51 (m, 1H), 2.49 – 2.41 (m, 1H), 2.40 (s, 3H), 2.26 – 2.17 (m, 1H), 2.14 – 2.04 (m, 1H). ¹³C NMR (100 MHz, CDCl₃) δ 169.0, 149.3, 142.8, 140.3, 137.8, 134.3, 128.3, 127.7, 126.1, 125.3, 119.9, 114.7, 110.1, 75.8, 40.2, 27.9, 21.3; IR (neat): ν 3214, 2925, 1503, 1482, 1393, 1317, 1133, 1092, 1064, 1007, 912, 878, 816, 754, 725, 655 cm⁻¹; HRMS (ESI⁺) Calcd. for C₁₉H₁₉NO₂Na [M+Na]⁺: 316.1308, found: 316.1304.



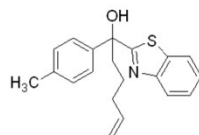
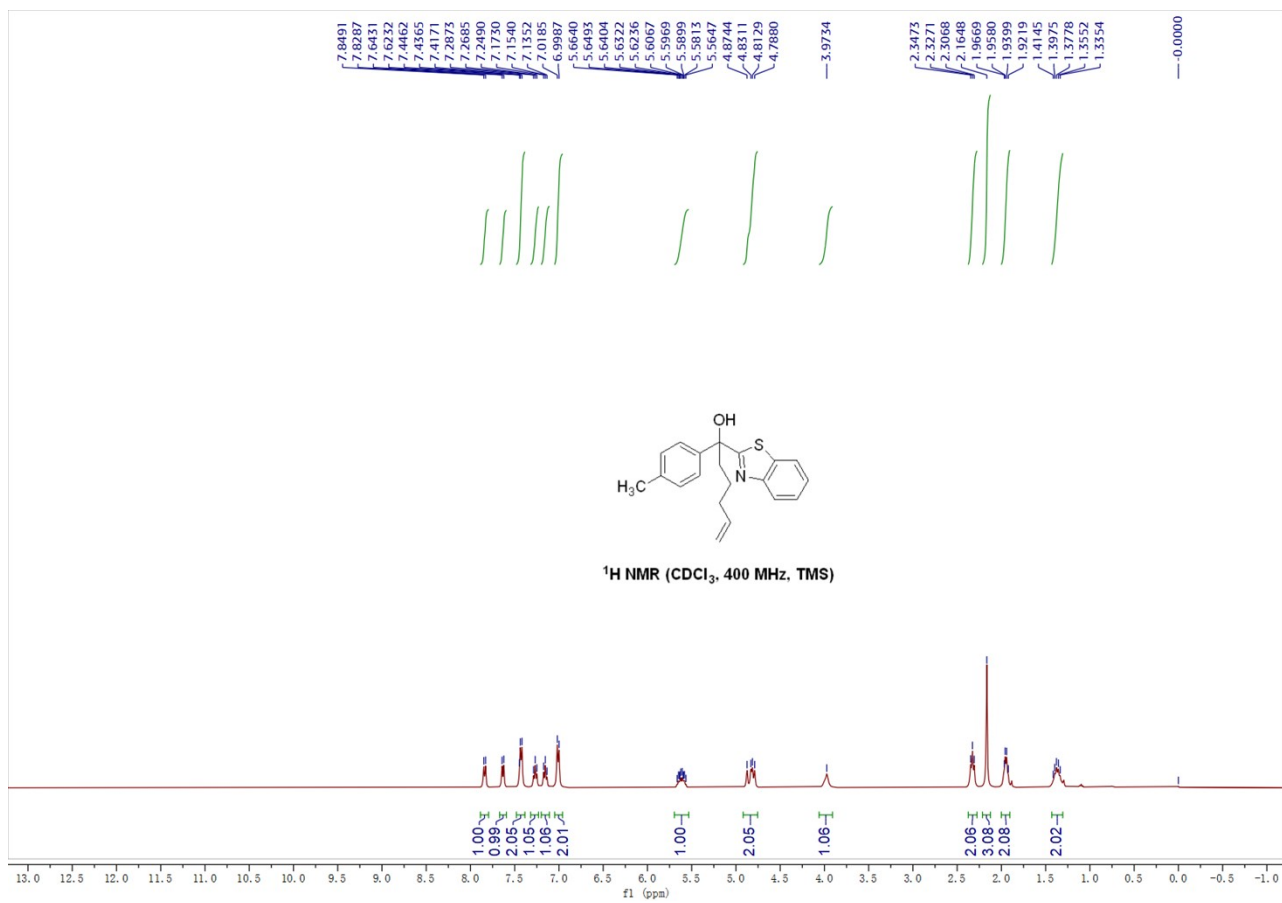


Compound 1ad: Yield: 247.3 mg, 79%; A white solid; Mp: 116 - 118 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ^1H NMR (400 MHz, CDCl_3) δ 7.66 – 7.55 (m, 3H), 7.41 – 7.31 (m, 3H), 7.31 – 7.23 (m, 2H), 5.87 – 5.72 (m, 1H), 5.02 – 4.88 (m, 2H), 4.37 (br, 1H), 2.57 – 2.49 (m, 1H), 2.49 – 2.39 (m, 1H), 2.24 – 2.14 (m, 1H), 2.13 – 2.02 (m, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 170.3, 149.6, 142.3, 141.3, 137.6, 130.1, 128.5, 127.9, 125.5, 125.2, 120.1, 115.0, 111.6, 75.9, 40.1, 27.8; IR (neat): ν 3402, 2922, 1646, 1557, 1449, 1255, 1137, 1071, 1057, 919, 873, 800, 724, 696 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{18}\text{H}_{17}\text{NO}_2\text{Cl}$ $[\text{M}+\text{H}]^+$: 314.0942, found: 314.094.

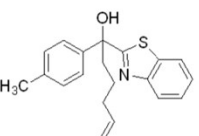
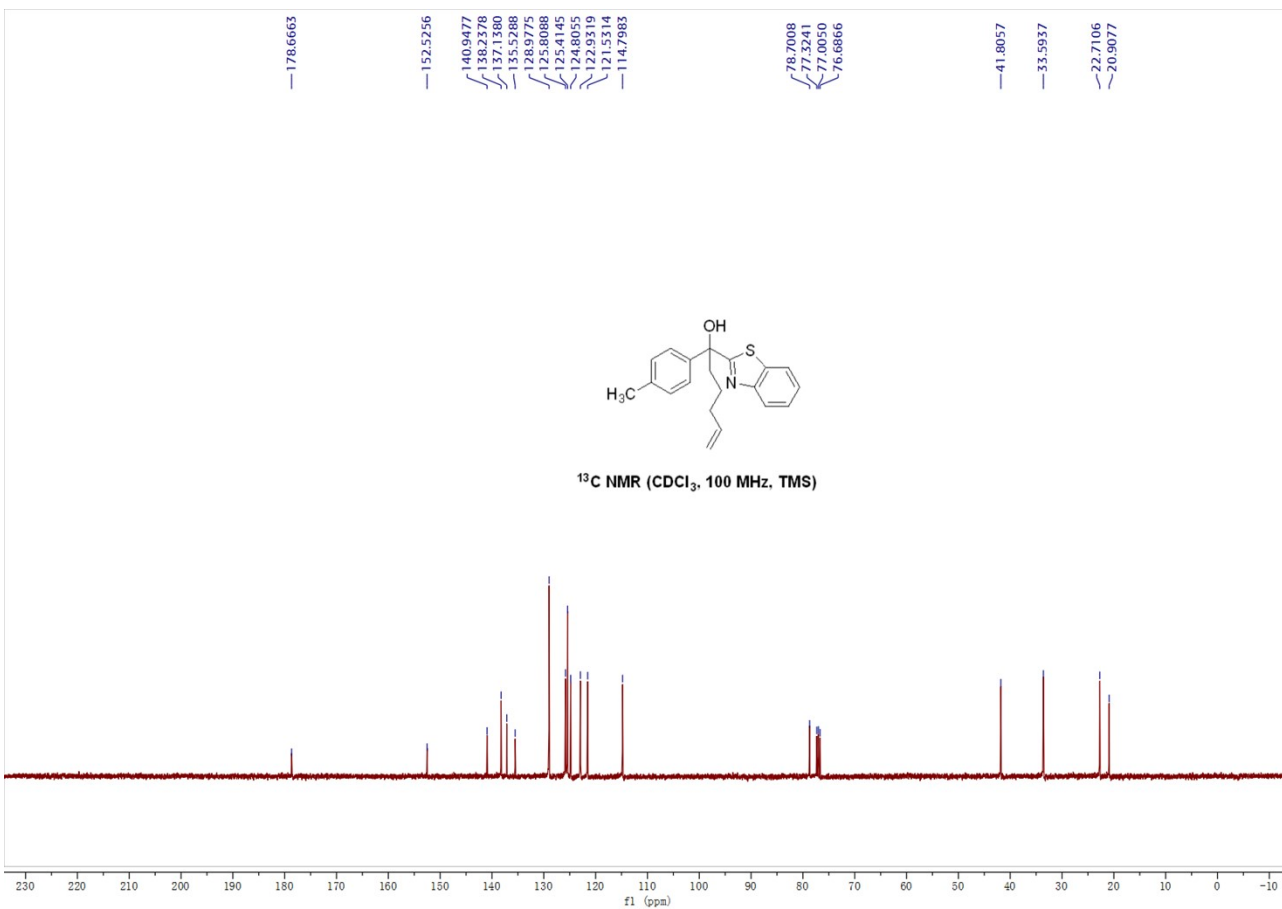




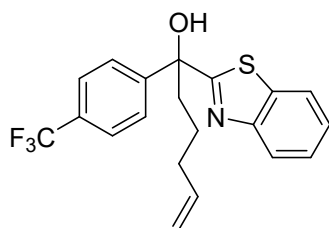
Compound 1ae: Yield: 203.5 mg, 78%; A white solid; Mp: 95 - 97 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ^1H NMR (400 MHz, CDCl_3) δ 7.84 (d, J = 8.1 Hz, 1H), 7.63 (d, J = 8.1 Hz, 1H), 7.43 (d, J = 7.9 Hz, 2H), 7.27 (t, J = 7.6 Hz, 1H), 7.15 (t, J = 7.6 Hz, 1H), 7.01 (d, J = 7.9 Hz, 2H), 5.70 – 5.53 (m, 1H), 4.92 – 4.75 (m, 2H), 3.97 (br, 1H), 2.37 – 2.28 (m, 2H), 2.16 (s, 3H), 2.00 – 1.91 (m, 2H), 1.43 – 1.31 (m, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 178.7, 152.5, 140.9, 138.2, 137.1, 135.5, 129.0, 125.8, 125.4, 124.8, 122.9, 121.5, 114.8, 78.7, 41.8, 33.6, 22.7, 20.9; IR (neat): ν 3441, 3063, 2917, 1639, 1509, 1437, 1312, 1241, 1084, 991, 908, 813, 757, 728 cm^{-1} ; HRMS (ESI⁺) Calcd. for $\text{C}_{20}\text{H}_{21}\text{NONaS}$ $[\text{M}+\text{Na}]^+$: 346.1236, found: 346.1234.



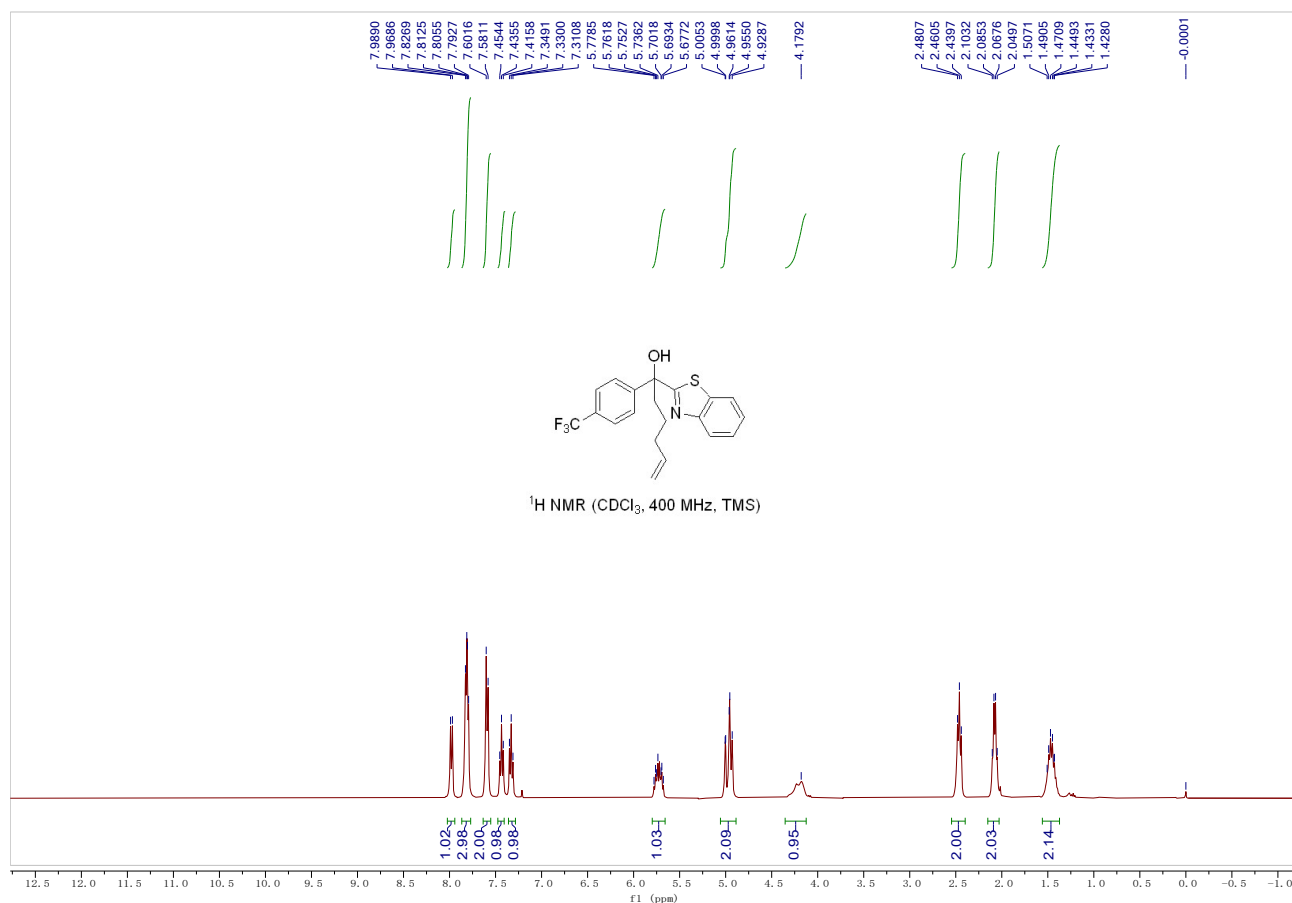
¹H NMR (CDCl₃, 400 MHz, TMS)

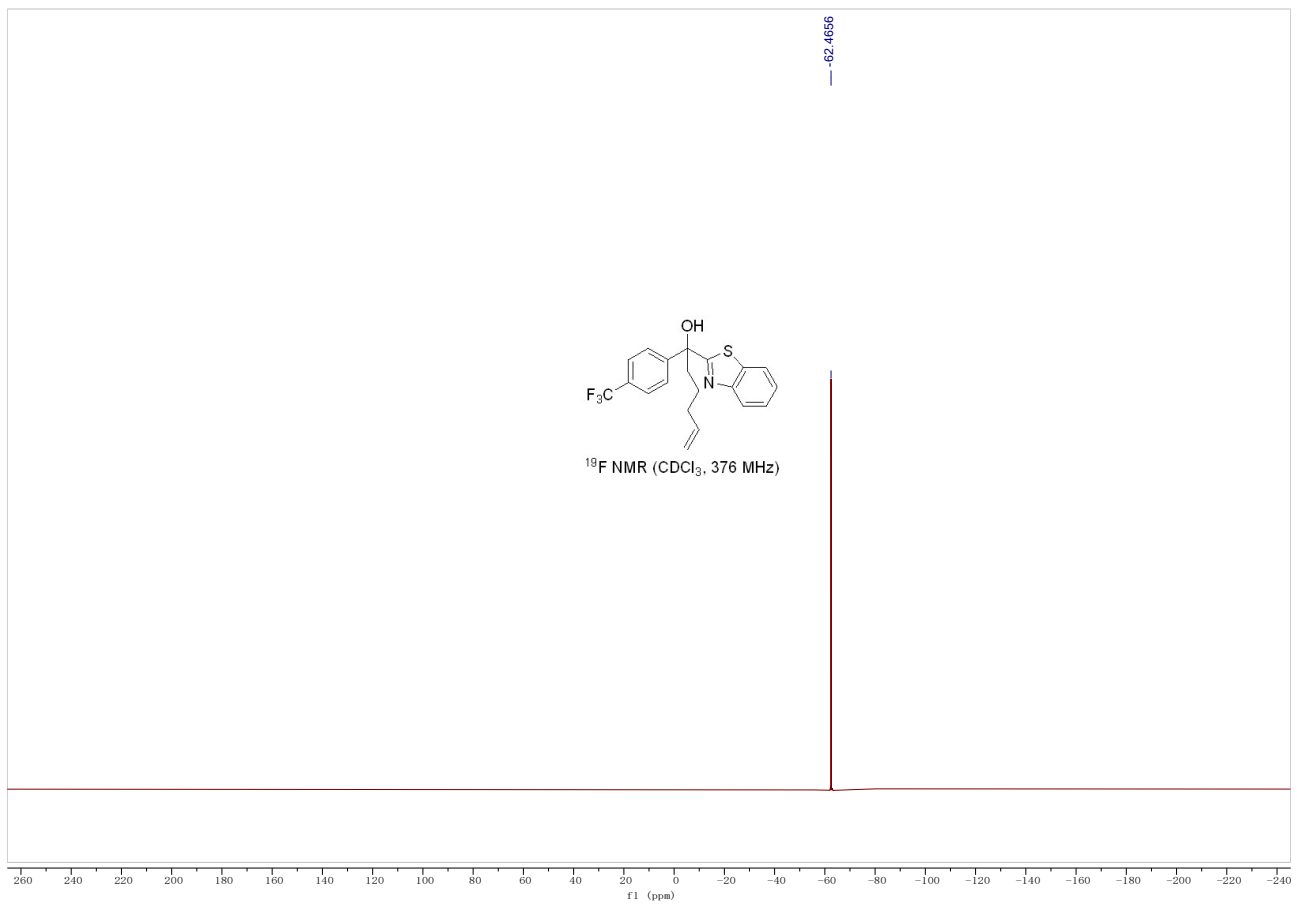
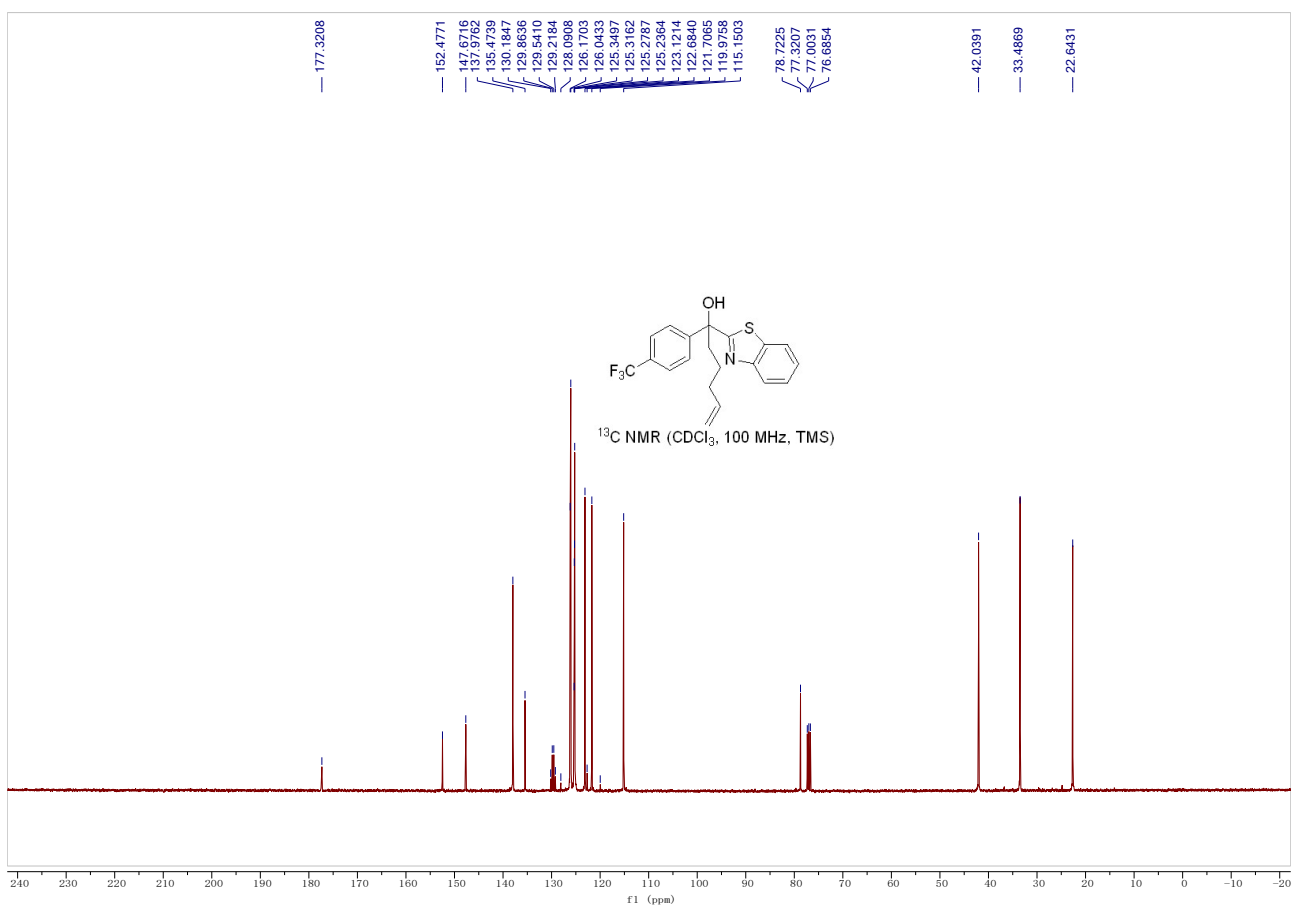


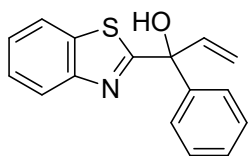
¹³C NMR (CDCl₃, 100 MHz, TMS)



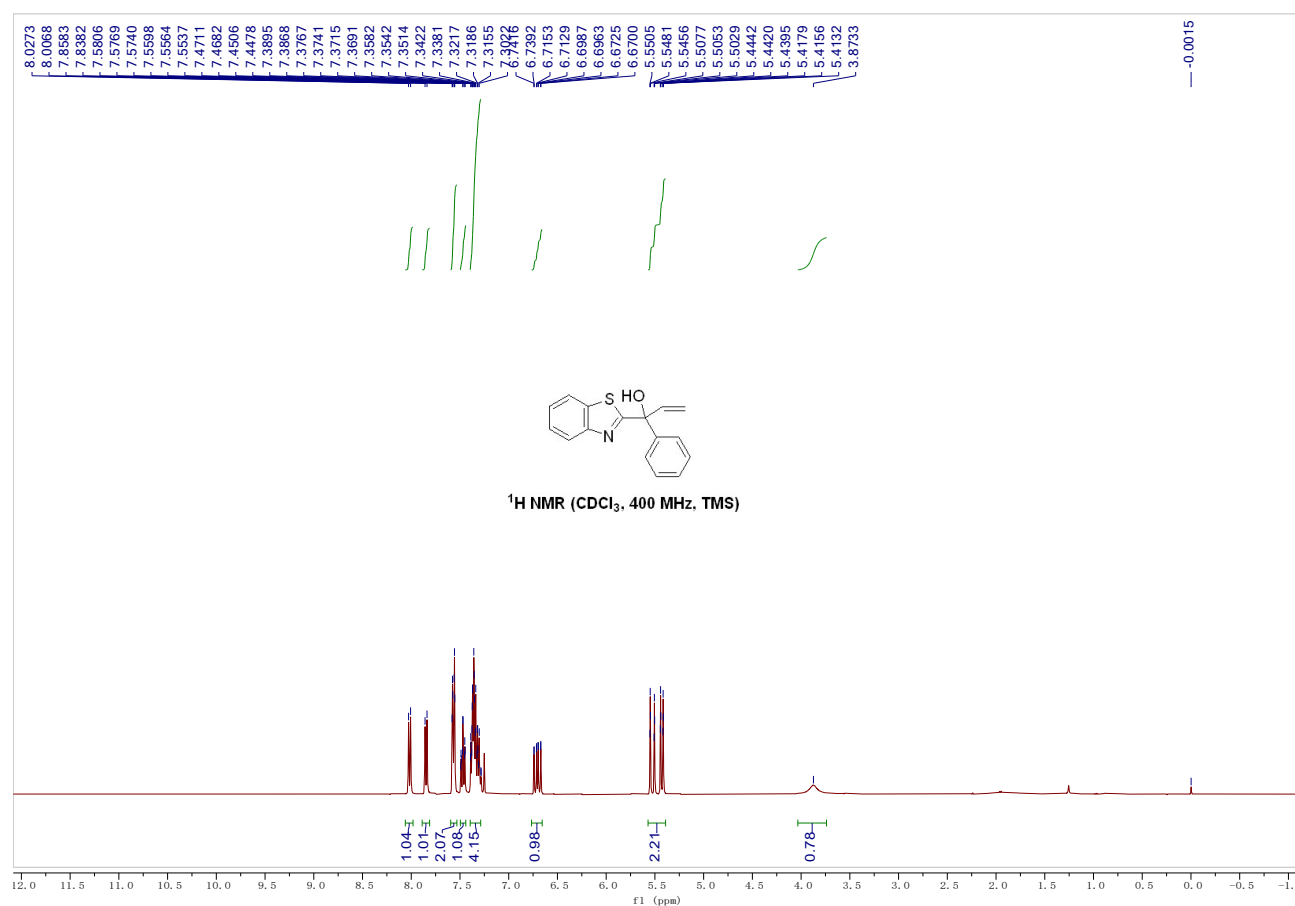
Compound 1af: Yield: 252.6 mg, 67%; A white solid; Mp: 111 - 113 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.98 (d, J = 8.2 Hz, 1H), 7.87 – 7.77 (m, 3H), 7.59 (d, J = 8.2 Hz, 2H), 7.44 (t, J = 7.7 Hz, 1H), 7.33 (t, J = 7.7 Hz, 1H), 5.80 – 5.66 (m, 1H), 5.06 – 4.89 (m, 2H), 4.18 (s, 1H), 2.46 (t, J = 8.2 Hz, 2H), 2.15 – 2.03 (m, 2H), 1.56 – 1.37 (m, 2H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ 177.3, 152.5, 147.7, 138.0, 135.5, 129.7 (q, J = 32.3 Hz), 126.2, 126.0, 125.3, 125.3, 125.3, 125.2, 124.0 (q, J = 270.2 Hz), 123.1, 121.7, 115.2, 78.7, 42.0, 33.5, 22.6; $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -62.5; IR (neat): ν 3332, 1610, 1403, 1323, 1163, 1211, 1067, 1016, 913, 847, 758, 729 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{20}\text{H}_{19}\text{NOF}_3\text{S}$ $[\text{M}+\text{H}]^+$: 378.1134, found: 378.1129.

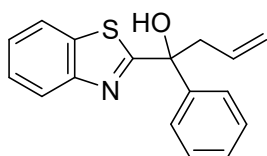
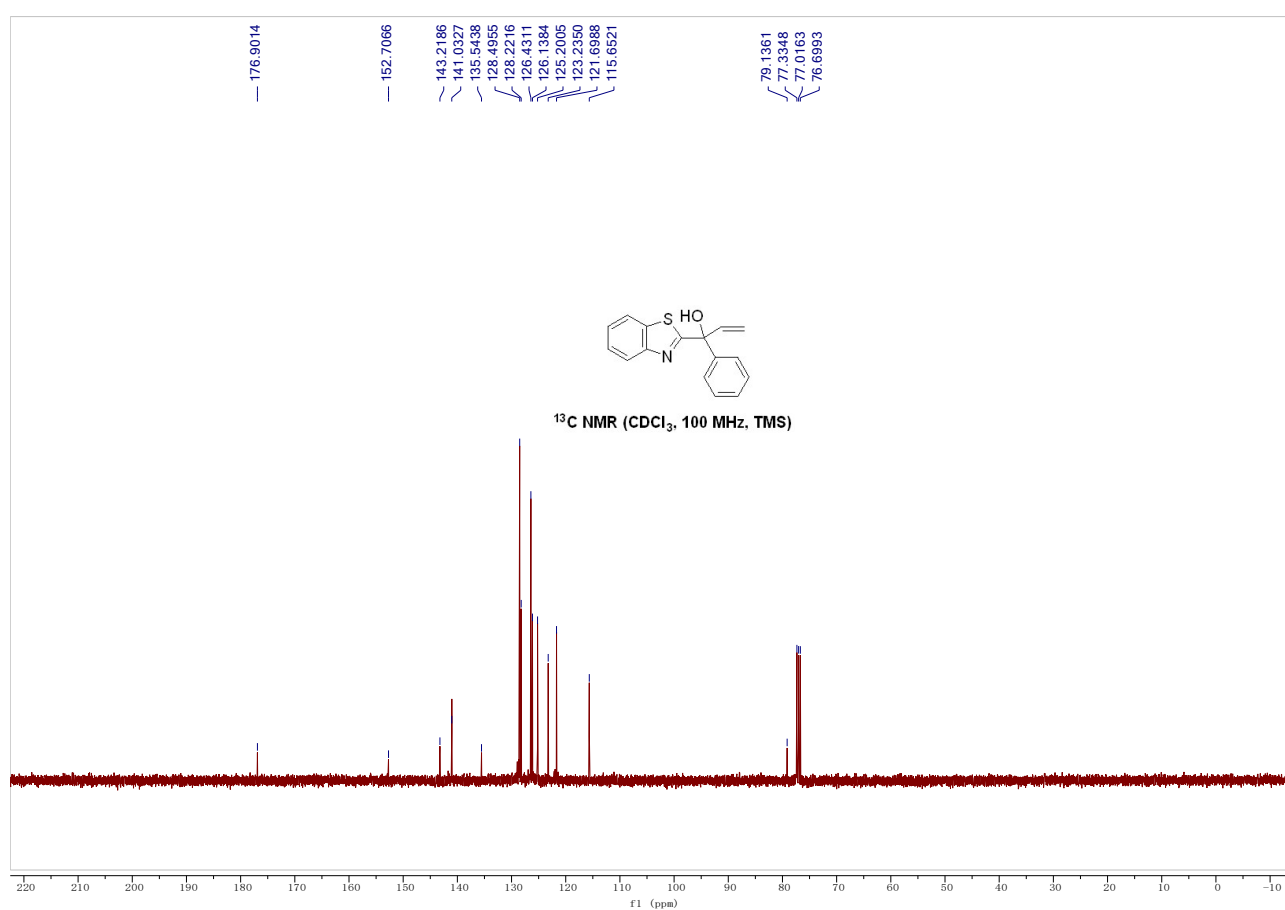




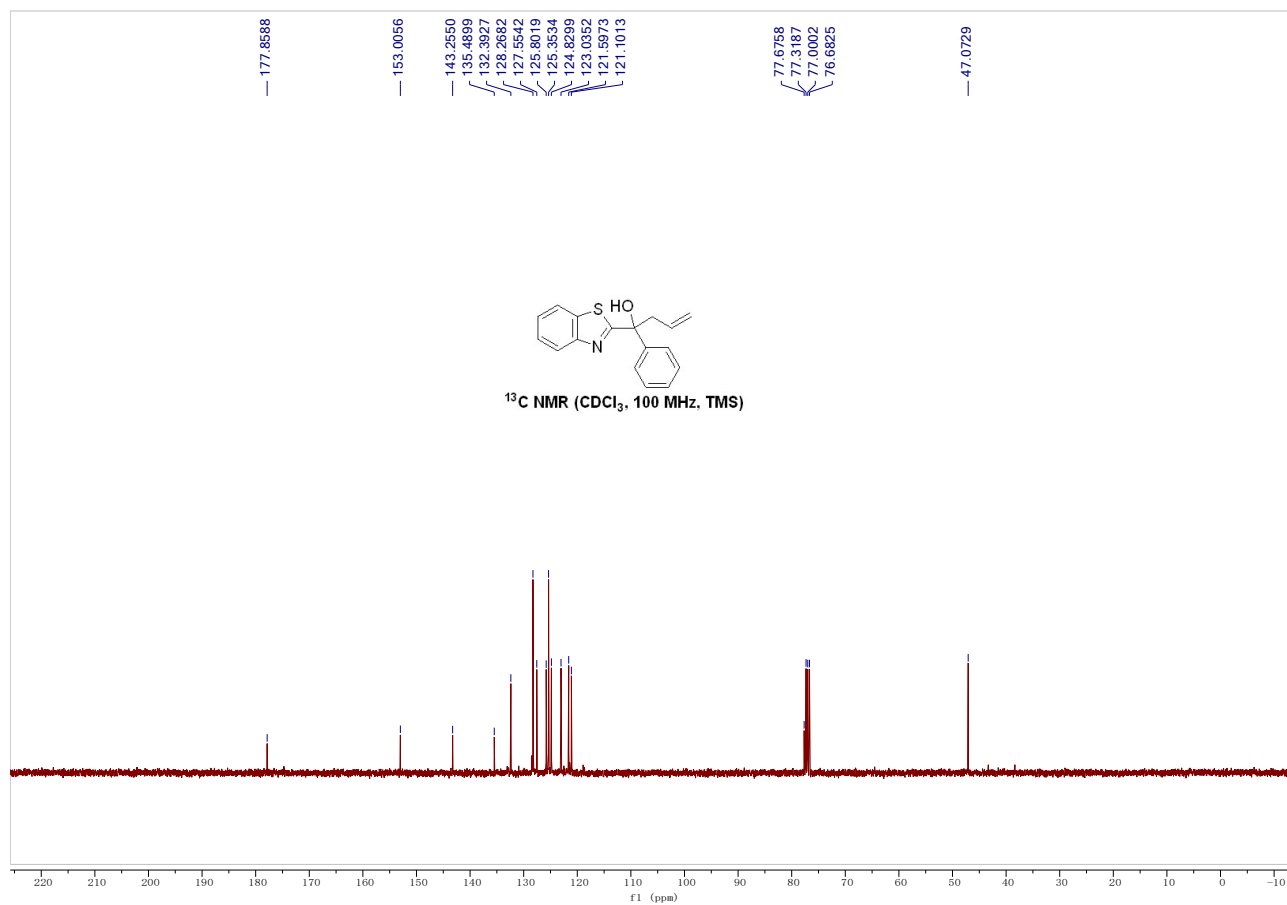
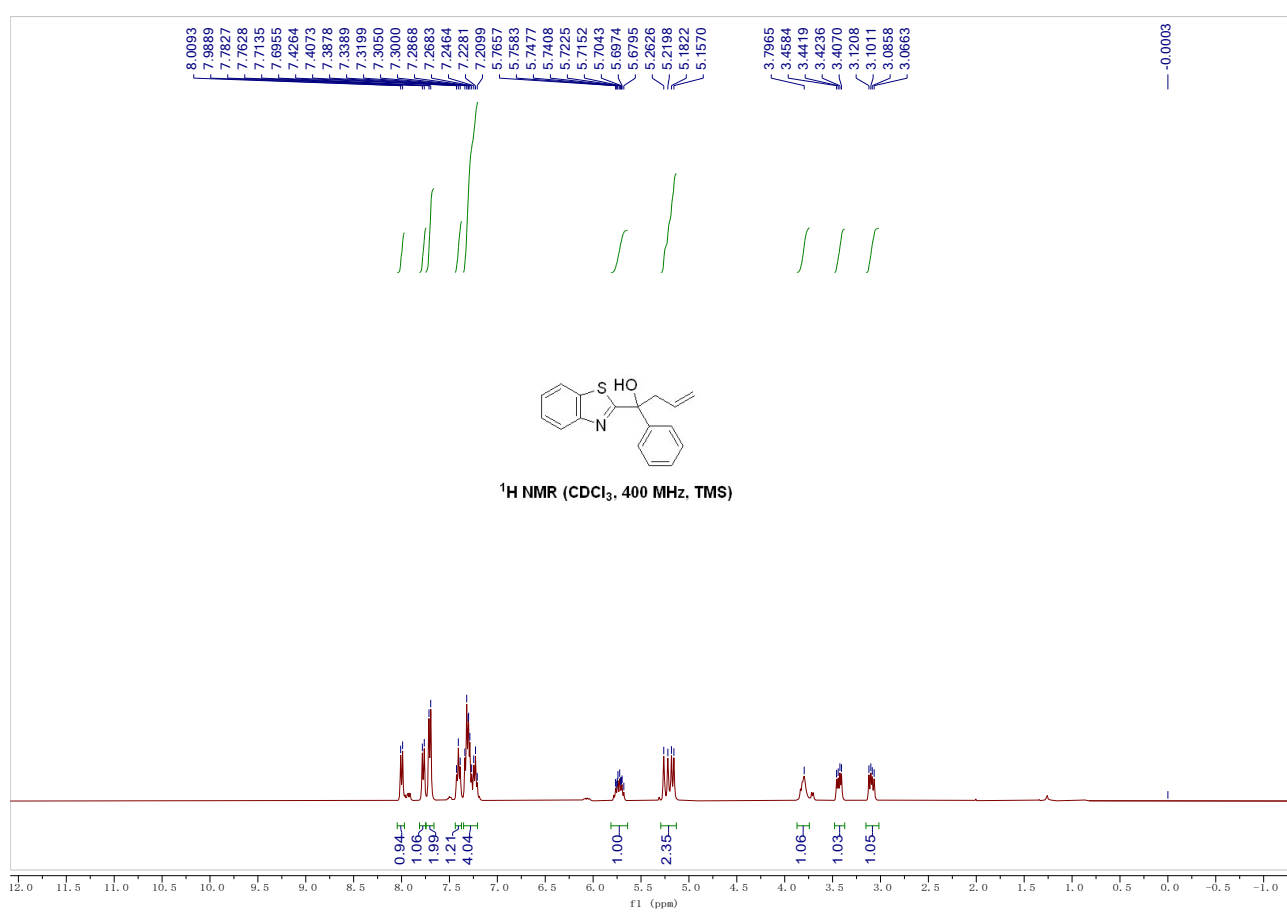


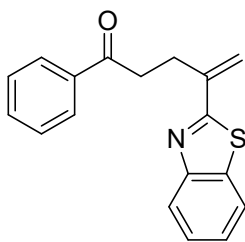
Compound 1ag: Yield: 206.5 mg, 77%; A yellow solid; This is a known compound and its spectroscopic data are consistent with those reported in the literature;¹ ¹H NMR (400 MHz, CDCl₃) δ 8.02 (d, *J* = 8.1 Hz, 1H), 7.85 (d, *J* = 8.1 Hz, 1H), 7.60 – 7.53 (m, 2H), 7.50 – 7.44 (m, 1H), 7.40 – 7.29 (m, 4H), 6.77 – 6.66 (m, 1H), 5.57 – 5.39 (m, 2H), 3.87 (br, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 176.9, 152.7, 143.2, 141.0, 135.5, 128.5, 128.2, 126.4, 126.1, 125.2, 123.2, 121.7, 115.7, 79.1.



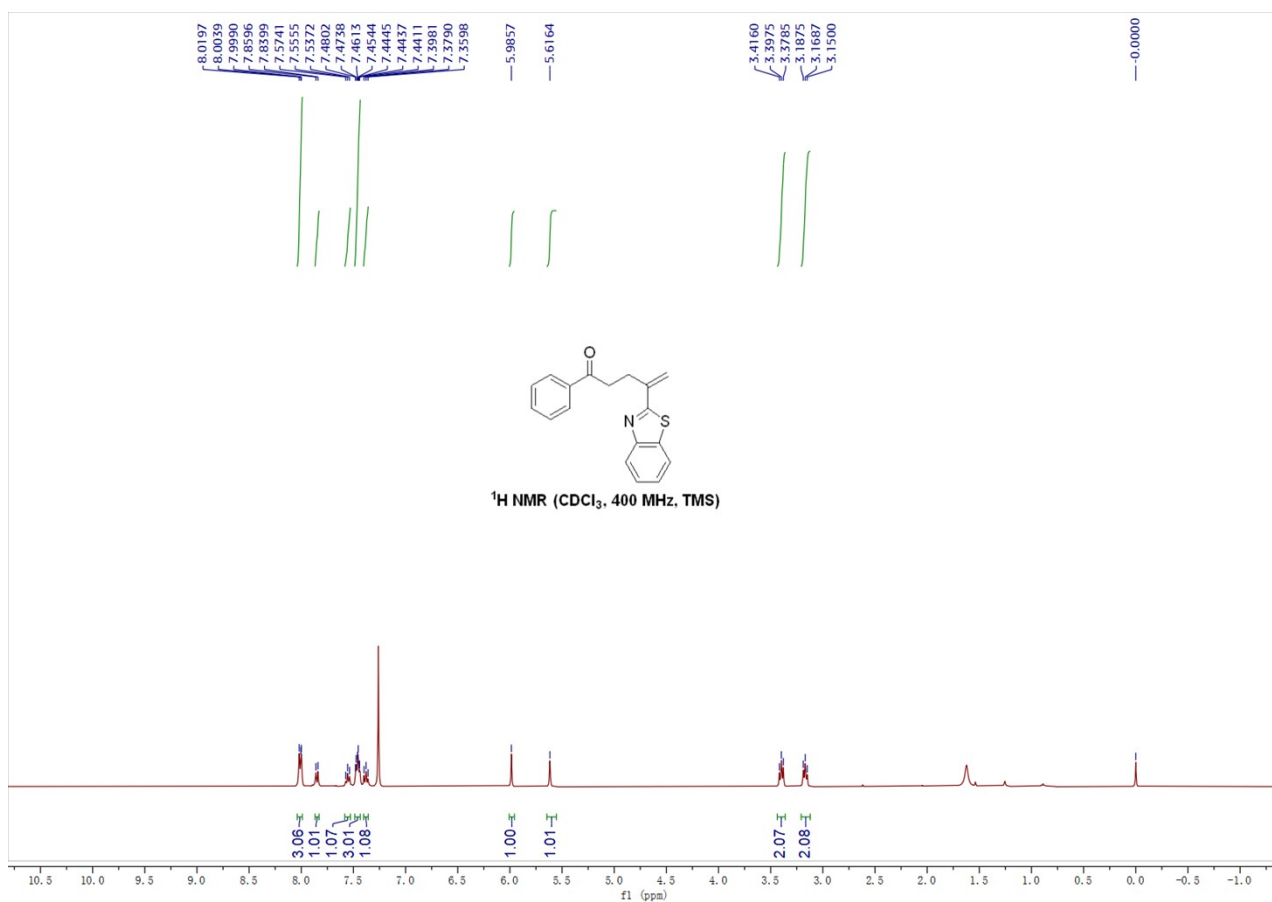


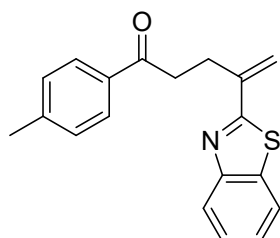
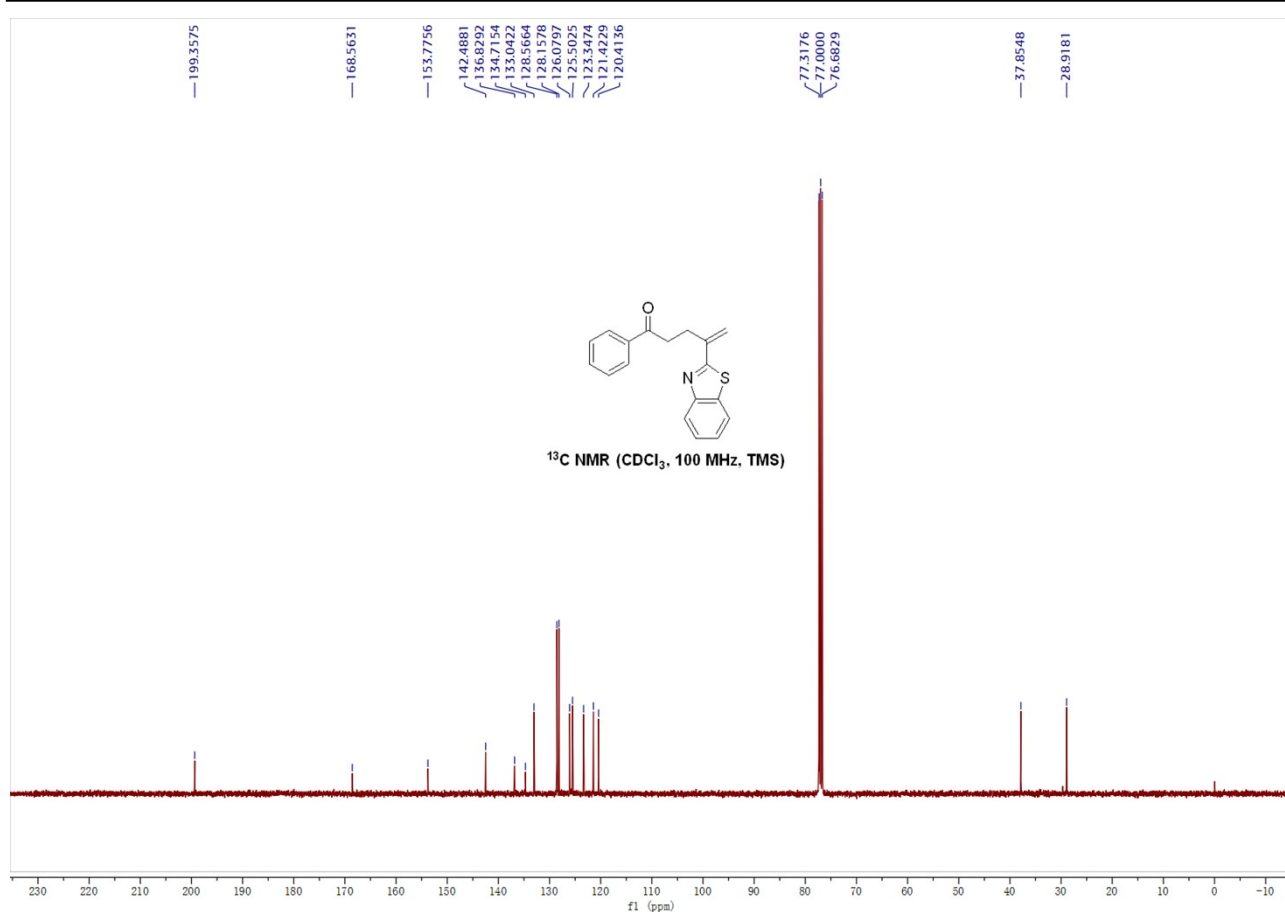
Compound 1ah: Yield: 227.5 mg, 81%; A yellow solid; This is a known compound and its spectroscopic data are consistent with those reported in the literature;¹ ¹H NMR (400 MHz, CDCl₃) δ 8.00 (d, *J* = 8.1 Hz, 1H), 7.77 (d, *J* = 8.1 Hz, 1H), 7.75 – 7.66 (m, 2H), 7.41 (t, *J* = 7.7 Hz, 1H), 7.35 – 7.21 (m, 4H), 5.81 – 5.64 (m, 1H), 5.29 – 5.13 (m, 2H), 3.80 (br, 1H), 3.48 – 3.37 (m, 1H), 3.15 – 3.02 (m, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 177.9, 153.0, 143.3, 135.5, 132.4, 128.3, 127.6, 125.8, 125.4, 124.8, 123.0, 121.6, 121.1, 77.7, 47.1.



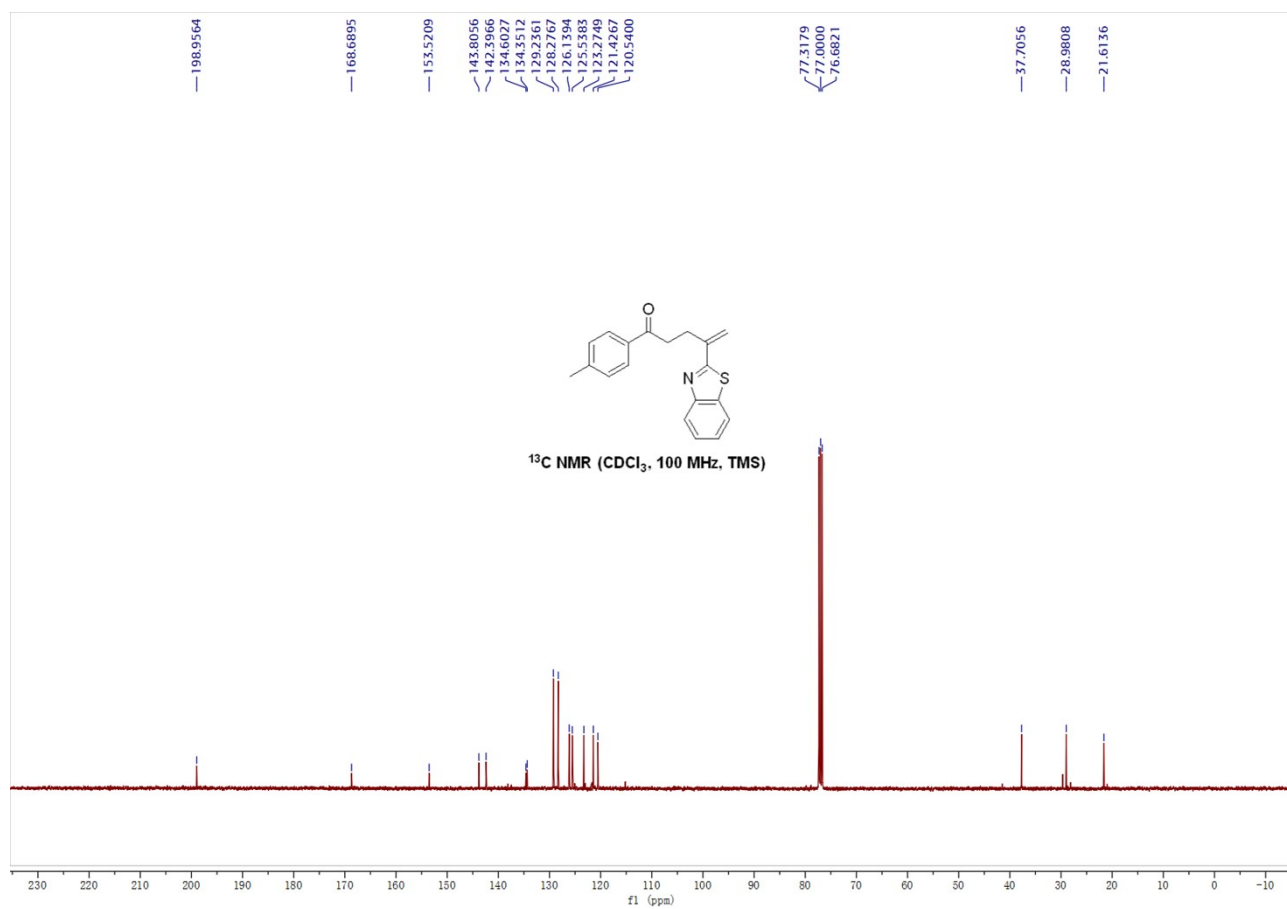
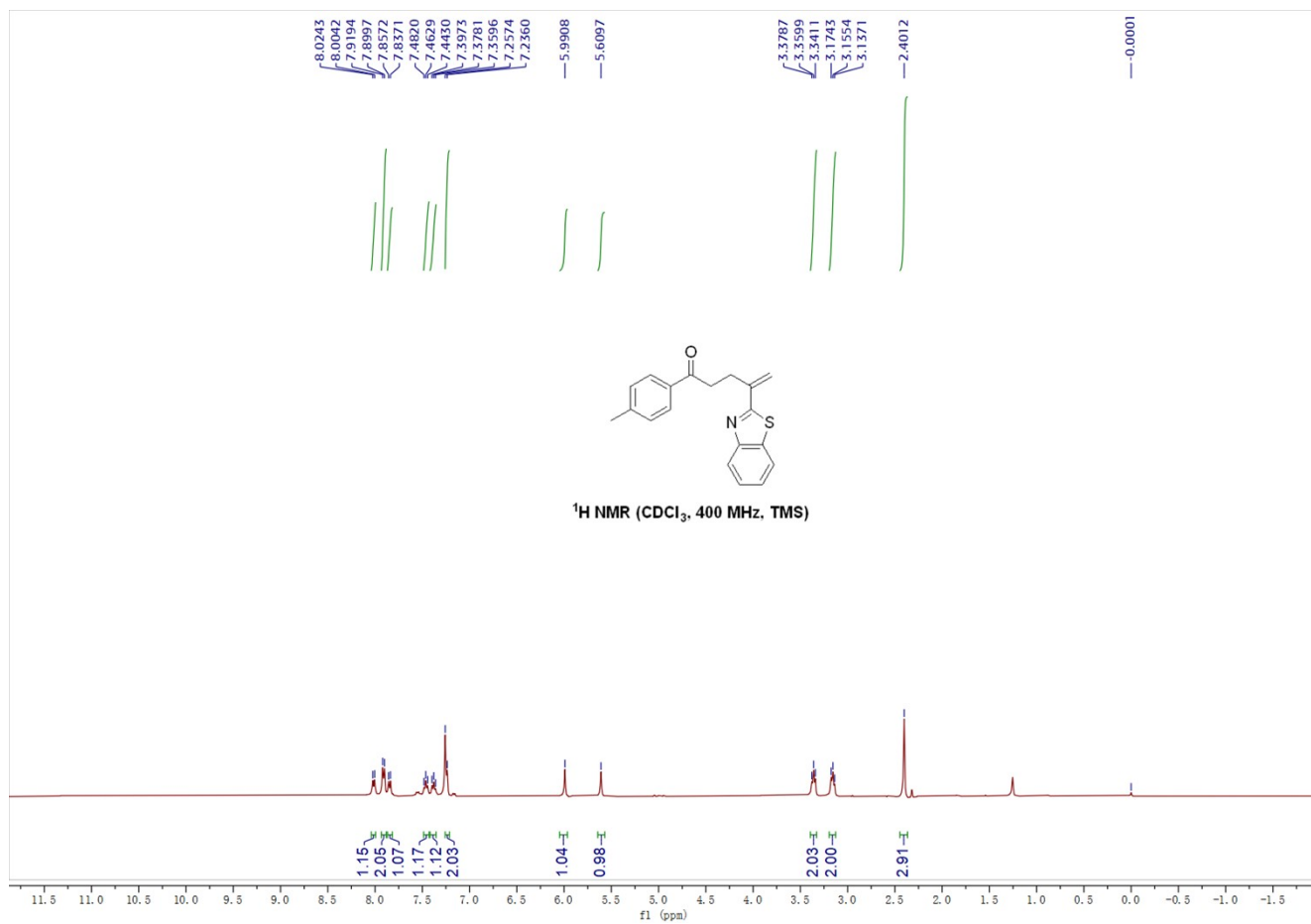


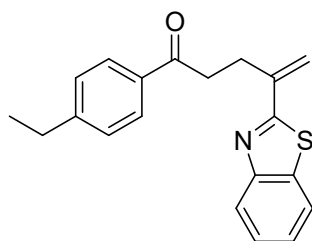
Compound 2a: Yield: 45.6 mg, 78%; A yellow solid; Mp: 77 - 79 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, $R_f = 0.4$); $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.02 – 8.00 (m, 3H), 7.84 (d, $J = 7.9$ Hz, 1H), 7.61 – 7.52 (m, 1H), 7.51 – 7.48 (m, 3H), 7.42 – 7.40 (m, 1H), 6.00 (s, 1H), 5.62 (s, 1H), 3.40 (t, $J = 7.5$ Hz, 2H), 3.17 (t, $J = 7.5$ Hz, 2H). $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ 199.4, 168.6, 153.8, 142.5, 136.8, 134.7, 133.0, 128.6, 128.2, 126.1, 125.5, 123.3, 121.4, 120.4, 37.9, 28.9; IR (neat): ν 2962, 2926, 1682, 1596, 1448, 1311, 1206, 973, 759, 743 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{18}\text{H}_{16}\text{NOS}$ $[\text{M}+\text{H}]^+$: 294.0947, found: 294.0940.



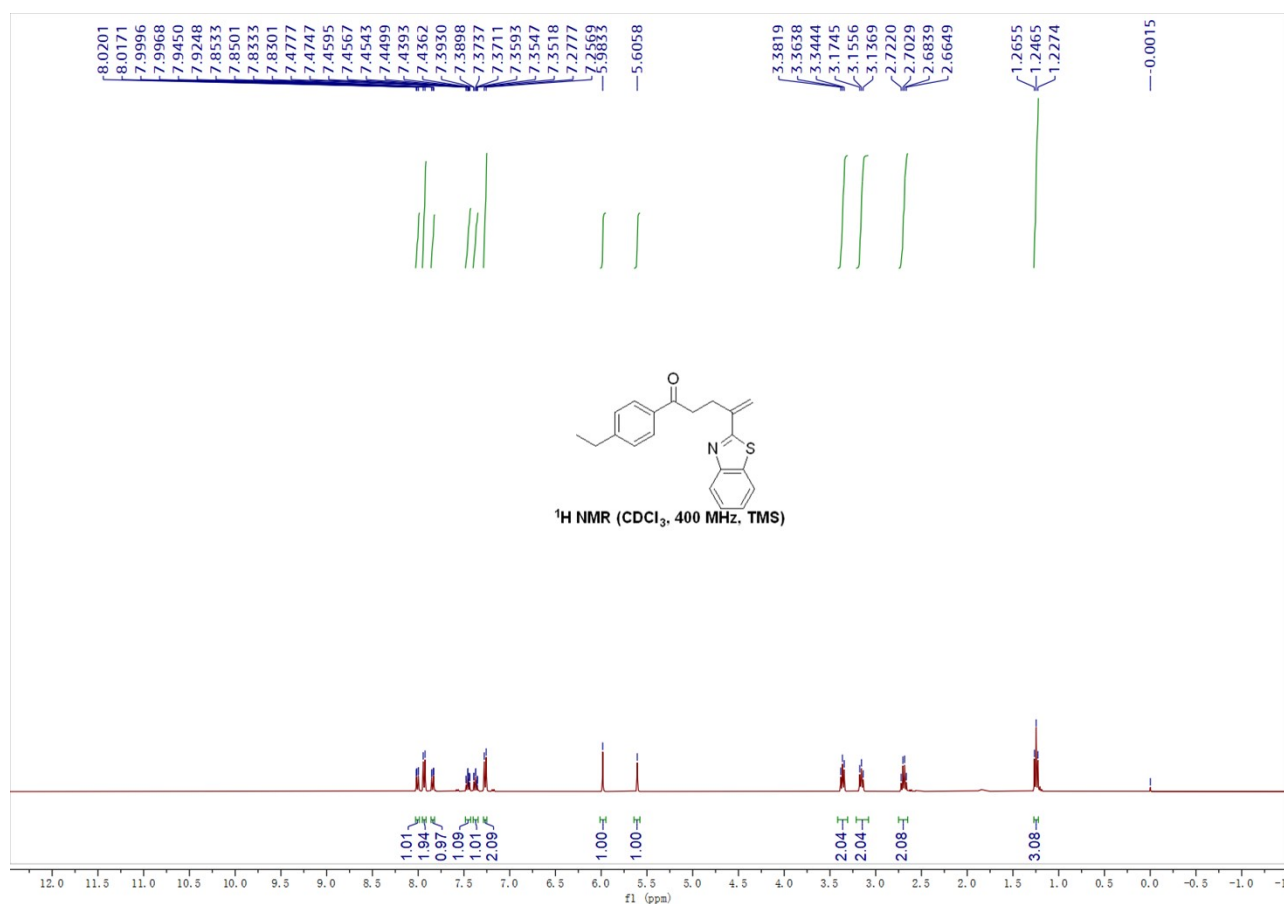


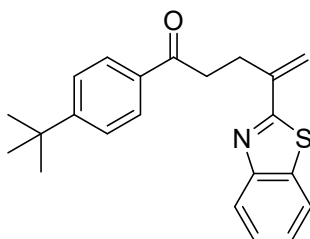
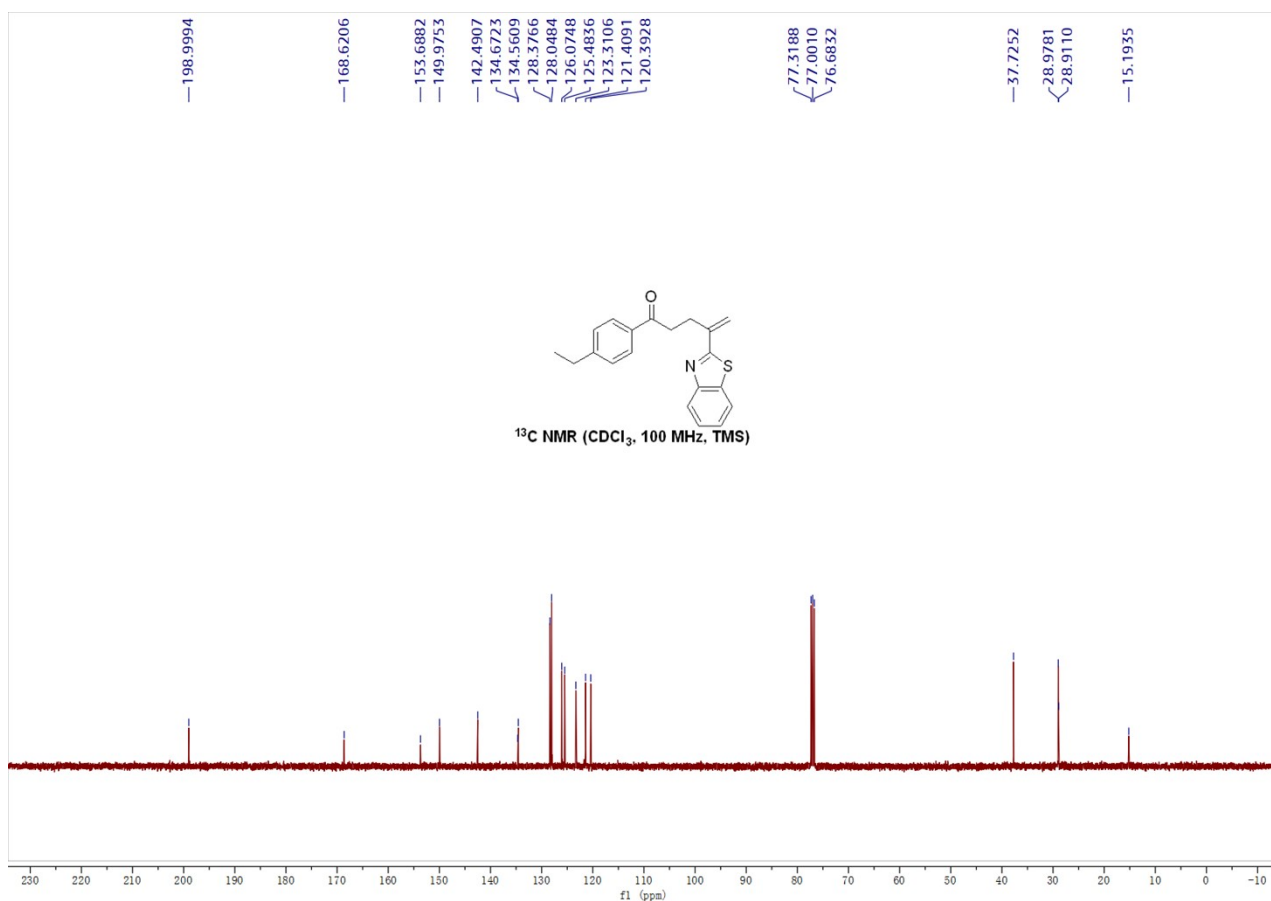
Compound 2b: Yield: 46.1 mg, 75%; A yellow solid; Mp: 88 - 90 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ¹H NMR (400 MHz, CDCl₃) δ 8.01 (d, J = 8.0 Hz, 1H), 7.91 (d, J = 7.9 Hz, 2H), 7.85 (d, J = 8.0 Hz, 1H), 7.49 – 7.43 (m, 1H), 7.42 – 7.35 (m, 1H), 7.26 – 7.21 (m, 2H), 5.99 (s, 1H), 5.61 (s, 1H), 3.36 (t, J = 7.5 Hz, 2H), 3.16 (t, J = 7.5 Hz, 2H), 2.40 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 199.0, 168.7, 153.5, 143.8, 142.4, 134.6, 134.4, 129.2, 128.3, 126.1, 125.5, 123.3, 121.4, 120.5, 37.7, 29.0, 21.6; IR (neat): ν 3318, 1674, 1456, 1434, 1198, 1123, 928, 887, 765, 738 cm⁻¹; HRMS (ESI+) Calcd. for C₁₉H₁₈NOS [M+H]⁺: 308.1104, found: 308.1100.



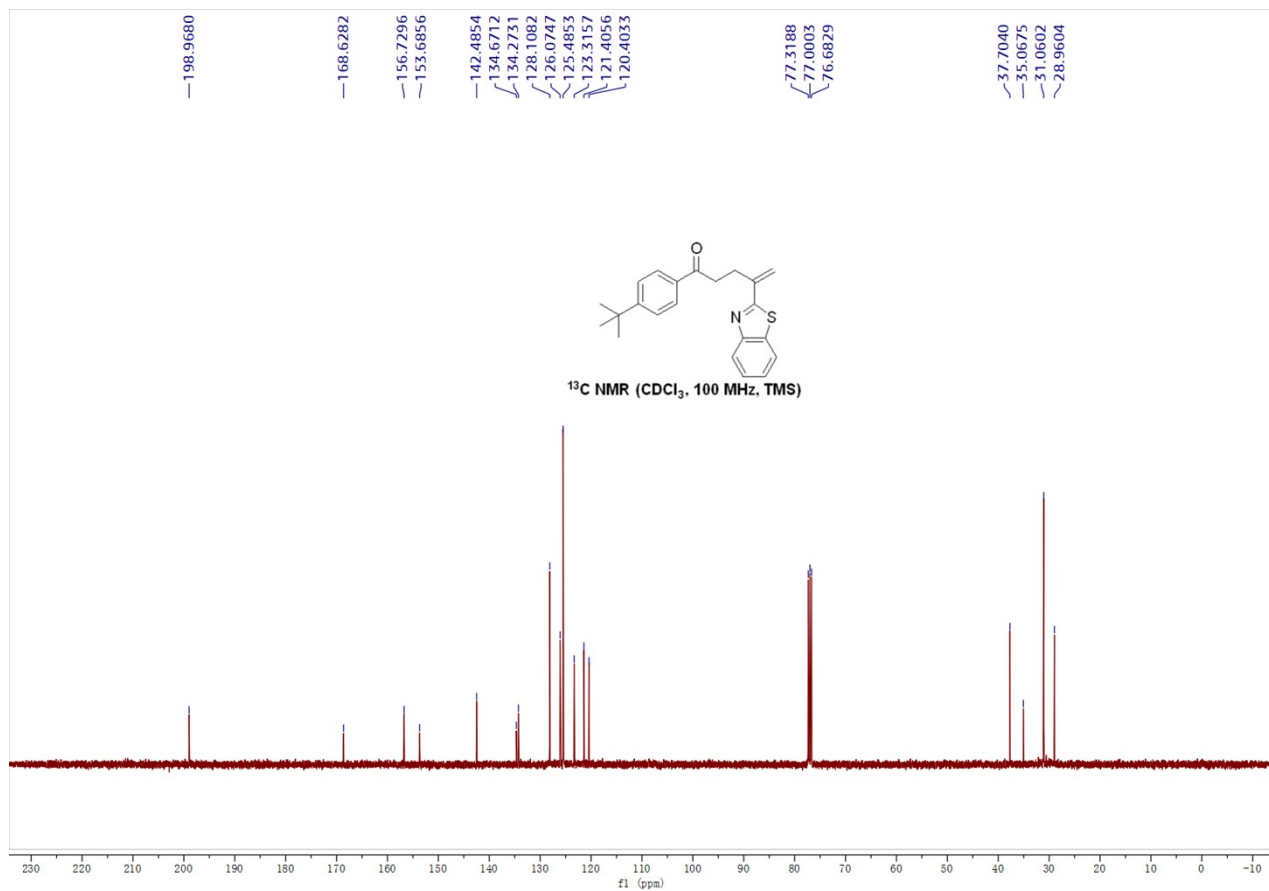
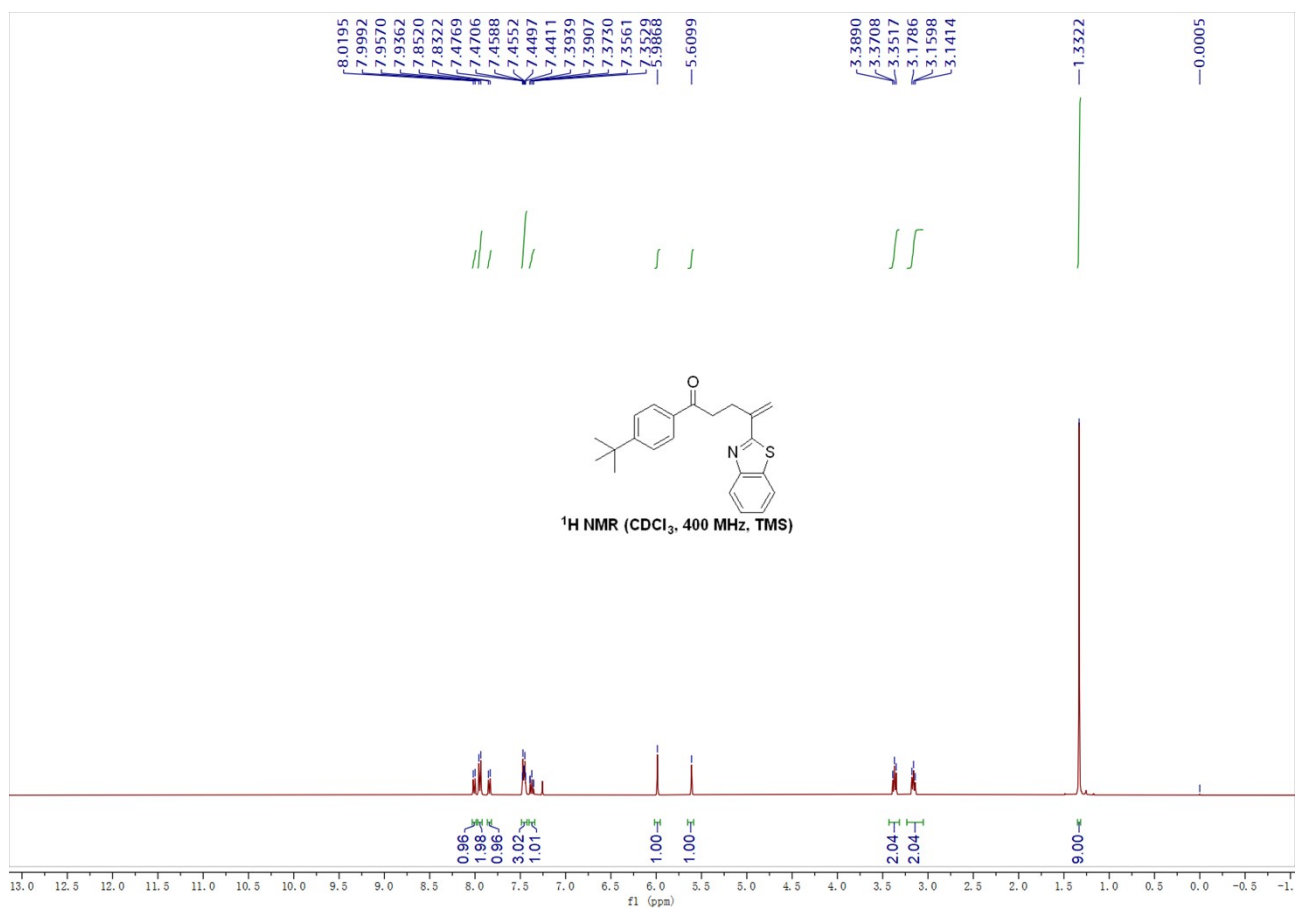


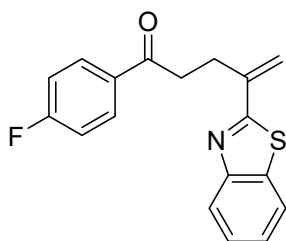
Compound 2c: Yield: 51.1 mg, 81%; A white solid; Mp: 89 - 91 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ^1H NMR (400 MHz, CDCl_3) δ 8.03 – 7.99 (m, 1H), 7.93 (d, J = 8.1 Hz, 2H), 7.86 – 7.82 (m, 1H), 7.48 – 7.43 (m, 1H), 7.40 – 7.35 (m, 1H), 7.27 (d, J = 8.1 Hz, 2H), 5.98 (s, 1H), 5.61 (s, 1H), 3.42 – 3.31 (m, 2H), 3.21 – 3.08 (m, 2H), 2.69 (q, J = 7.6 Hz, 2H), 1.25 (t, J = 7.6 Hz, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 199.0, 168.6, 153.7, 150.0, 142.5, 134.7, 134.6, 128.4, 128.0, 126.1, 125.5, 123.3, 121.4, 120.4, 37.7, 29.0, 28.9, 15.2; IR (neat): ν 2964, 2929, 1677, 1605, 1514, 1455, 1412, 1180, 1051, 906, 759, 729 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{20}\text{H}_{20}\text{NOS}$ $[\text{M}+\text{H}]^+$: 322.1260, found: 322.1261.



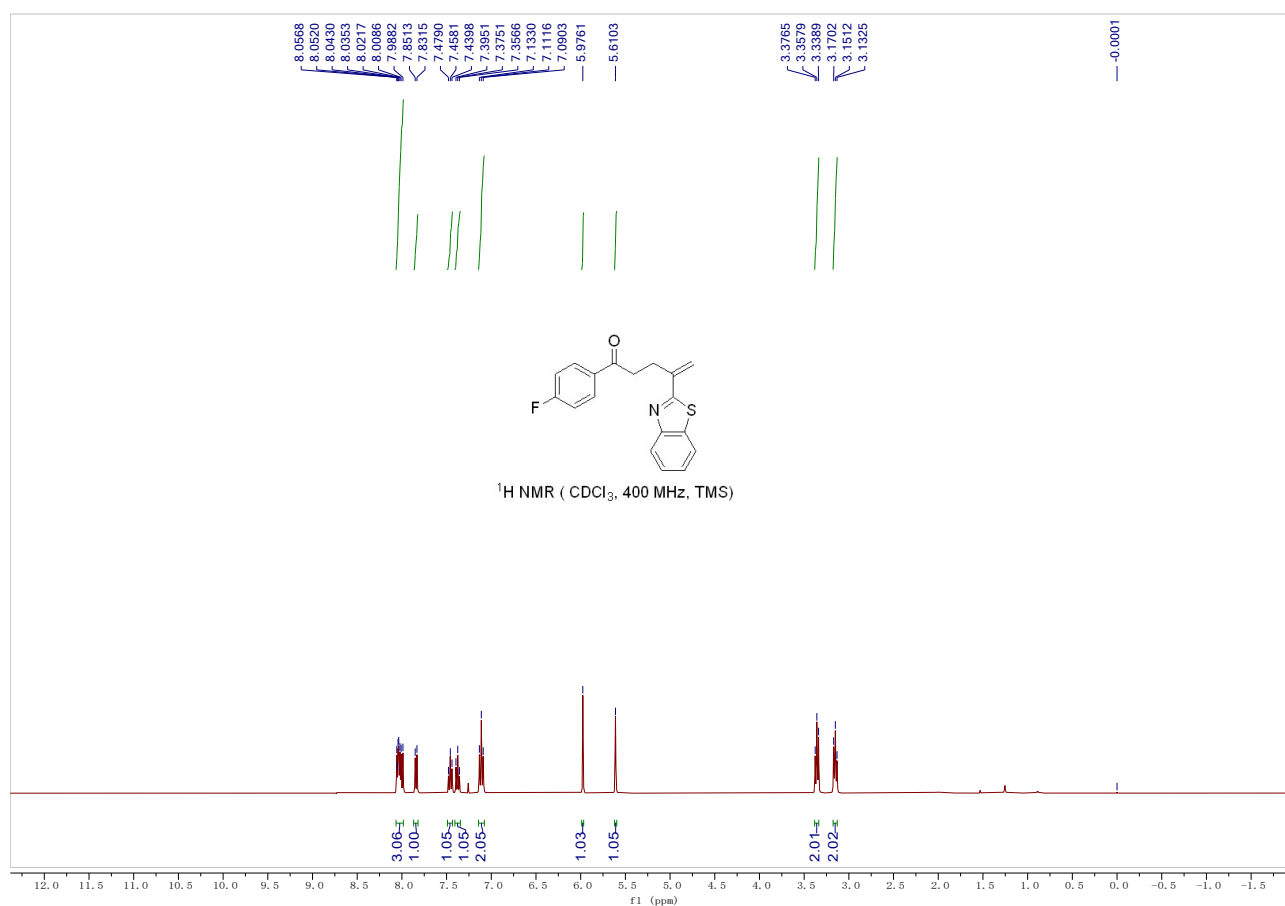


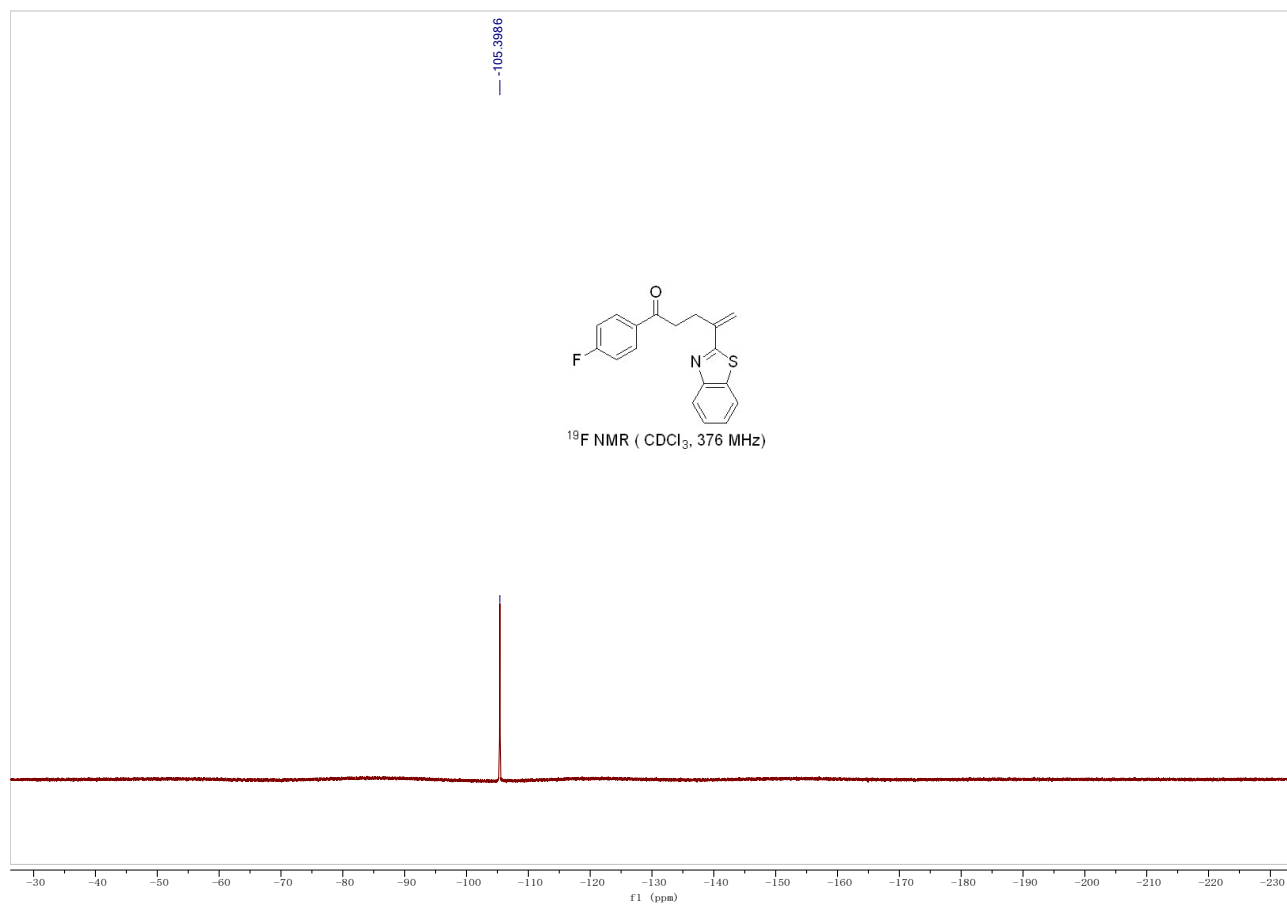
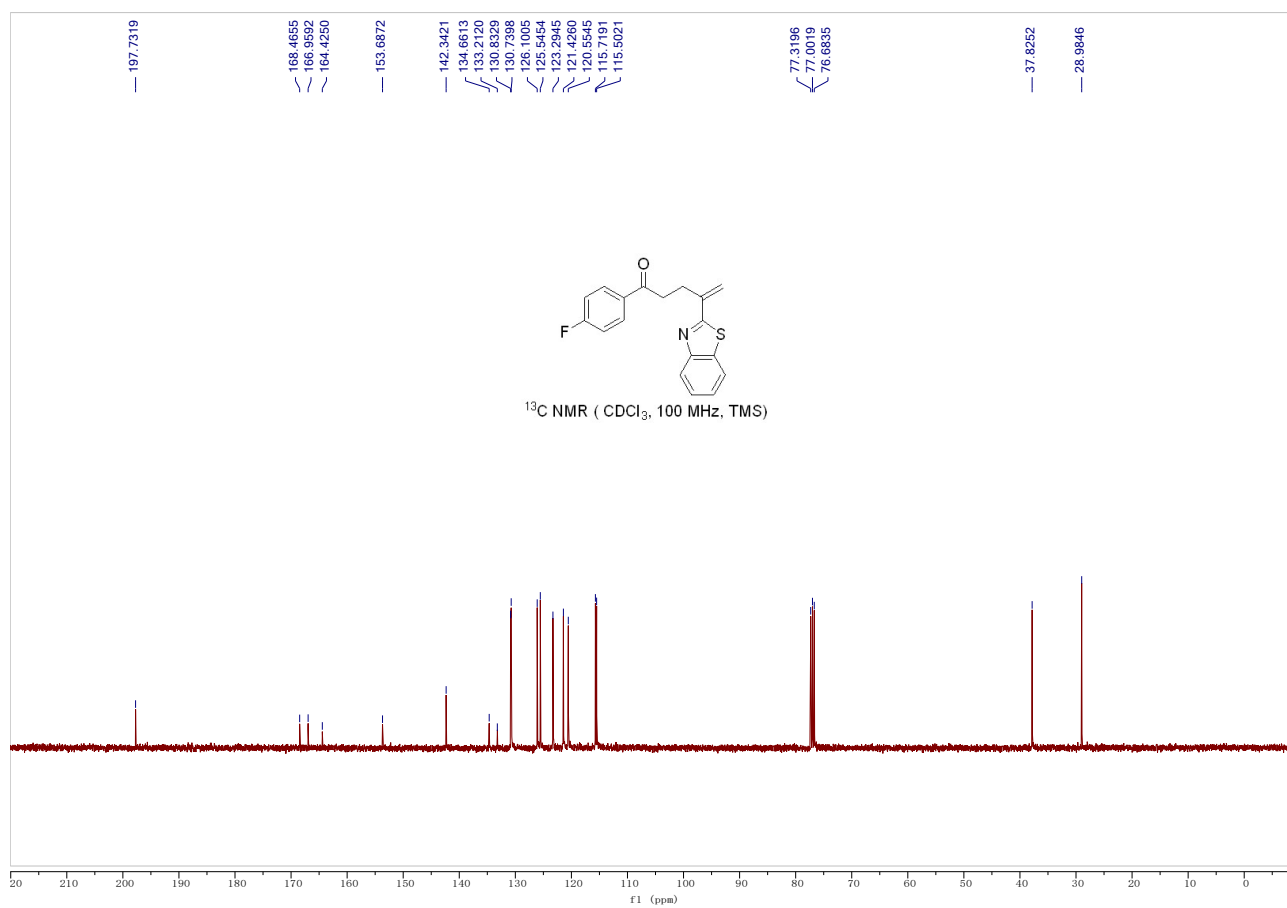
Compound 2d: Yield: 54.4 mg, 78%; A white solid; Mp: 88 - 90 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ^1H NMR (400 MHz, CDCl_3) δ 8.01 (d, J = 8.1 Hz, 1H), 7.95 (d, J = 8.1 Hz, 2H), 7.84 (d, J = 7.9 Hz, 1H), 7.49 – 7.43 (m, 3H), 7.40 – 7.34 (m, 1H), 5.99 (s, 1H), 5.61 (s, 1H), 3.37 (t, J = 7.5 Hz, 2H), 3.16 (t, J = 7.5 Hz, 2H), 1.33 (s, 9H); ^{13}C NMR (100 MHz, CDCl_3) δ 199.0, 168.6, 156.7, 153.7, 142.5, 134.7, 134.3, 128.1, 126.1, 125.5, 123.3, 121.4, 120.4, 37.7, 35.1, 31.1, 29.0; IR (neat): ν 2962, 1678, 1604, 1486, 1107, 907, 842, 759, 728 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{22}\text{H}_{24}\text{NOS}$ $[\text{M}+\text{H}]^+$: 350.1573, found: 350.1569.

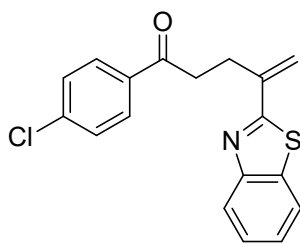




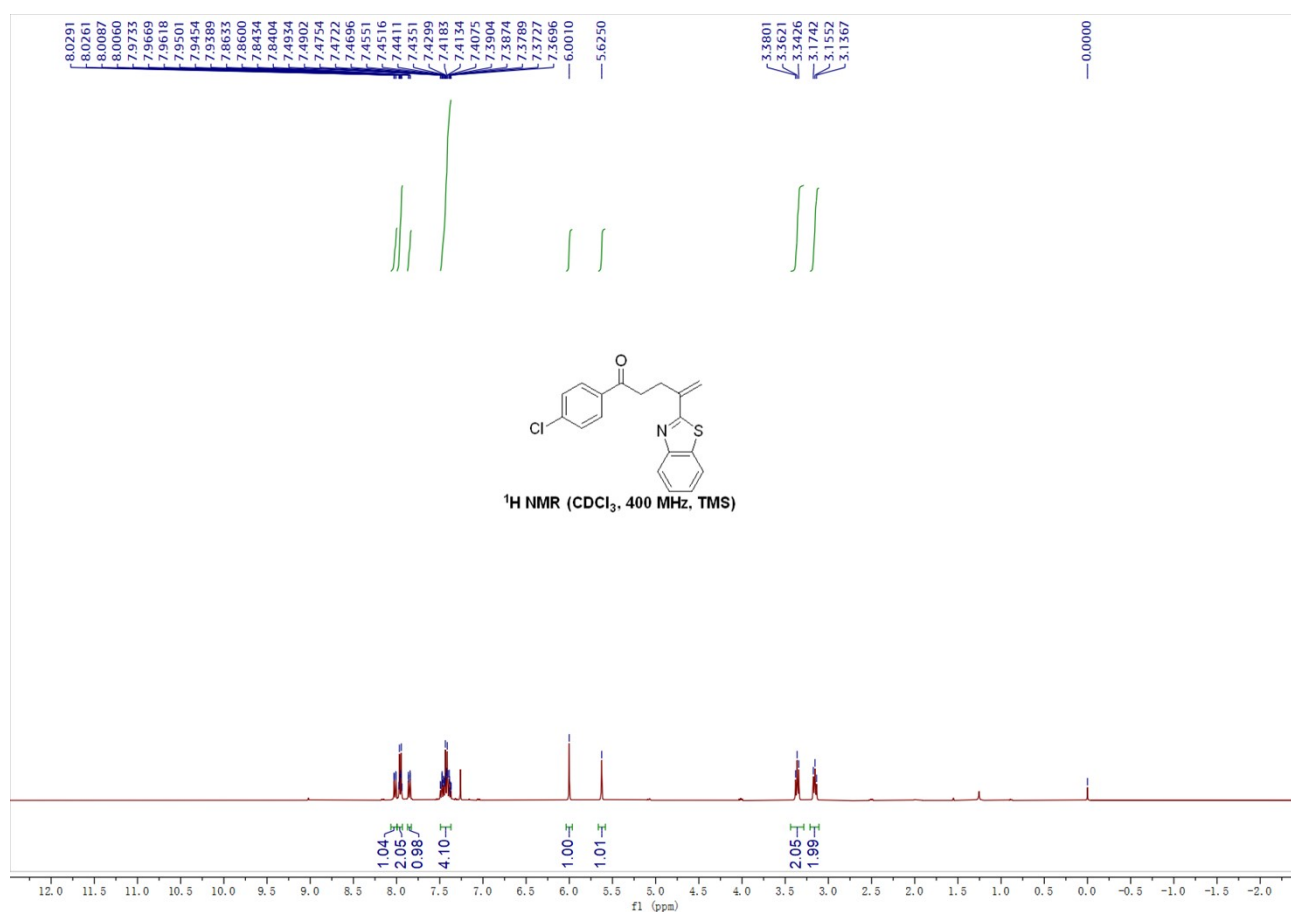
Compound 2e: Yield: 39.7 mg, 64%; A white solid; Mp: 86 - 88 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ^1H NMR (400 MHz, CDCl_3) δ 8.07 – 7.98 (m, 3H), 7.84 (d, J = 7.9 Hz, 1H), 7.46 (t, J = 7.8 Hz, 1H), 7.38 (t, J = 7.8 Hz, 1H), 7.11 (t, J = 8.5 Hz, 2H), 5.98 (s, 1H), 5.61 (s, 1H), 3.36 (t, J = 7.5 Hz, 2H), 3.15 (t, J = 7.5 Hz, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 197.7, 168.5, 165.7 (d, J = 253.4 Hz), 153.7, 142.3, 134.7, 133.2, 130.8 (d, J = 9.8 Hz), 126.1, 125.5, 123.3, 121.4, 120.6, 115.6 (d, J = 21.0 Hz), 37.8, 29.0; ^{19}F NMR (376 MHz, CDCl_3) δ -105.4; IR (neat): ν 1681, 1595, 1505, 1312, 1252, 1156, 840, 756, 727 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{18}\text{H}_{15}\text{NOFS}$ $[\text{M}+\text{H}]^+$: 312.0853, found: 312.0849.

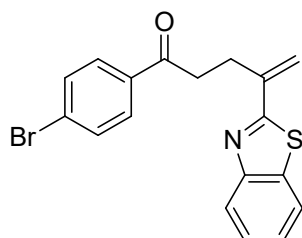
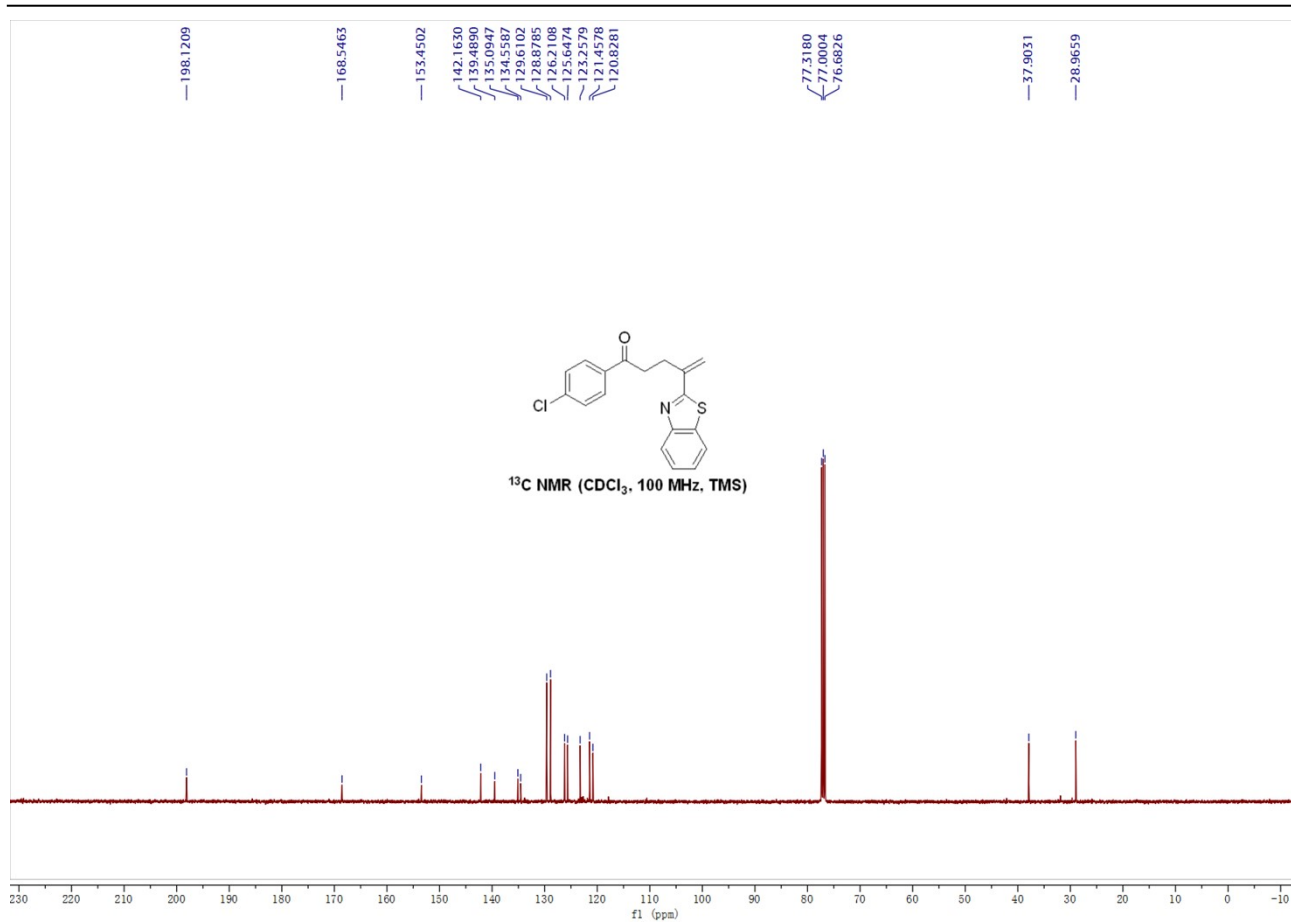




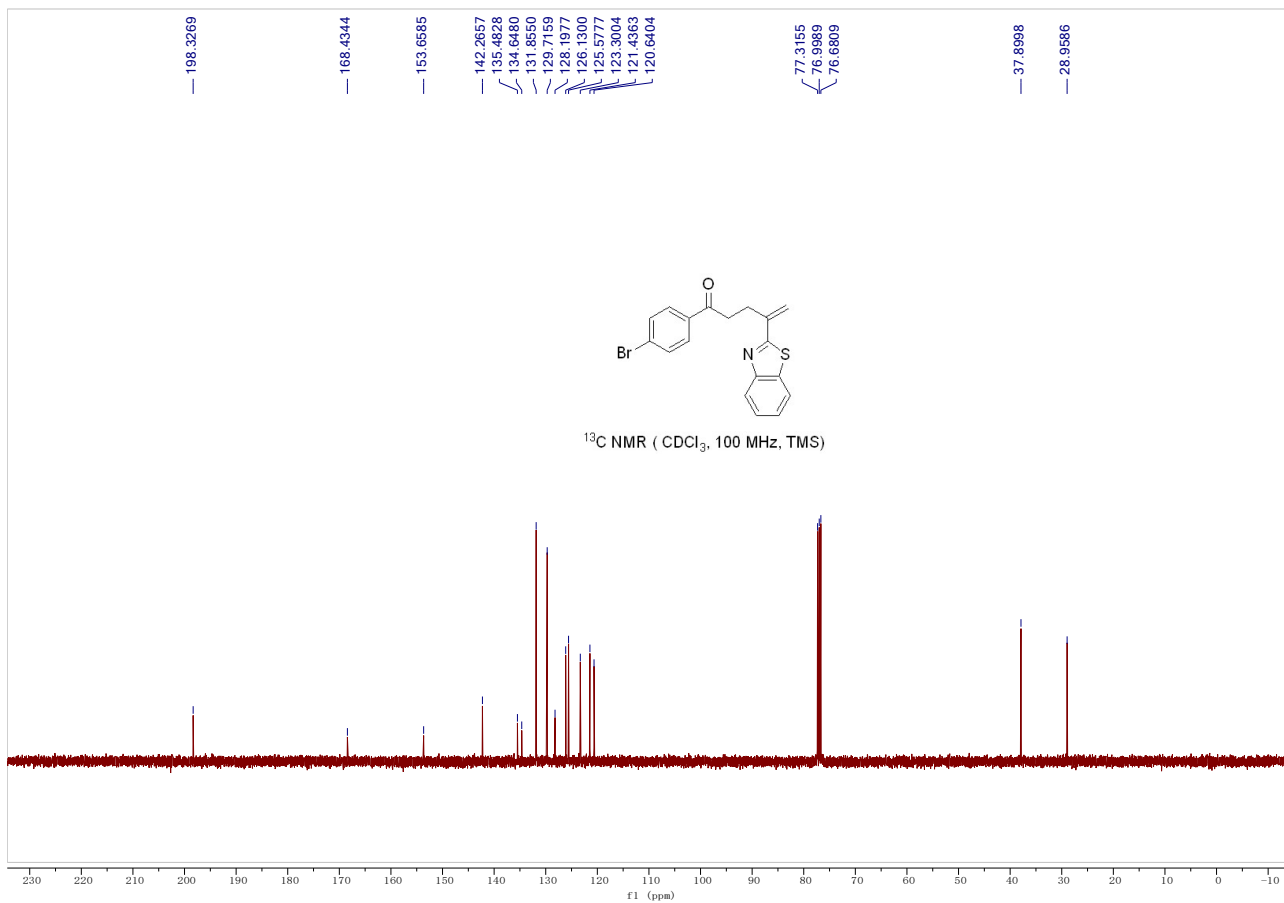
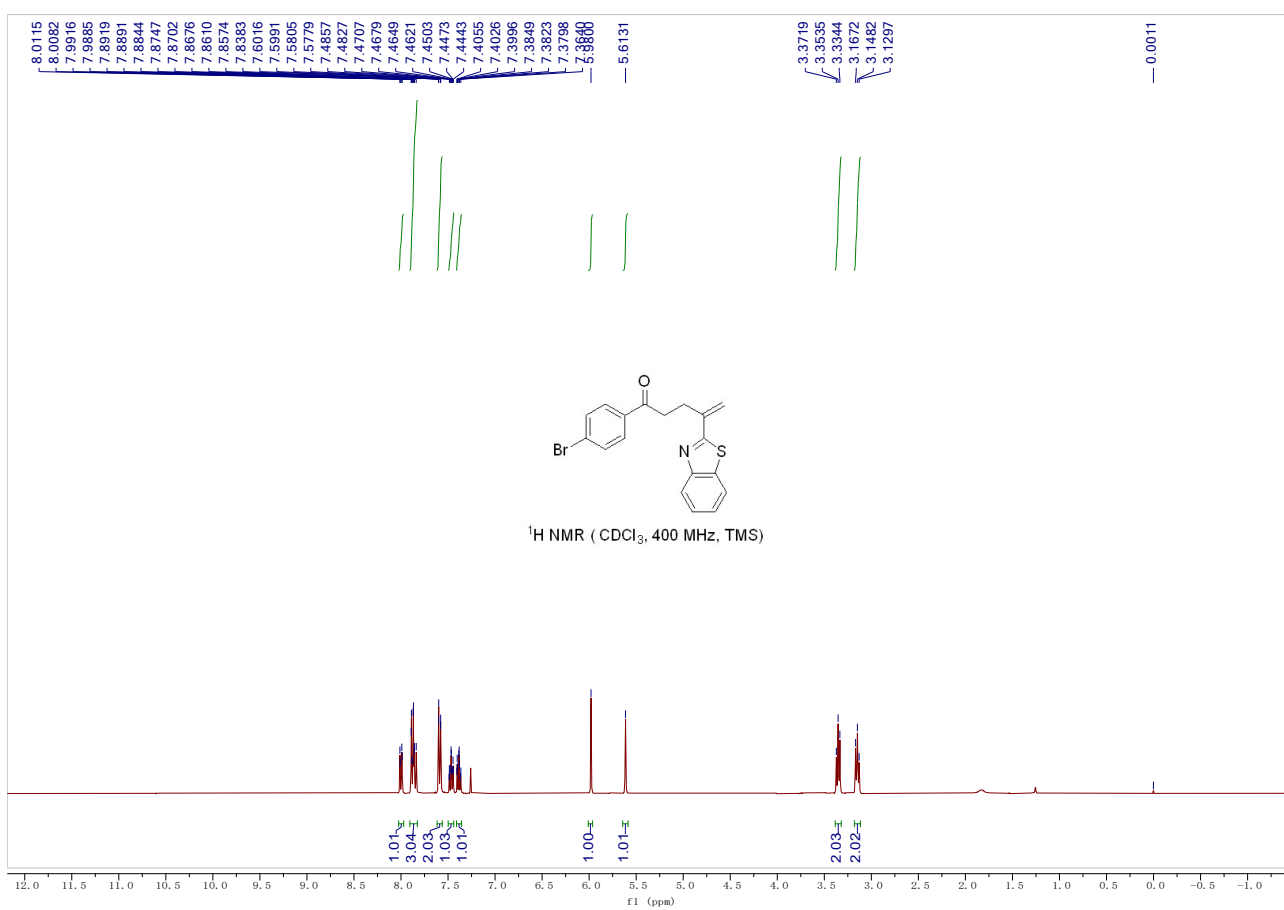


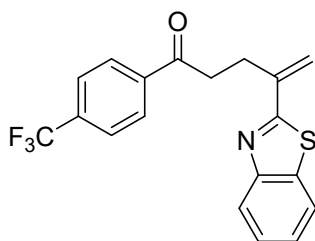
Compound 2f: Yield: 39.2 mg, 60%; A yellow solid; Mp: 95 - 97 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, $R_f = 0.4$); $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.02 (d, $J = 7.9$ Hz, 1H), 7.99 – 7.93 (m, 2H), 7.85 (d, $J = 7.9$ Hz, 1H), 7.49 – 7.37 (m, 4H), 6.00 (s, 1H), 5.62 (s, 1H), 3.36 (t, $J = 7.5$ Hz, 2H), 3.16 (t, $J = 7.5$ Hz, 2H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ 198.1, 168.5, 153.5, 142.2, 139.5, 135.1, 134.6, 129.6, 128.9, 126.2, 125.6, 123.3, 121.5, 120.8, 37.9, 29.0; IR (neat): ν 2966, 1712, 1489, 1440, 1338, 1161, 1038, 754, 694, 655 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{18}\text{H}_{15}\text{NOSCl}$ $[\text{M}+\text{H}]^+$: 328.0557, found: 328.0552.



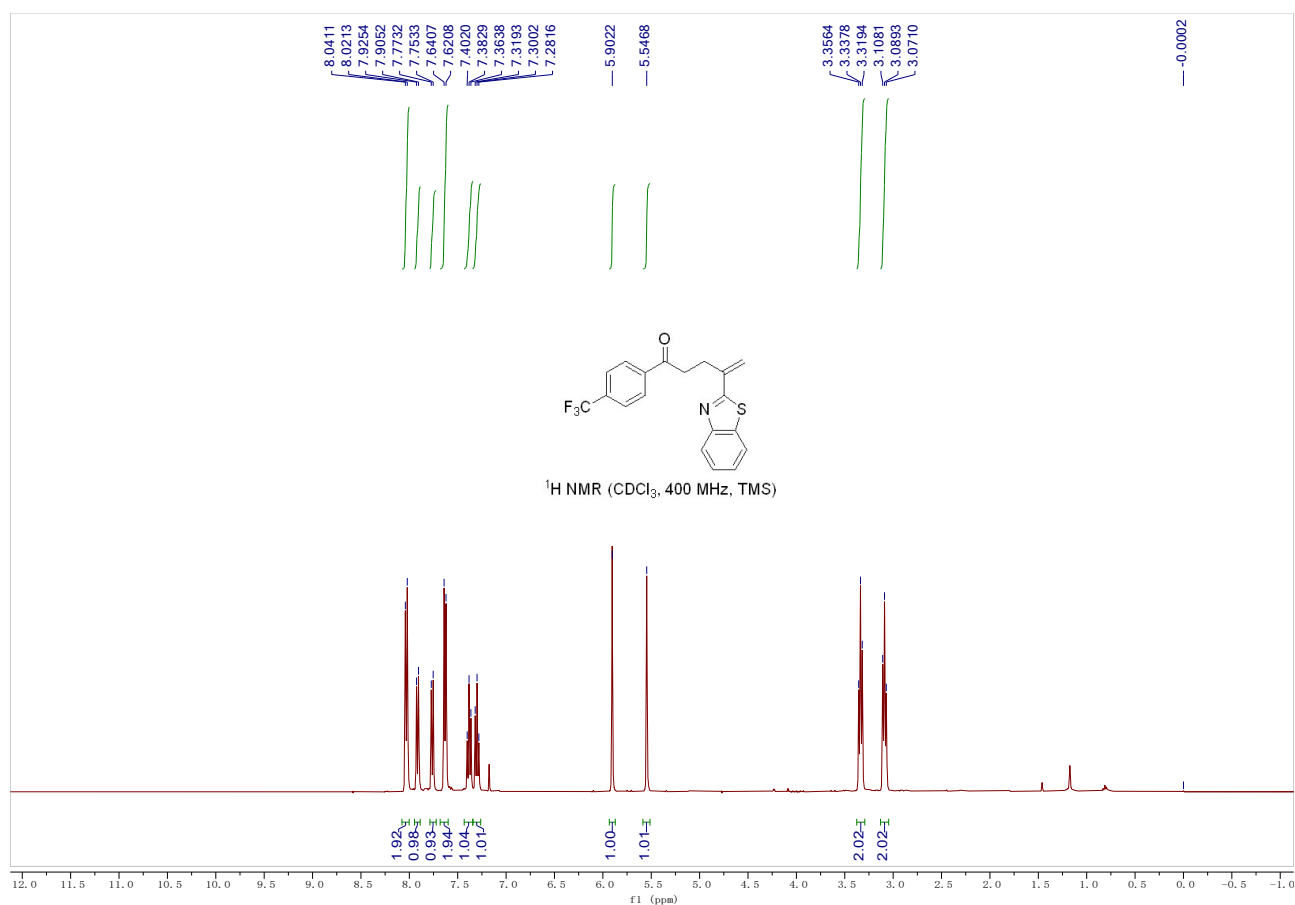


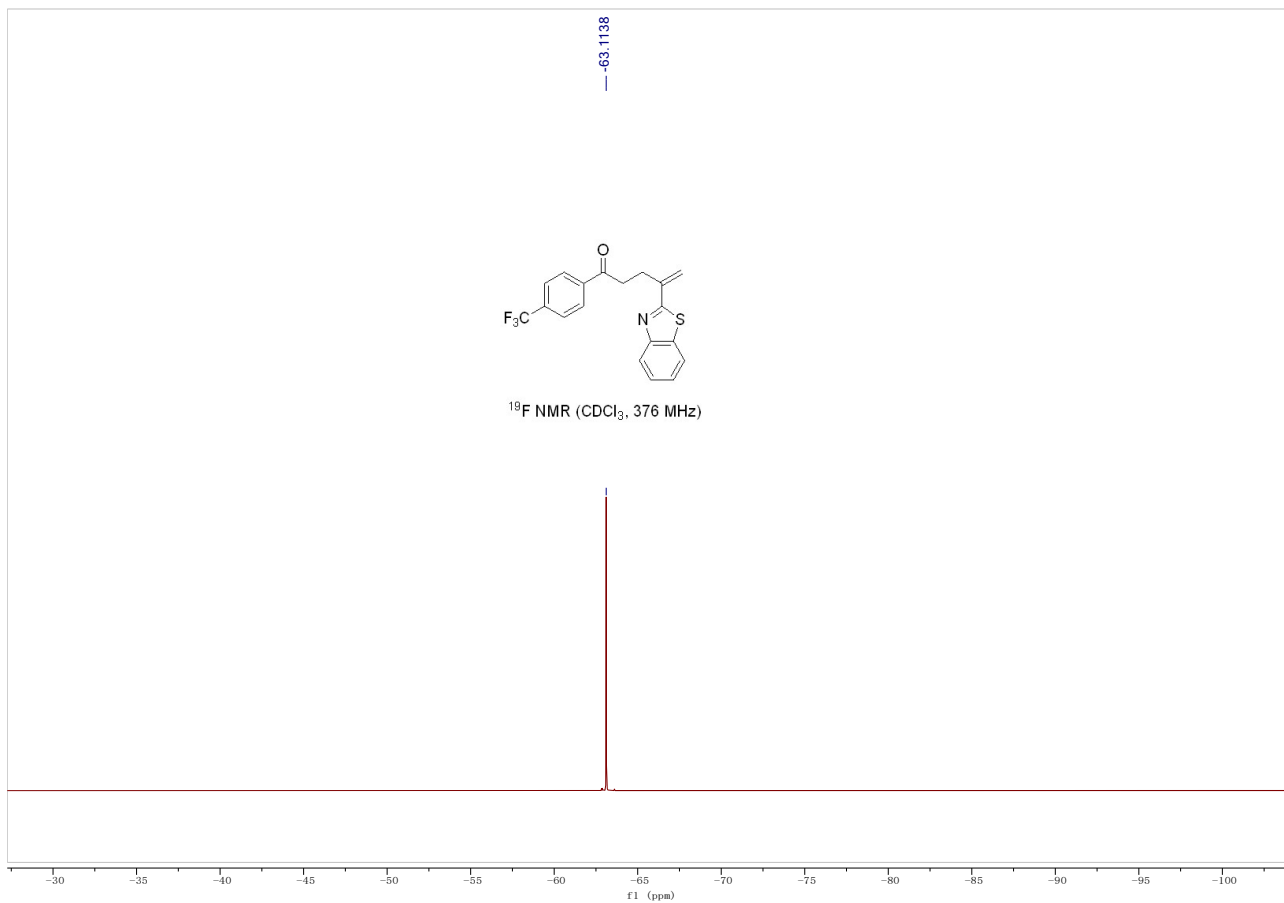
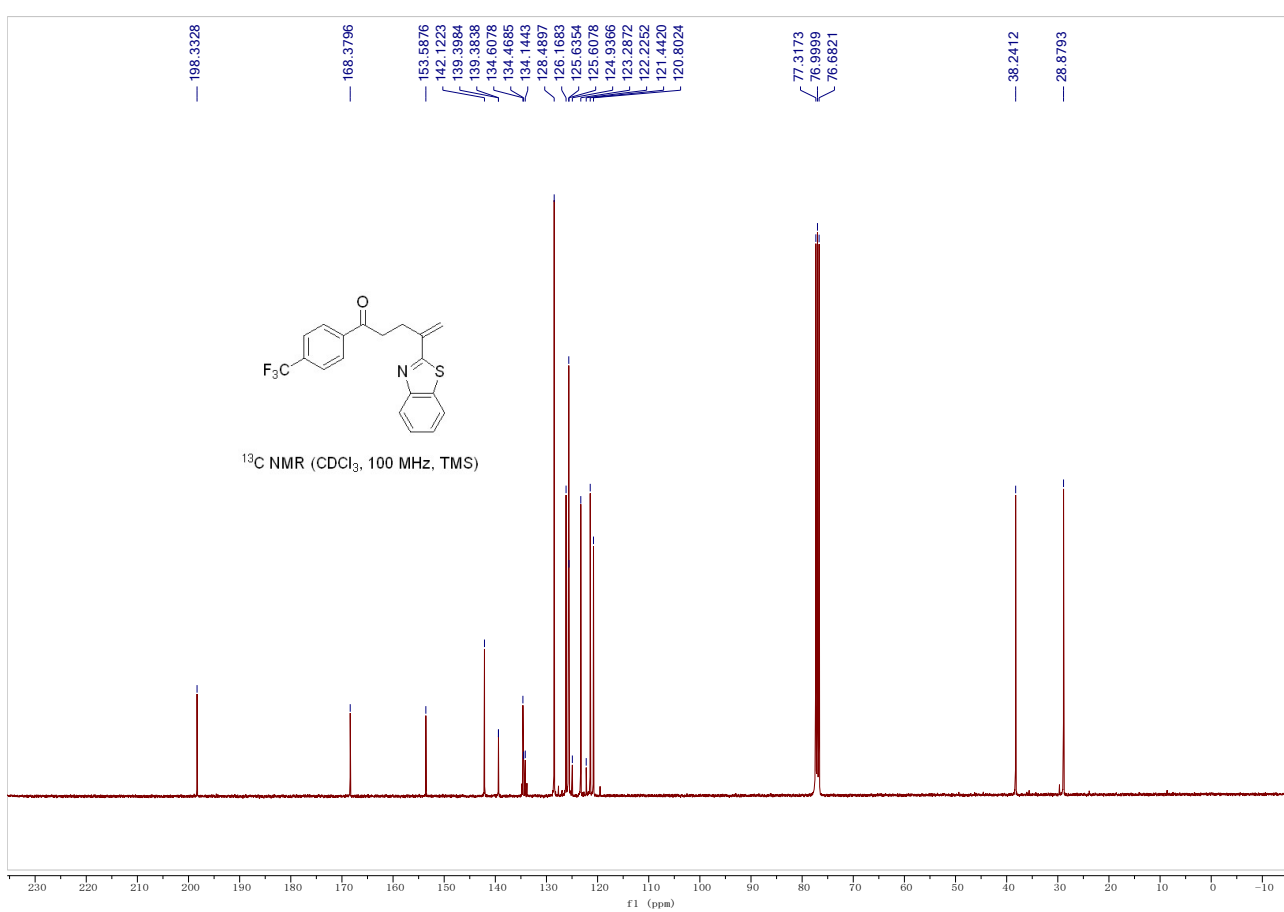
Compound 2g: Yield: 33.3 mg, 45%; A white solid; Mp: 114 - 116 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ¹H NMR (400 MHz, CDCl₃) δ 8.02 – 7.97 (m, 1H), 7.91 – 7.83 (m, 3H), 7.62 – 7.56 (m, 2H), 7.50 – 7.44 (m, 1H), 7.41 – 7.36 (m, 1H), 5.98 (s, 1H), 5.61 (s, 1H), 3.35 (t, J = 7.5 Hz, 2H), 3.15 (t, J = 7.5 Hz, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 198.3, 168.4, 153.7, 142.3, 135.5, 134.6, 131.9, 129.7, 128.2, 126.1, 125.6, 123.3, 121.4, 120.6, 37.9, 29.0; IR (neat): ν 2844, 1711, 1598, 1346, 1165, 1023, 1014, 816, 663 cm⁻¹; HRMS (ESI⁺) Calcd. for C₁₈H₁₅NOSBr [M+H]⁺: 372.0052, found: 372.0059.

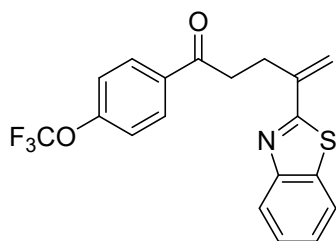




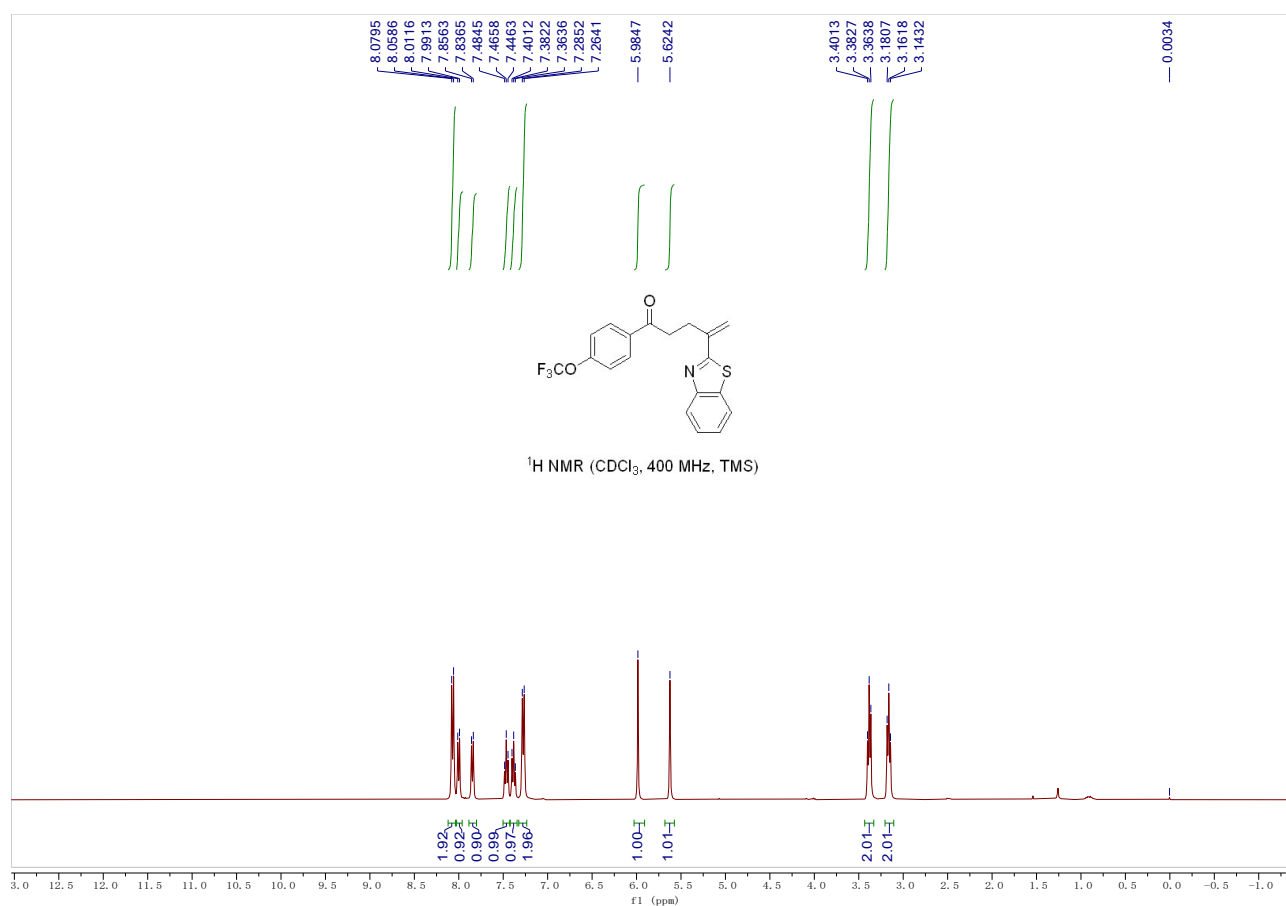
Compound 2h: Yield: 52.7 mg, 73%; A white solid; Mp: 111 - 113 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, $R_f = 0.4$); $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.03 (d, $J = 8.0$ Hz, 2H), 7.92 (d, $J = 8.0$ Hz, 1H), 7.76 (d, $J = 8.0$ Hz, 1H), 7.63 (d, $J = 8.0$ Hz, 2H), 7.38 (t, $J = 7.6$ Hz, 1H), 7.30 (t, $J = 7.6$ Hz, 1H), 5.90 (s, 1H), 5.55 (s, 1H), 3.34 (t, $J = 7.4$ Hz, 2H), 3.09 (t, $J = 7.4$ Hz, 2H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ 198.3, 168.4, 153.6, 142.1, 139.4, 139.4, 134.6, 134.3 (q, $J = 32.4$ Hz), 128.5, 126.2, 125.6 (q, $J = 3.7$ Hz), 125.6, 123.6 (q, $J = 271.2$ Hz), 123.3, 121.4, 120.8, 38.2, 28.9; $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -63.1; IR (neat): ν 2918, 2849, 1714, 1151, 1338, 1228, 1161, 1094, 1023, 836, 664 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{19}\text{H}_{15}\text{NOF}_3\text{S}$ $[\text{M}+\text{H}]^+$: 362.0821, found: 362.0812.

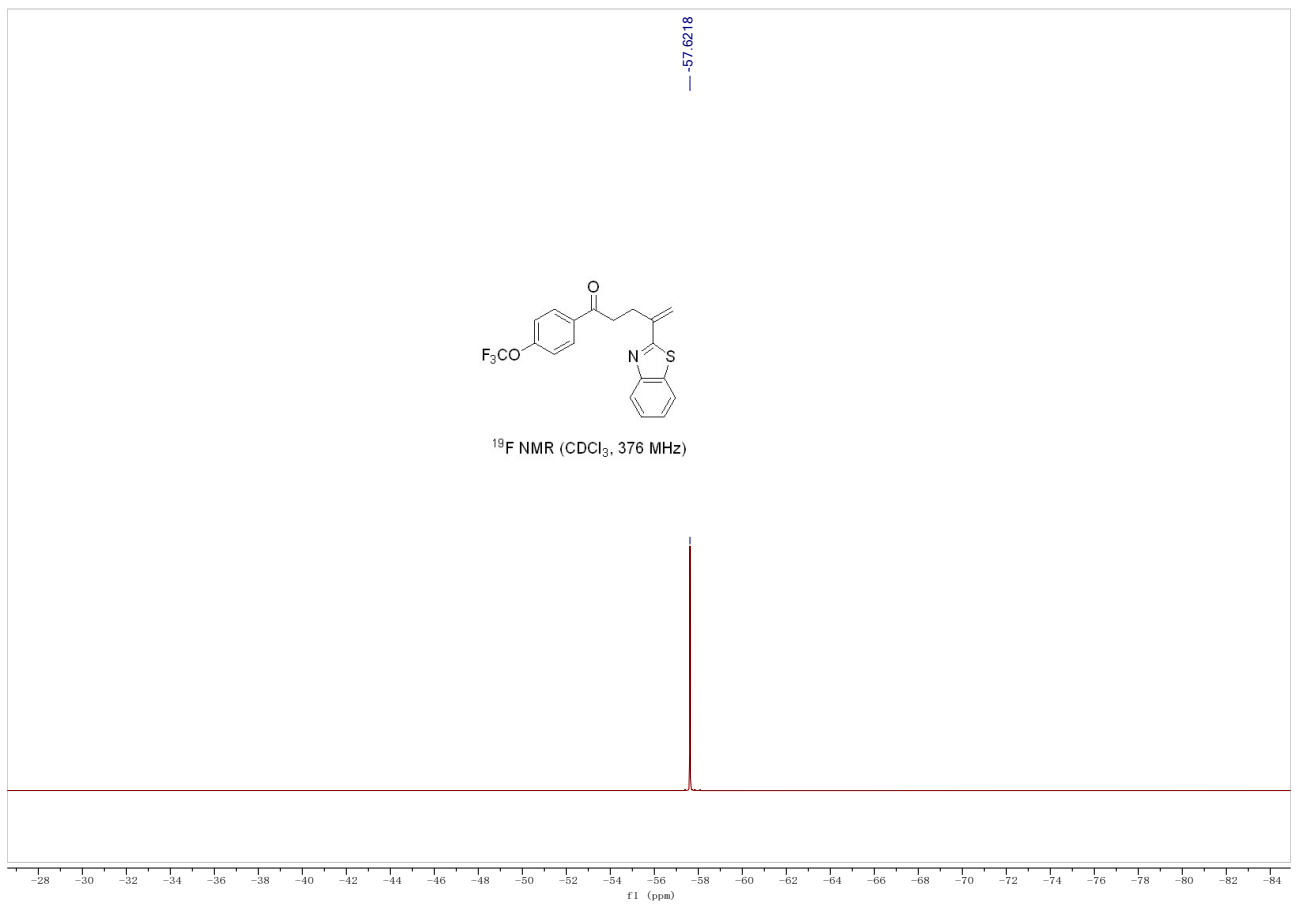
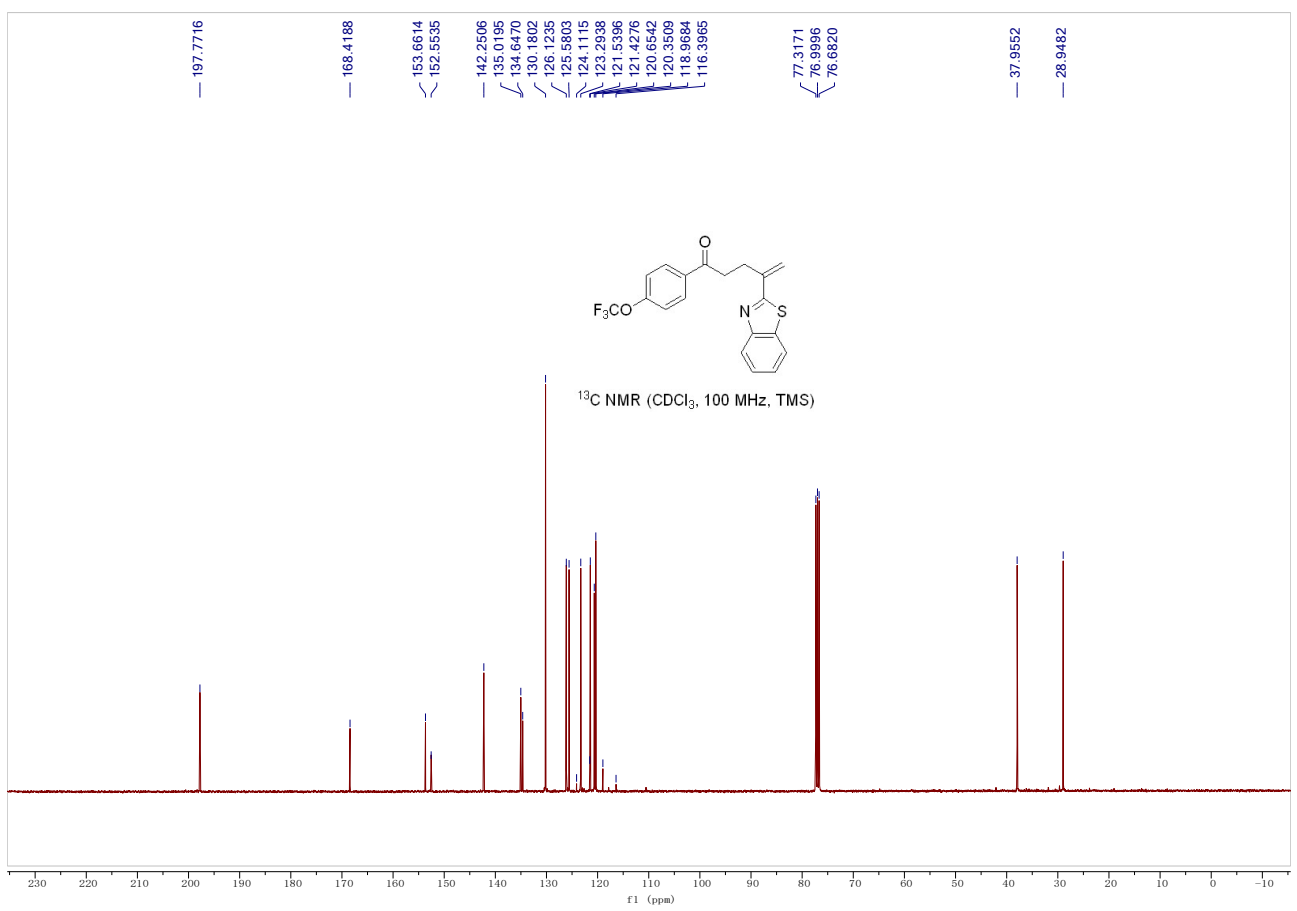


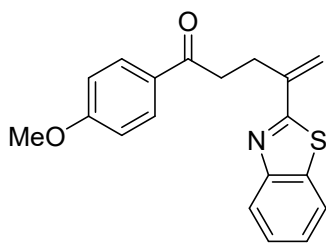




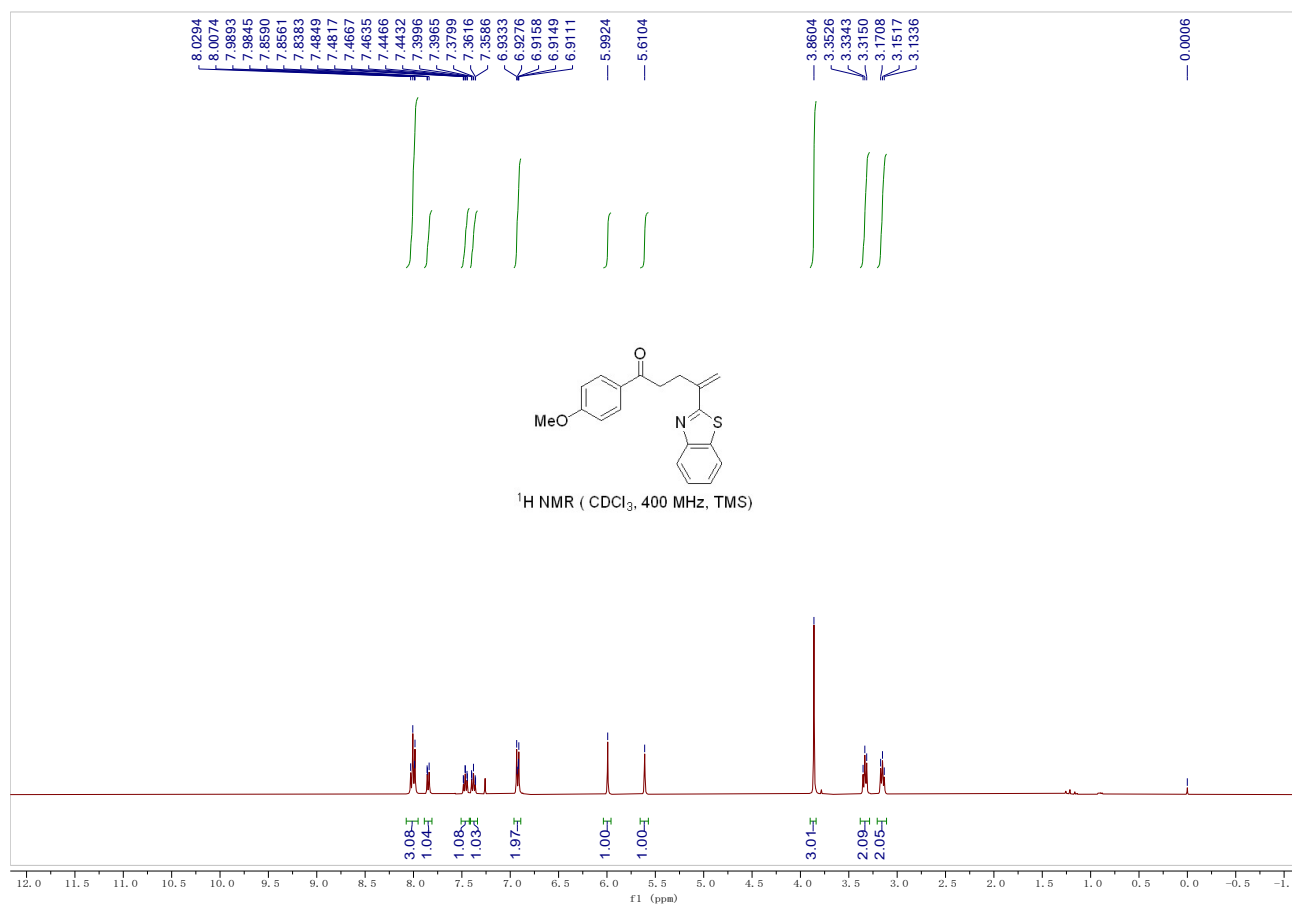
Compound 2i: Yield: 36.2 mg, 48%; A white solid; Mp: 87 - 89 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, $R_f = 0.4$); $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.07 (d, $J = 8.4$ Hz, 2H), 8.00 (d, $J = 8.0$ Hz, 1H), 7.85 (d, $J = 8.0$ Hz, 1H), 7.47 (t, $J = 7.5$ Hz, 1H), 7.38 (t, $J = 7.5$ Hz, 1H), 7.27 (d, $J = 8.4$ Hz, 2H), 5.98 (s, 1H), 5.62 (s, 1H), 3.38 (t, $J = 7.5$ Hz, 2H), 3.16 (t, $J = 7.5$ Hz, 2H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ 197.8, 168.4, 153.7, 152.6, 142.3, 135.0, 134.6, 130.2, 126.1, 125.6, 123.3, 121.4, 120.7, 120.4, 120.3 (q, $J = 257.1$ Hz), 38.0, 28.9; $^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -57.6; IR (neat): ν 1684, 1601, 1505, 1308, 1284, 1164, 988, 937, 758, 731 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{19}\text{H}_{15}\text{NO}_2\text{F}_3\text{S}$ $[\text{M}+\text{H}]^+$: 378.0770, found: 378.0766.

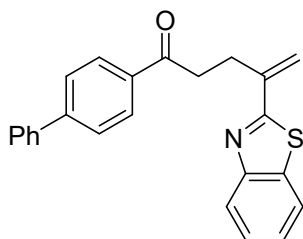
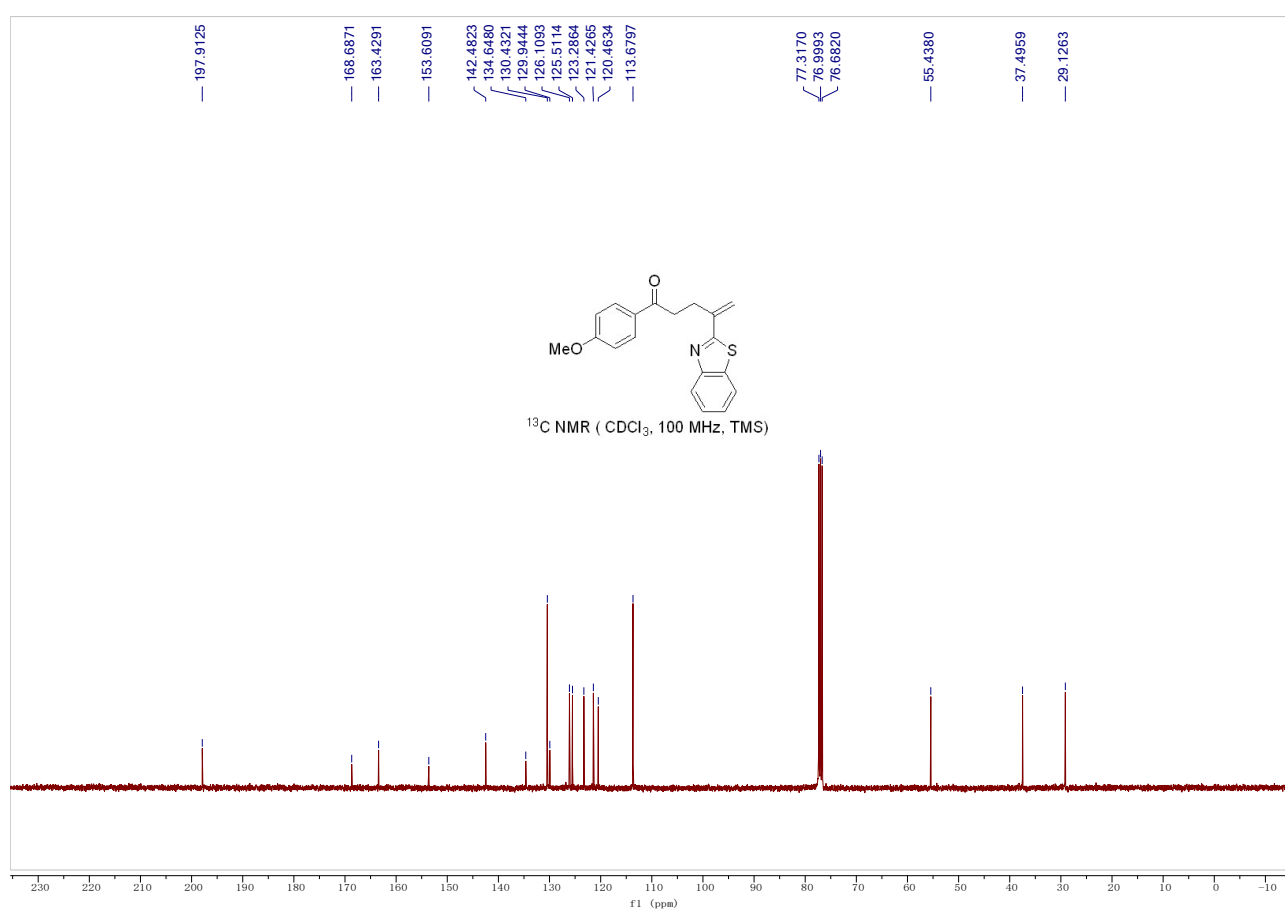




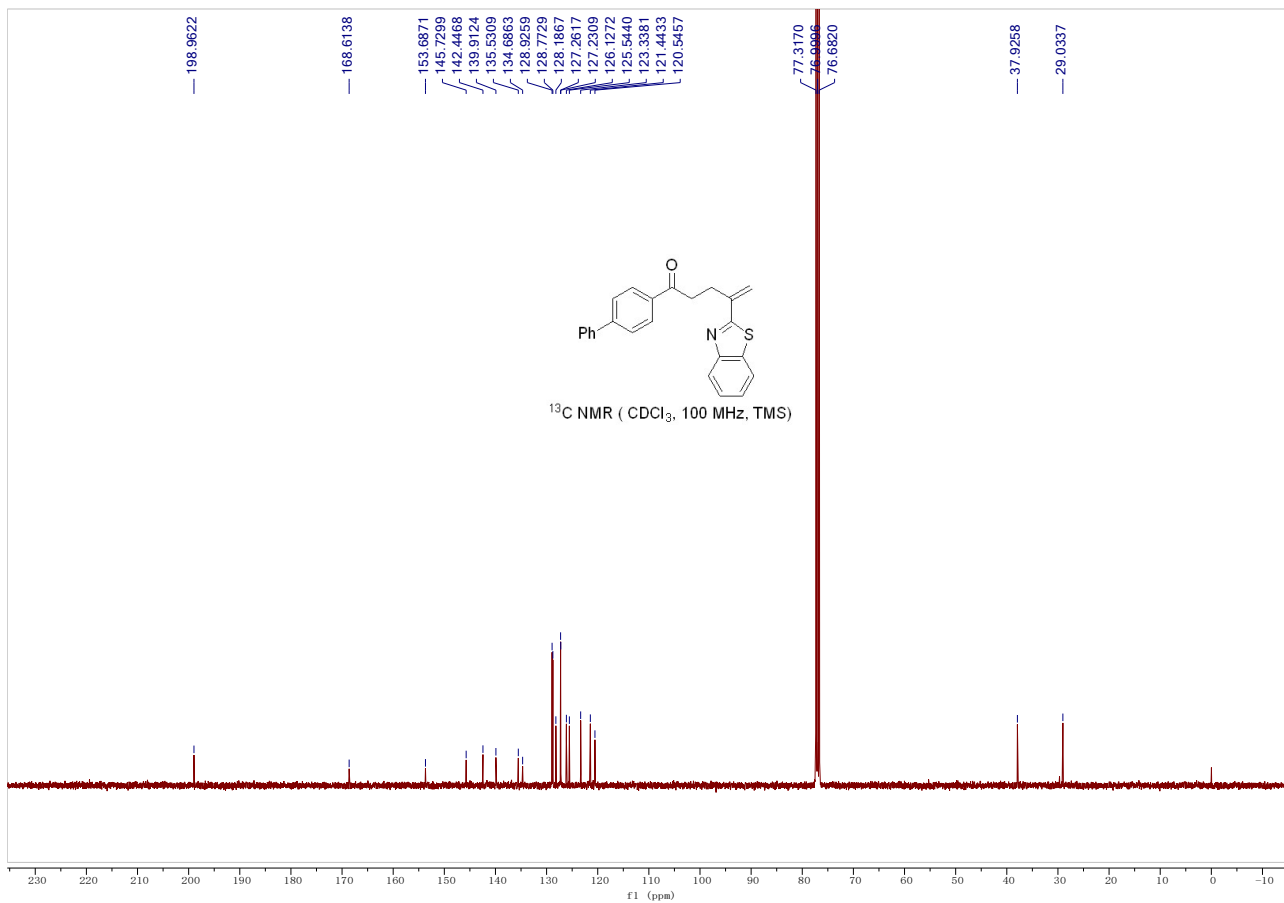
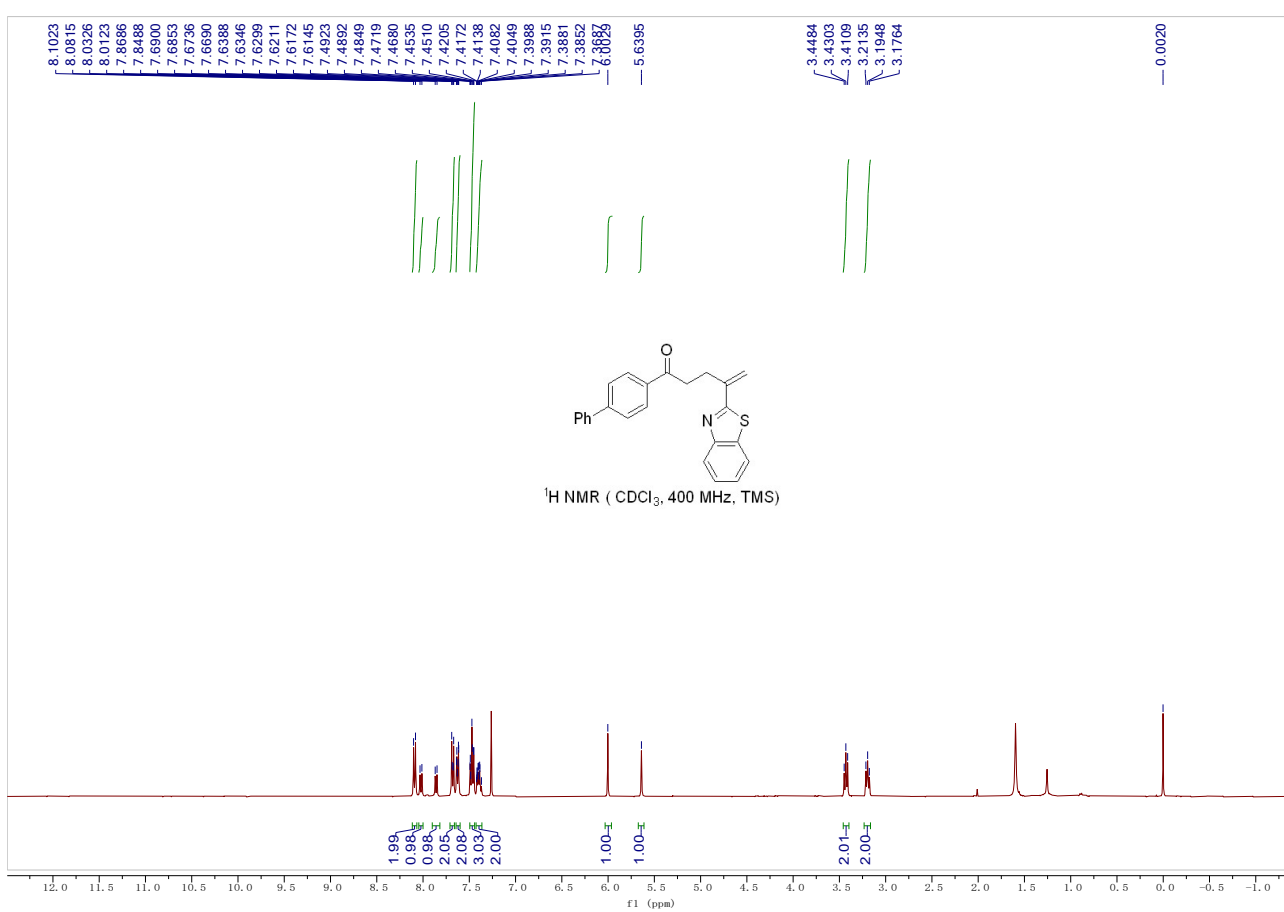


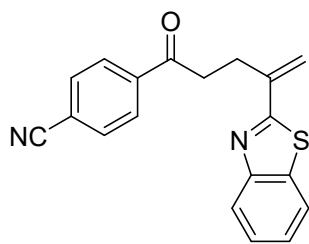
Compound 2j: Yield: 36.1 mg, 56%; A white solid; Mp: 93 - 95 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ^1H NMR (400 MHz, CDCl_3) δ 8.08 – 7.95 (m, 3H), 7.85 (d, J = 8.2 Hz, 1H), 7.51 – 7.42 (m, 1H), 7.41 – 7.34 (m, 1H), 6.96 – 6.89 (m, 2H), 5.99 (s, 1H), 5.61 (s, 1H), 3.86 (s, 3H), 3.33 (t, J = 7.5 Hz, 2H), 3.15 (t, J = 7.5 Hz, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 197.9, 168.7, 163.4, 153.6, 142.5, 134.6, 130.4, 129.9, 126.1, 125.5, 123.3, 121.4, 120.5, 113.7, 55.4, 37.5, 29.1; IR (neat): ν 2927, 1671, 1597, 1510, 1418, 1258, 1112, 1024, 906, 825, 761, 729 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{19}\text{H}_{18}\text{NO}_2\text{S}$ $[\text{M}+\text{H}]^+$: 324.1053, found: 324.1046.



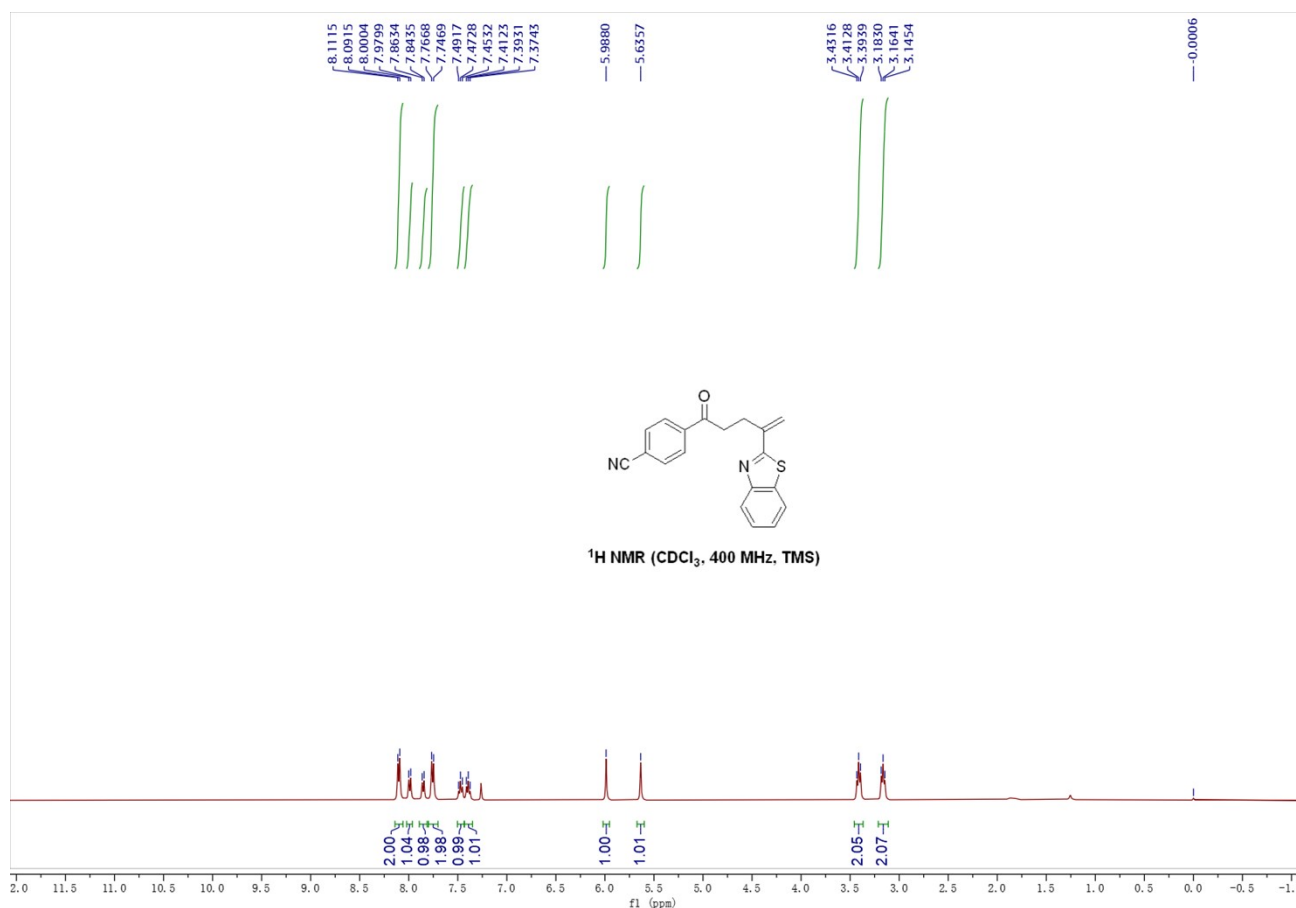


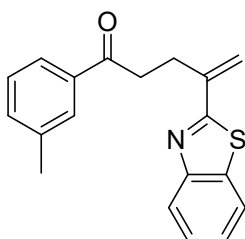
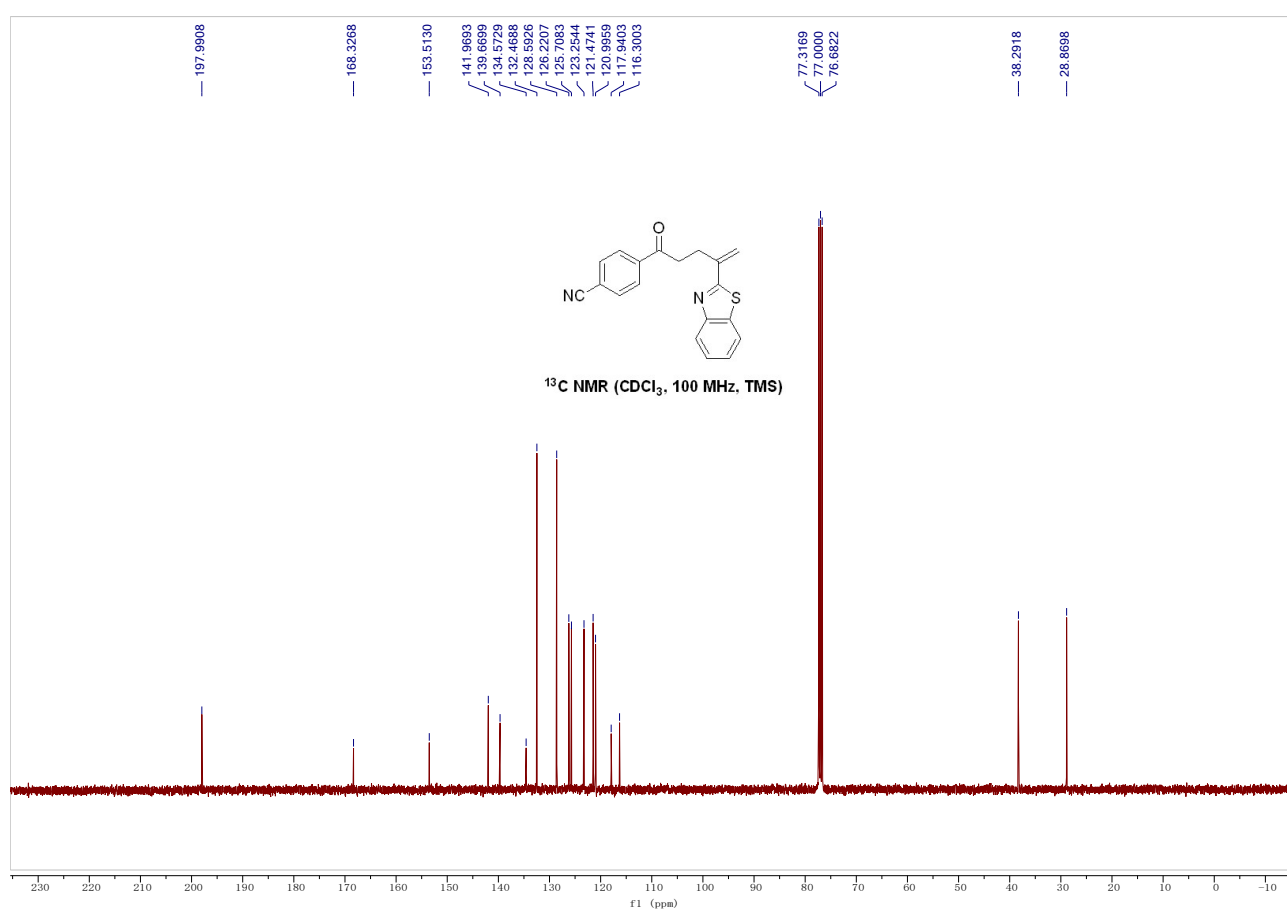
Compound 2k: Yield: 45.0 mg, 61%; A white solid; Mp: 93 - 95 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, $R_f = 0.4$); ¹H NMR (400 MHz, CDCl₃) δ 8.12 – 8.07 (m, 2H), 8.02 (d, $J = 8.1$ Hz, 1H), 7.86 (d, $J = 8.1$ Hz, 1H), 7.71 – 7.66 (m, 2H), 7.64 – 7.60 (m, 2H), 7.49 – 7.44 (m, 3H), 7.43 – 7.36 (m, 2H), 6.00 (s, 1H), 5.64 (s, 1H), 3.43 (t, $J = 7.4$ Hz, 2H), 3.19 (t, $J = 7.4$ Hz, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 199.0, 168.6, 153.7, 145.7, 142.4, 139.9, 135.5, 134.7, 128.9, 128.8, 128.2, 127.3, 127.2, 126.1, 125.5, 123.3, 121.4, 120.5, 37.9, 29.0; IR (neat): ν 2924, 1678, 1603, 1487, 1252, 1031, 1006, 754, 728 cm⁻¹; HRMS (ESI+) Calcd. for C₂₄H₂₀NOS [M+H]⁺: 370.1260, found: 370.1267.



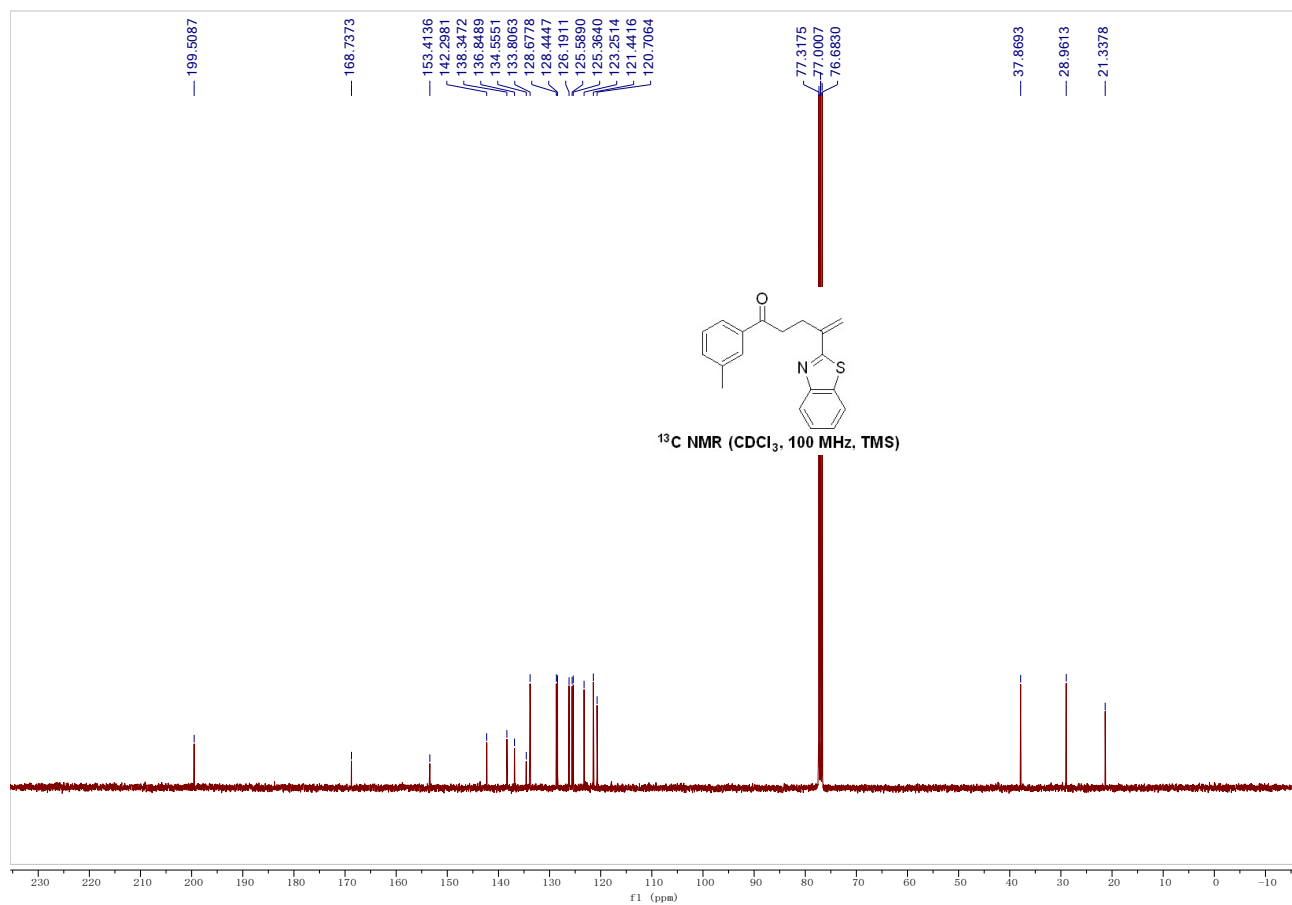
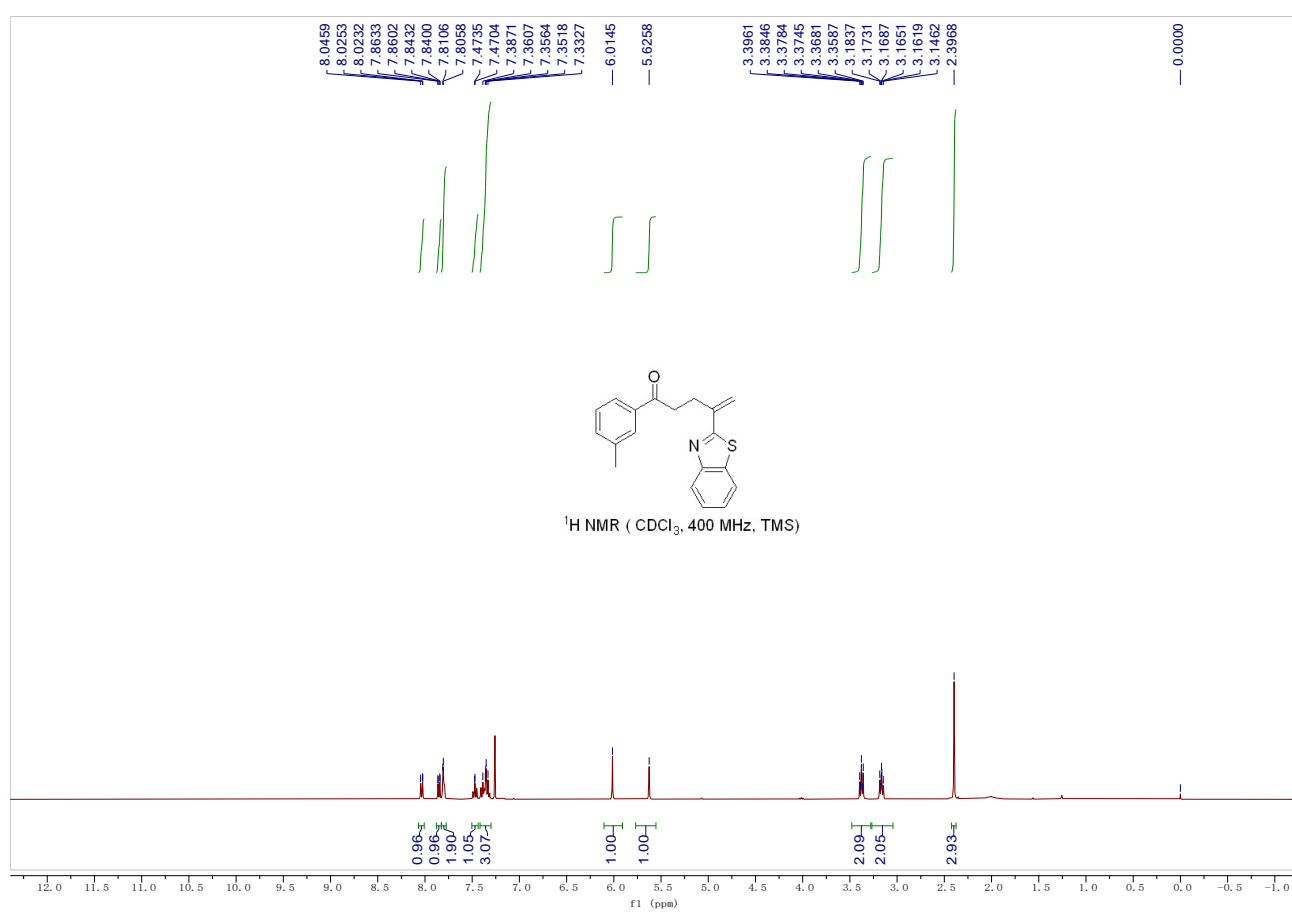


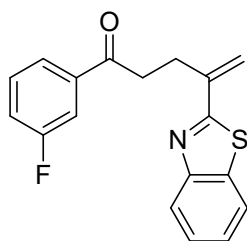
Compound 2l: Yield: 39.4 mg, 62%; A white solid; Mp: 126 - 128 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ^1H NMR (400 MHz, CDCl_3) δ 8.10 (d, J = 8.0 Hz, 2H), 7.99 (d, J = 8.2 Hz, 1H), 7.85 (d, J = 8.0 Hz, 1H), 7.76 (d, J = 8.2 Hz, 2H), 7.47 (t, J = 7.7 Hz, 1H), 7.39 (t, J = 7.7 Hz, 1H), 5.99 (s, 1H), 5.64 (s, 1H), 3.41 (t, J = 7.5 Hz, 2H), 3.16 (t, J = 7.5 Hz, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 198.0, 168.3, 153.5, 142.0, 139.7, 134.6, 132.5, 128.6, 126.2, 125.7, 123.3, 121.5, 121.0, 117.9, 116.3, 38.3, 28.9; IR (neat): ν 3033, 2231, 1687, 1423, 1090, 1030, 1010, 842, 760, 729 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{19}\text{H}_{15}\text{N}_2\text{OS}$ $[\text{M}+\text{H}]^+$: 319.0899, found: 319.0898.



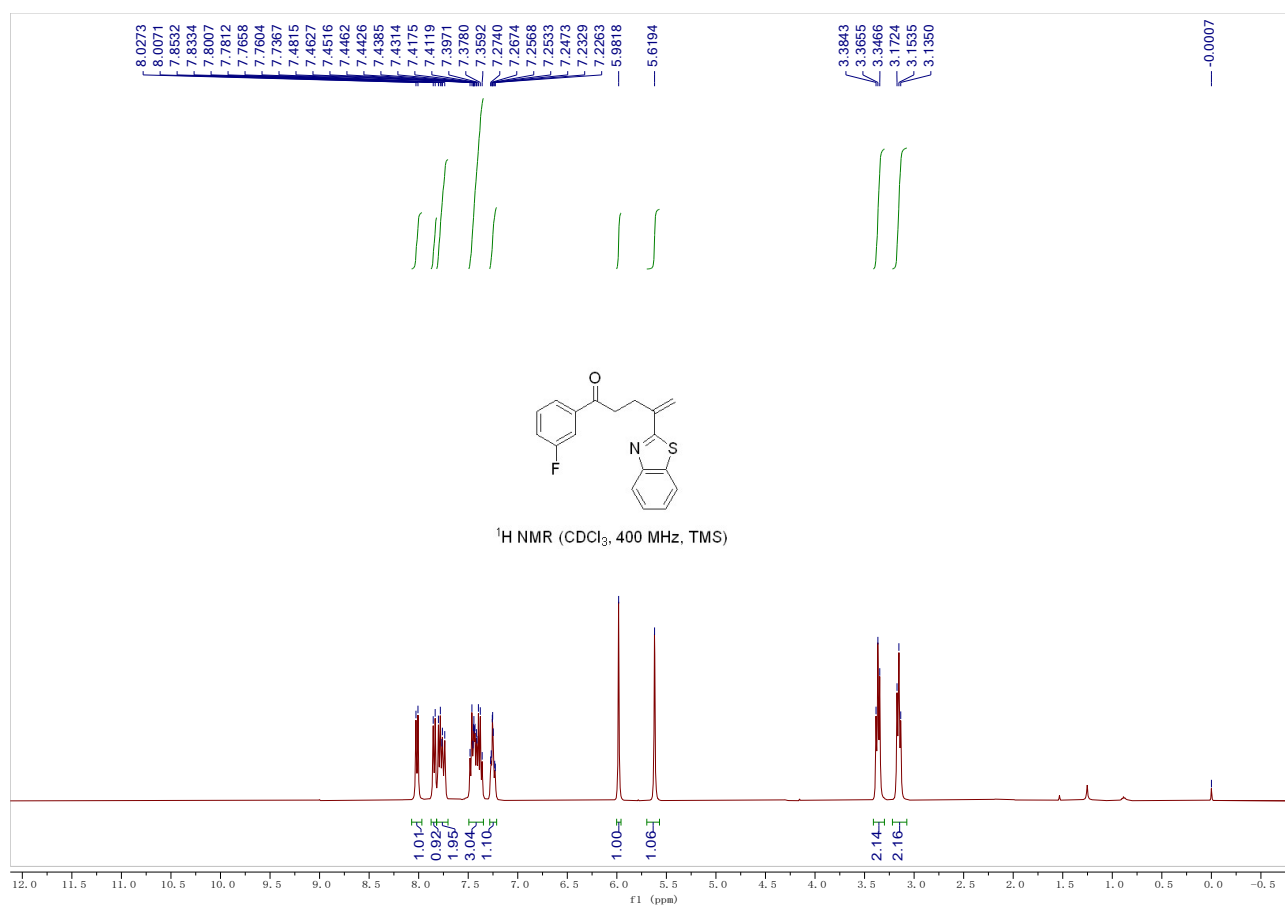


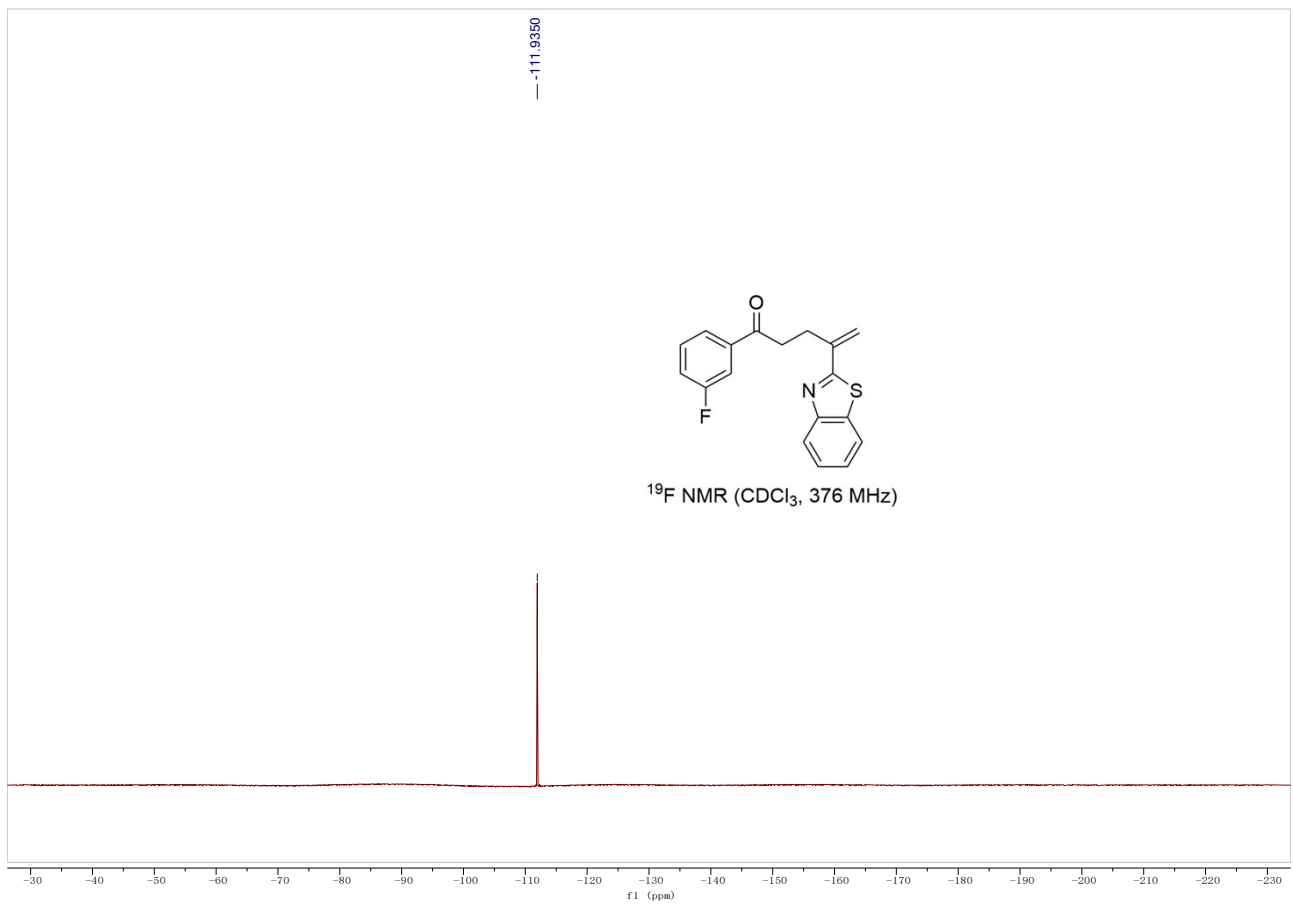
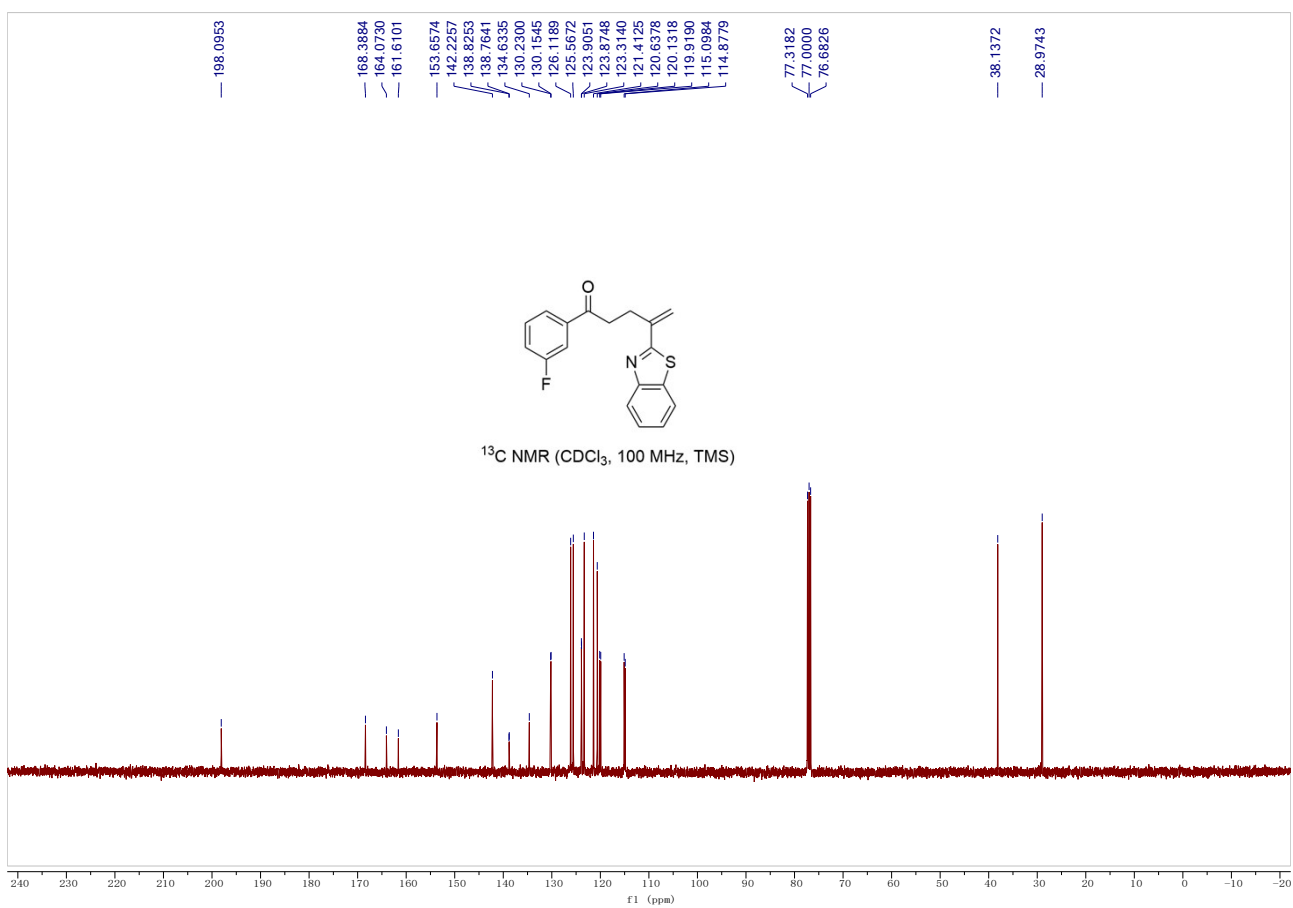
Compound 2m: Yield: 38.7 mg, 63%; A yellow oil; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ¹H NMR (400 MHz, CDCl₃) δ 8.03 (d, J = 8.1 Hz, 1H), 7.85 (d, J = 8.1 Hz, 1H), 7.83 – 7.77 (m, 2H), 7.50 – 7.44 (m, 1H), 7.42 – 7.30 (m, 3H), 6.01 (s, 1H), 5.63 (s, 1H), 3.38 (t, J = 7.5 Hz, 2H), 3.16 (t, J = 7.5 Hz, 2H), 2.40 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 199.5, 168.7, 153.4, 142.3, 138.3, 136.8, 134.6, 133.8, 128.7, 128.4, 126.2, 125.6, 125.4, 123.3, 121.4, 120.7, 37.9, 29.0, 21.3; IR (neat): ν 3062, 1679, 1505, 1491, 1456, 1241, 991, 762, 758 cm⁻¹; HRMS (ESI+) Calcd. for C₁₉H₁₈NOS [M+H]⁺: 308.1104, found: 308.1098.

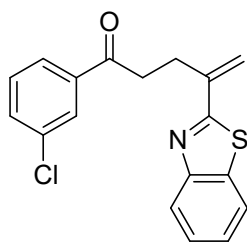




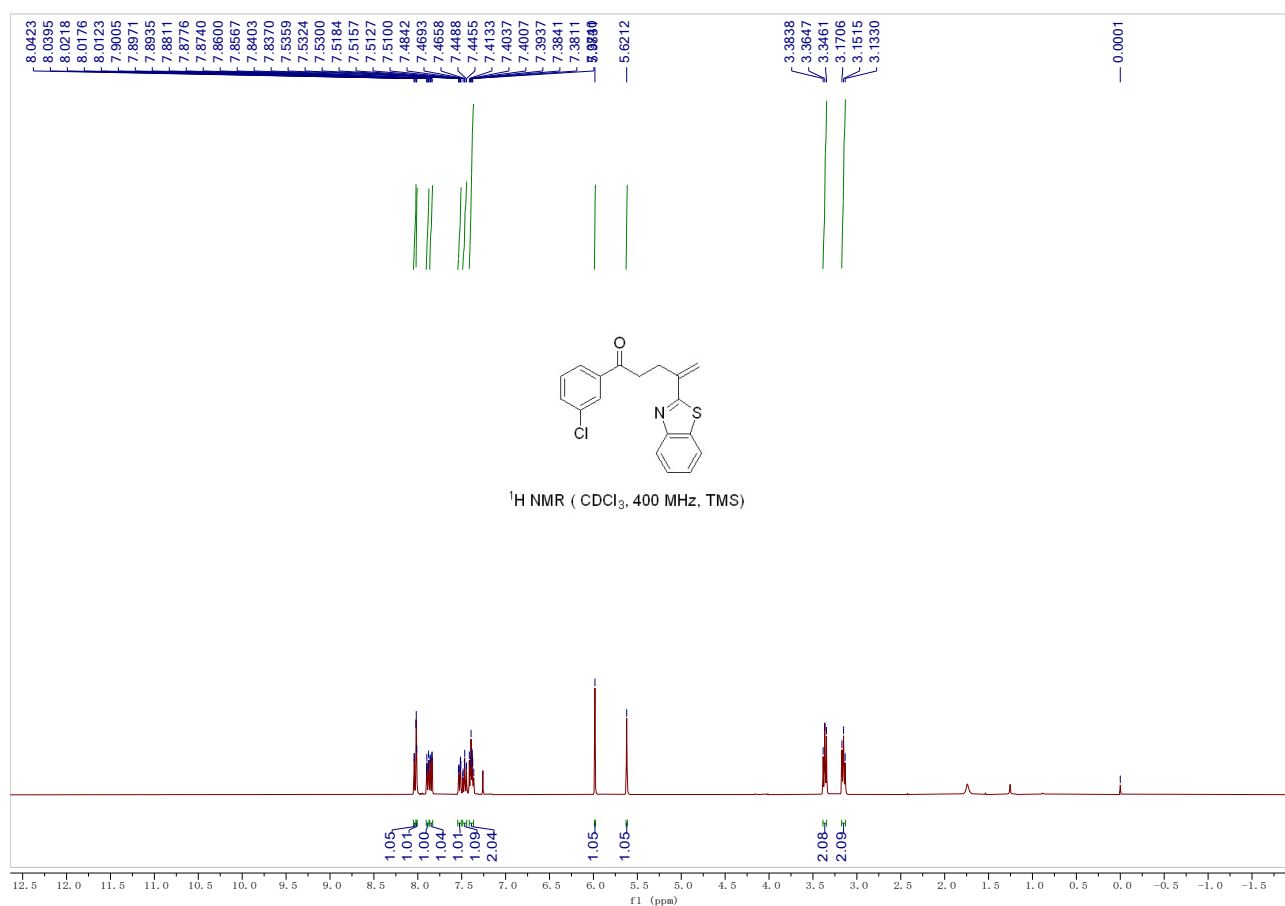
Compound 2n: Yield: 41.7 mg, 67%; A yellow oil; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ^1H NMR (400 MHz, CDCl_3) δ 8.02 (d, J = 8.1 Hz, 1H), 7.84 (d, J = 8.1 Hz, 1H), 7.82 – 7.70 (m, 2H), 7.49 – 7.35 (m, 3H), 7.28 – 7.21 (m, 1H), 5.98 (s, 1H), 5.62 (s, 1H), 3.37 (t, J = 7.5 Hz, 2H), 3.15 (t, J = 7.5 Hz, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 198.1, 168.4, 162.9 (d, J = 246.3 Hz), 153.7, 142.2, 138.8 (d, J = 6.2 Hz), 134.6, 130.2 (d, J = 7.5 Hz), 126.1, 125.6, 123.9 (d, J = 3.1 Hz), 123.3, 121.4, 120.6, 120.0 (d, J = 21.3 Hz), 115.0 (d, J = 22.1 Hz), 38.1, 29.0; ^{19}F NMR (376 MHz, CDCl_3) δ -111.9; IR (neat): ν 2918, 1685, 1586, 1437, 1241, 1150, 908, 758, 728, 679 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{18}\text{H}_{15}\text{NOFS}$ $[\text{M}+\text{H}]^+$: 312.0853, found: 312.0845.

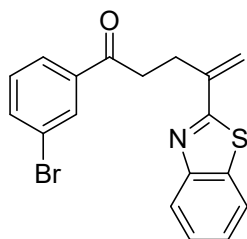
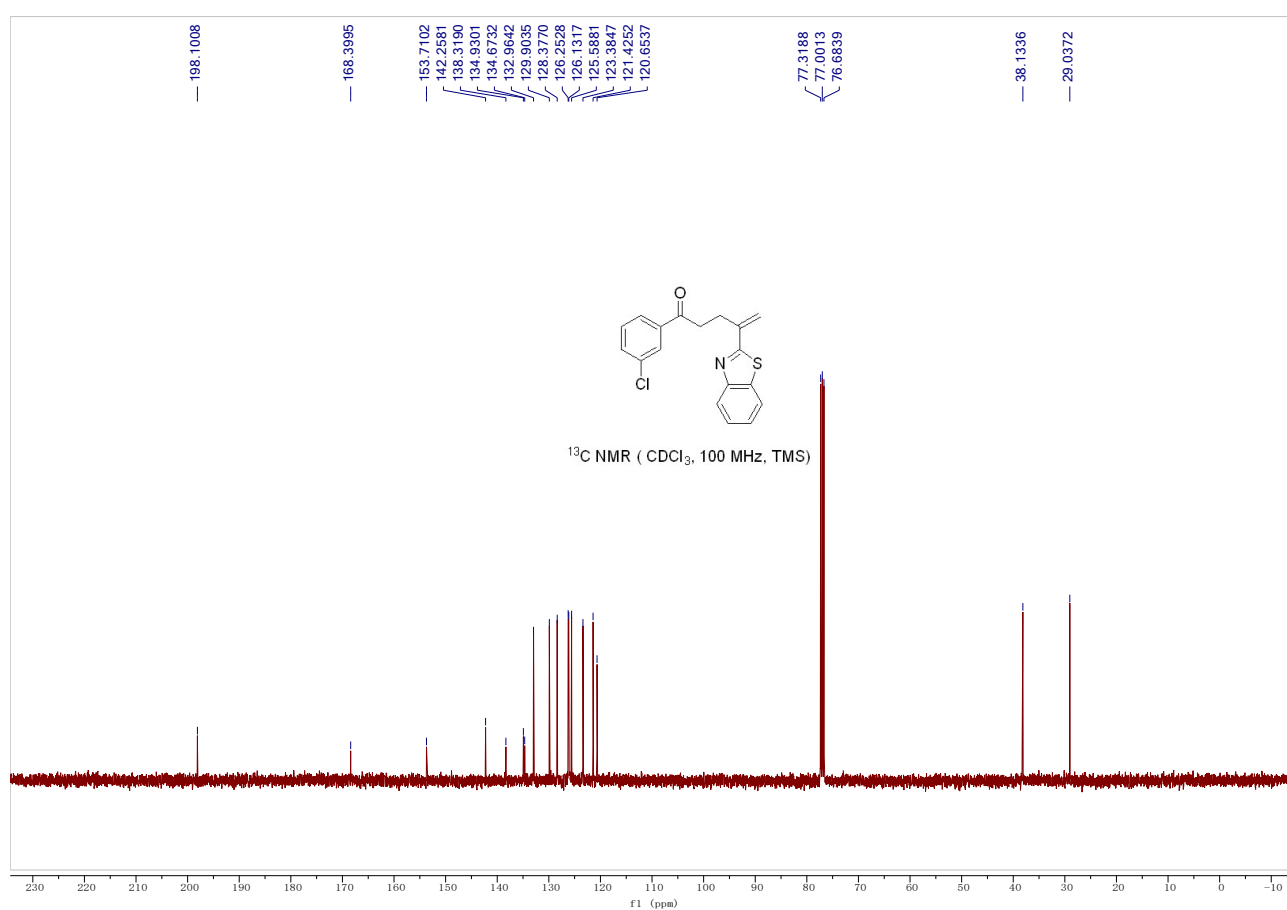




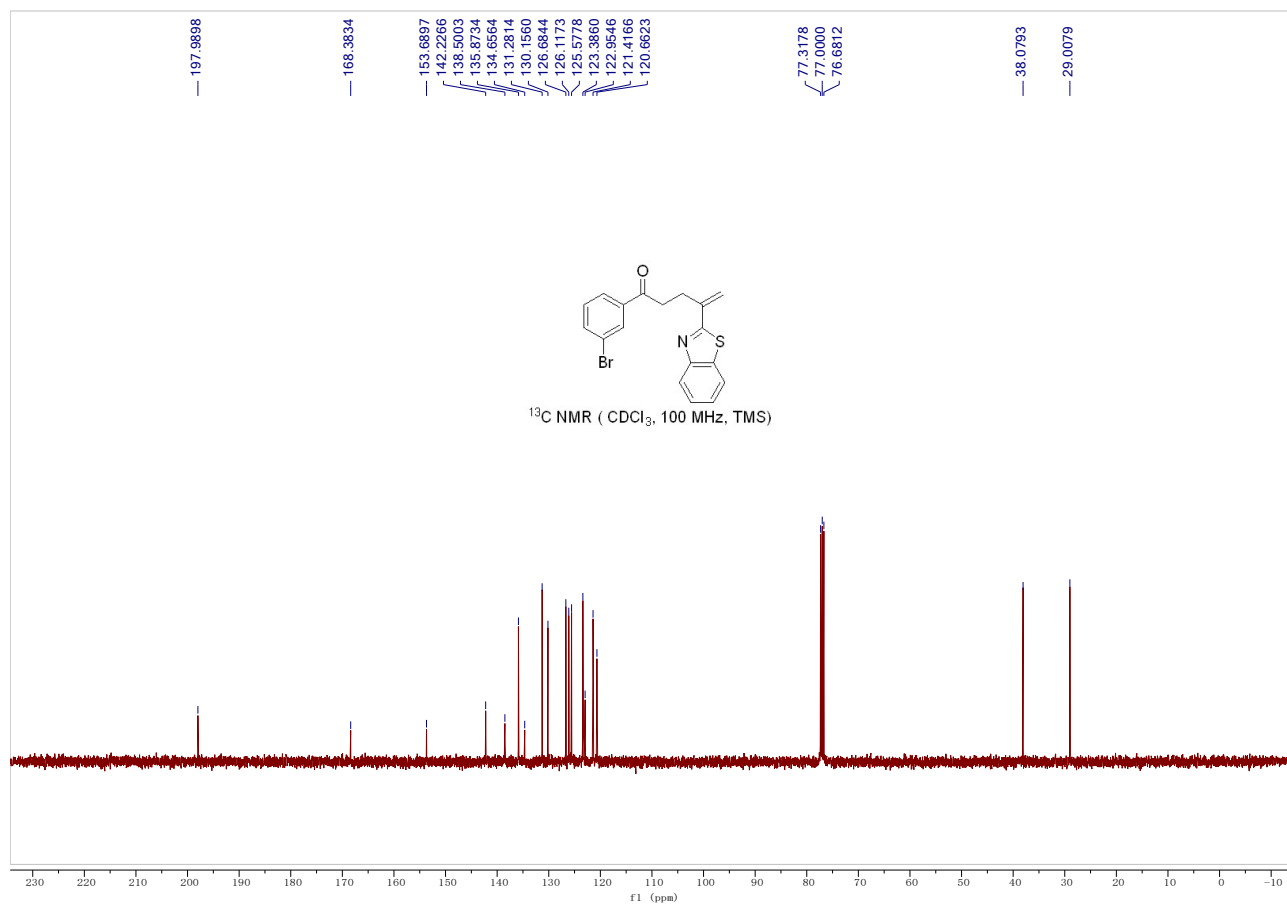
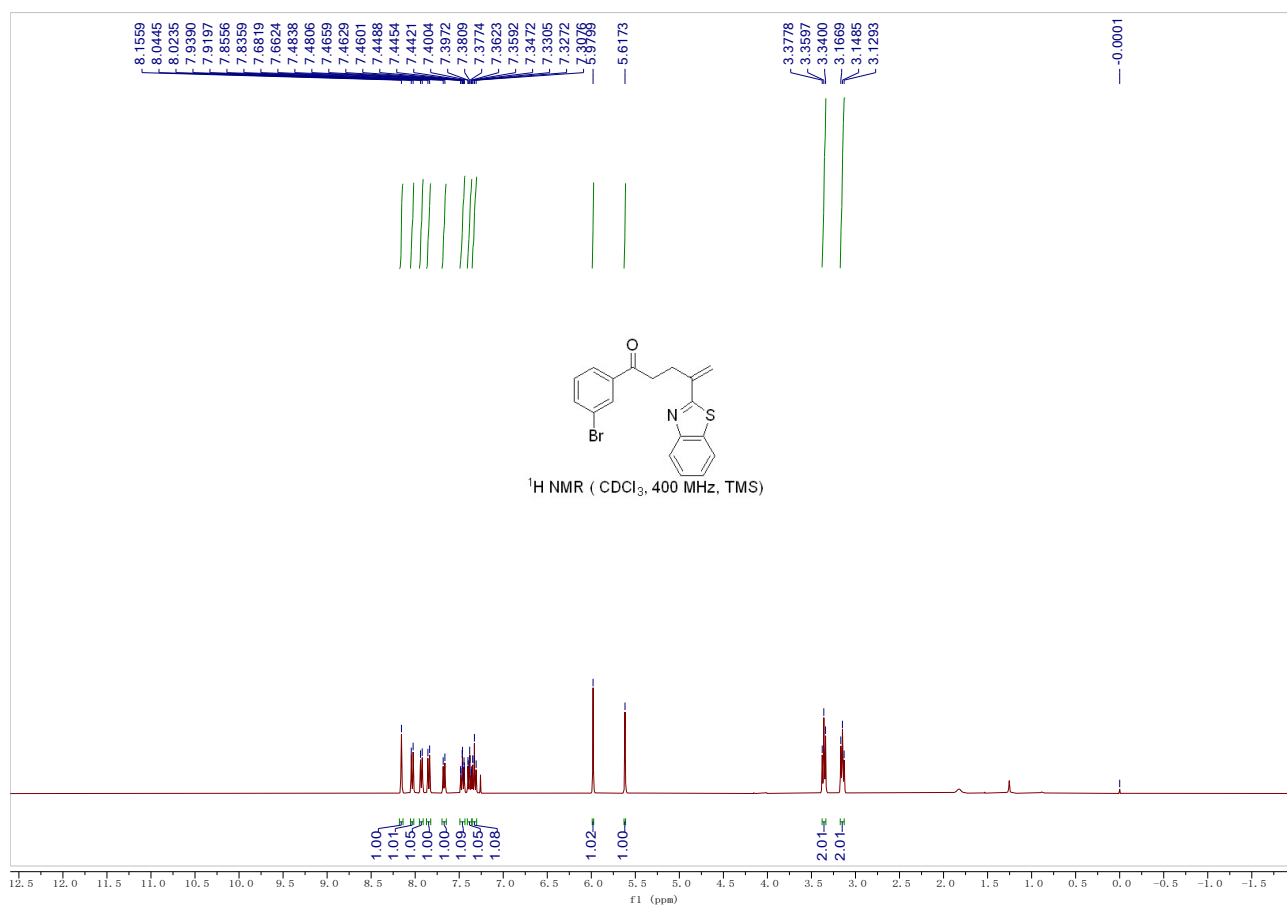


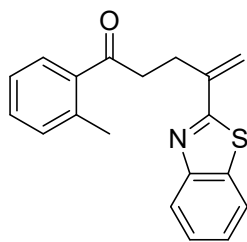
Compound 2o: Yield: 49.7 mg, 76%; A white solid; Mp: 93 - 95 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ^1H NMR (400 MHz, CDCl_3) δ 8.05 – 8.02 (m, 1H), 8.01 (s, 1H), 7.90 – 7.87 (m, 1H), 7.86 – 7.83 (m, 1H), 7.54 – 7.51 (m, 1H), 7.49 – 7.44 (m, 1H), 7.41 – 7.37 (m, 2H), 5.98 (s, 1H), 5.62 (s, 1H), 3.36 (t, J = 7.5 Hz, 2H), 3.15 (t, J = 7.5 Hz, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 198.1, 168.4, 153.7, 142.3, 138.3, 134.9, 134.7, 133.0, 129.9, 128.4, 126.3, 126.1, 125.6, 123.4, 121.4, 120.7, 38.1, 29.0; IR (neat): ν 3064, 2921, 1685, 1570, 1456, 1202, 997, 905, 758, 728, 678 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{18}\text{H}_{15}\text{NOSCl}$ $[\text{M}+\text{H}]^+$: 328.0557, found: 328.0549.



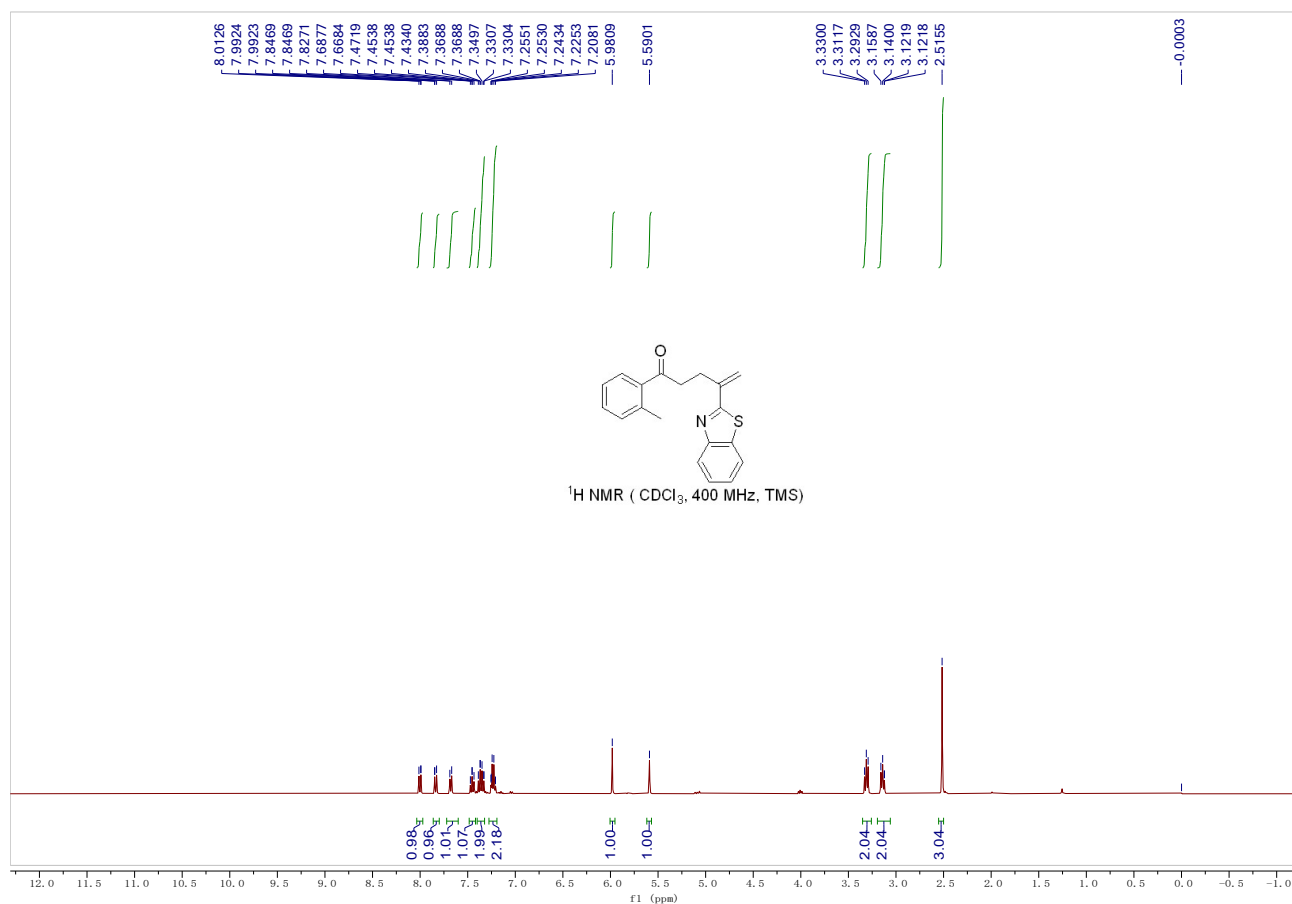


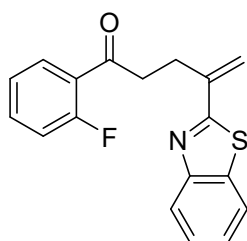
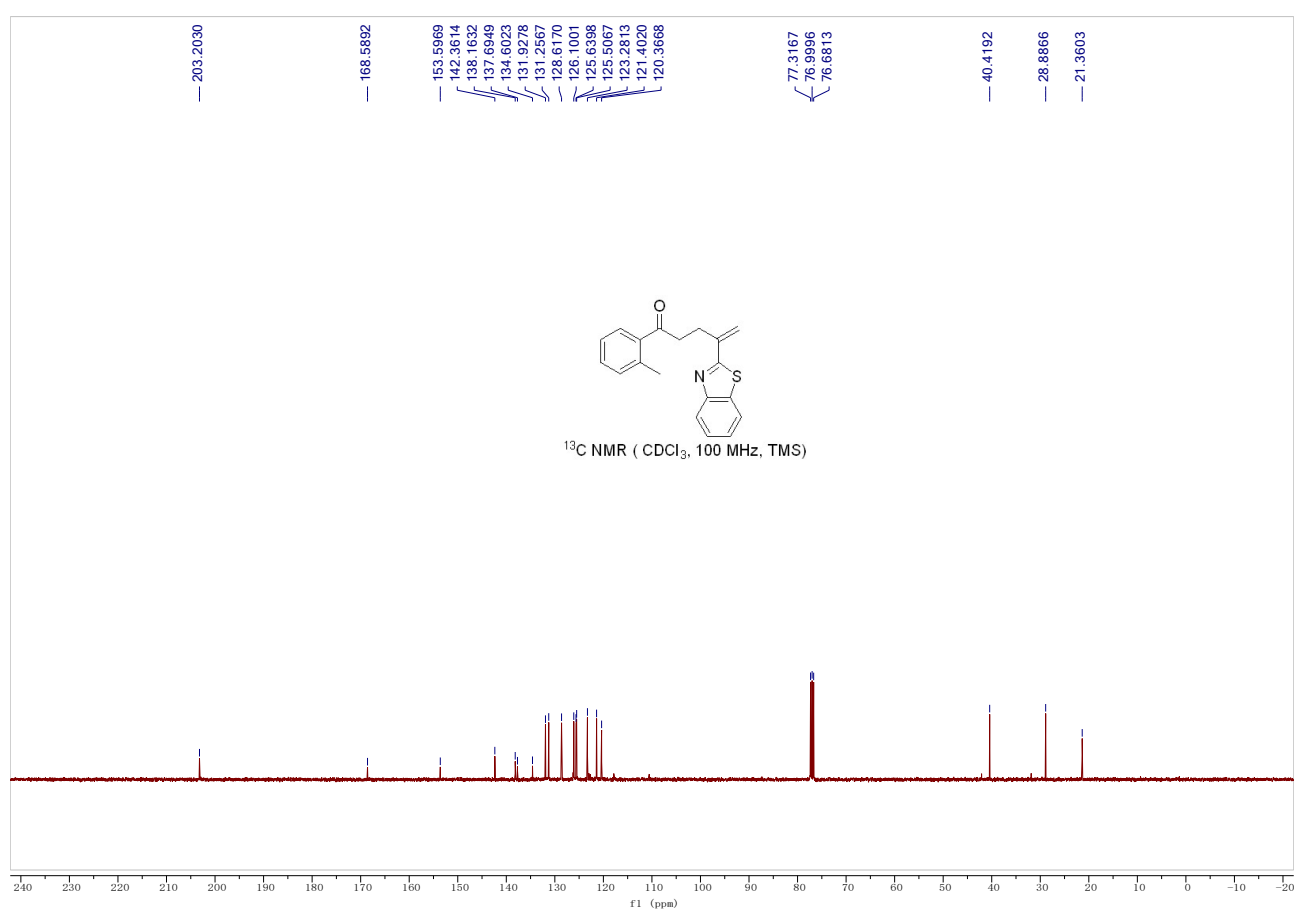
Compound 2p: Yield: 59.2 mg, 80%; A white solid; Mp: 106 - 108 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ¹H NMR (400 MHz, CDCl₃) δ 8.16 (s, 1H), 8.03 (d, J = 8.0 Hz, 1H), 7.93 (d, J = 7.9 Hz, 1H), 7.85 (d, J = 7.9 Hz, 1H), 7.67 (d, J = 8.0 Hz, 1H), 7.49 – 7.43 (m, 1H), 7.41 – 7.36 (m, 1H), 7.35 – 7.30 (m, 1H), 5.98 (s, 1H), 5.62 (s, 1H), 3.36 (t, J = 7.5 Hz, 2H), 3.15 (t, J = 7.5 Hz, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 198.0, 168.4, 153.7, 142.2, 138.5, 135.9, 134.7, 131.3, 130.2, 126.7, 126.1, 125.6, 123.4, 123.0, 121.4, 120.7, 38.1, 29.0; IR (neat): ν 3062, 2922, 1683, 1565, 1456, 1200, 995, 906, 758, 728, 677 cm⁻¹; HRMS (ESI+) Calcd. for C₁₈H₁₅NOSBr [M+H]⁺: 372.0052, found: 372.0061.





Compound 2q: Yield: 24.6 mg, 40%; A yellow oil; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, $R_f = 0.4$); ^1H NMR (400 MHz, CDCl_3) δ 8.04 – 7.97 (m, 1H), 7.86 – 7.80 (m, 1H), 7.68 (d, $J = 7.7$ Hz, 1H), 7.48 – 7.42 (m, 1H), 7.40 – 7.32 (m, 2H), 7.28 – 7.19 (m, 2H), 5.98 (s, 1H), 5.59 (s, 1H), 3.31 (t, $J = 7.4$ Hz, 2H), 3.14 (t, $J = 7.4$ Hz, 2H), 2.52 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 203.2, 168.6, 153.6, 142.4, 138.2, 137.7, 134.6, 131.9, 131.3, 128.6, 126.1, 125.6, 125.5, 123.3, 121.4, 120.4, 40.4, 28.9, 21.4; IR (neat): ν 2925, 1679, 1569, 1455, 1242, 1211, 973, 907, 758, 728 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{19}\text{H}_{18}\text{NOS}$ $[\text{M}+\text{H}]^+$: 308.1104, found: 308.1105.

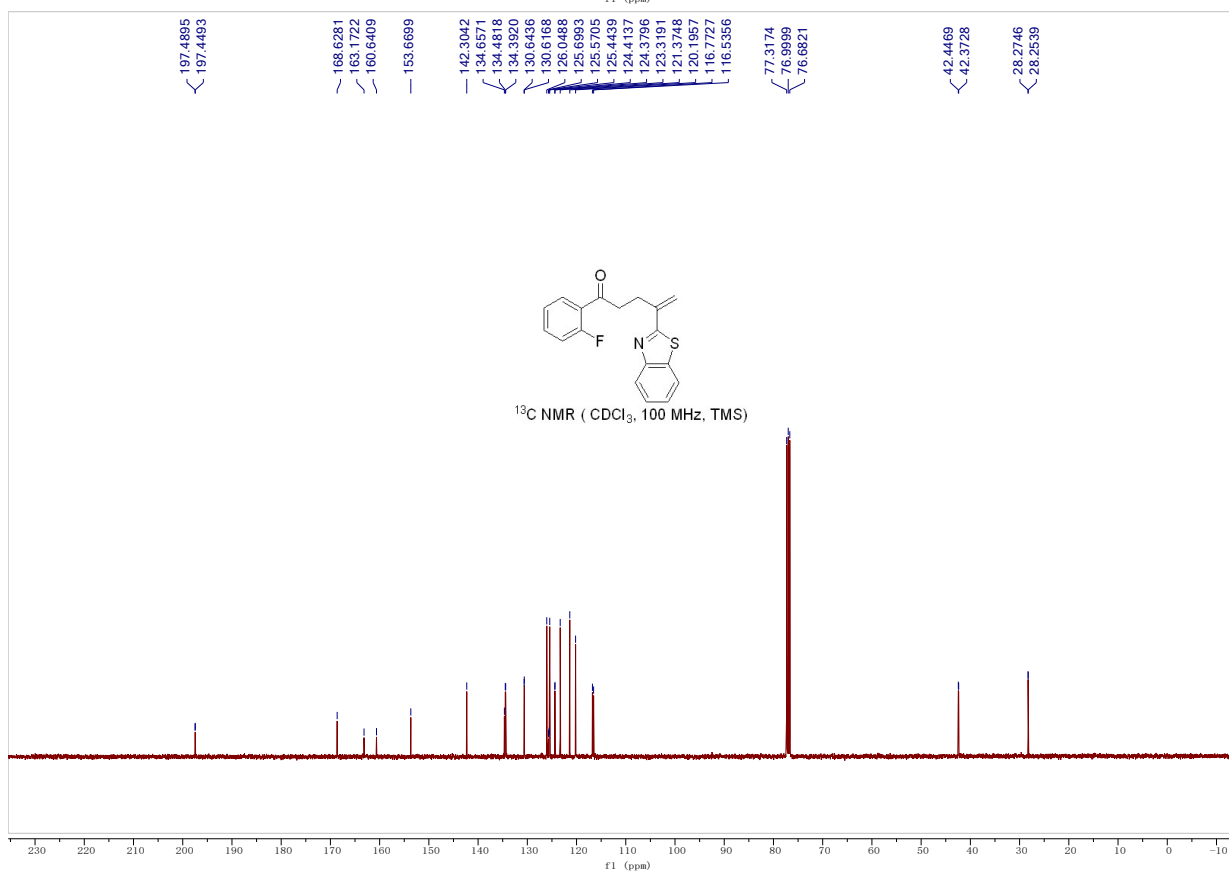
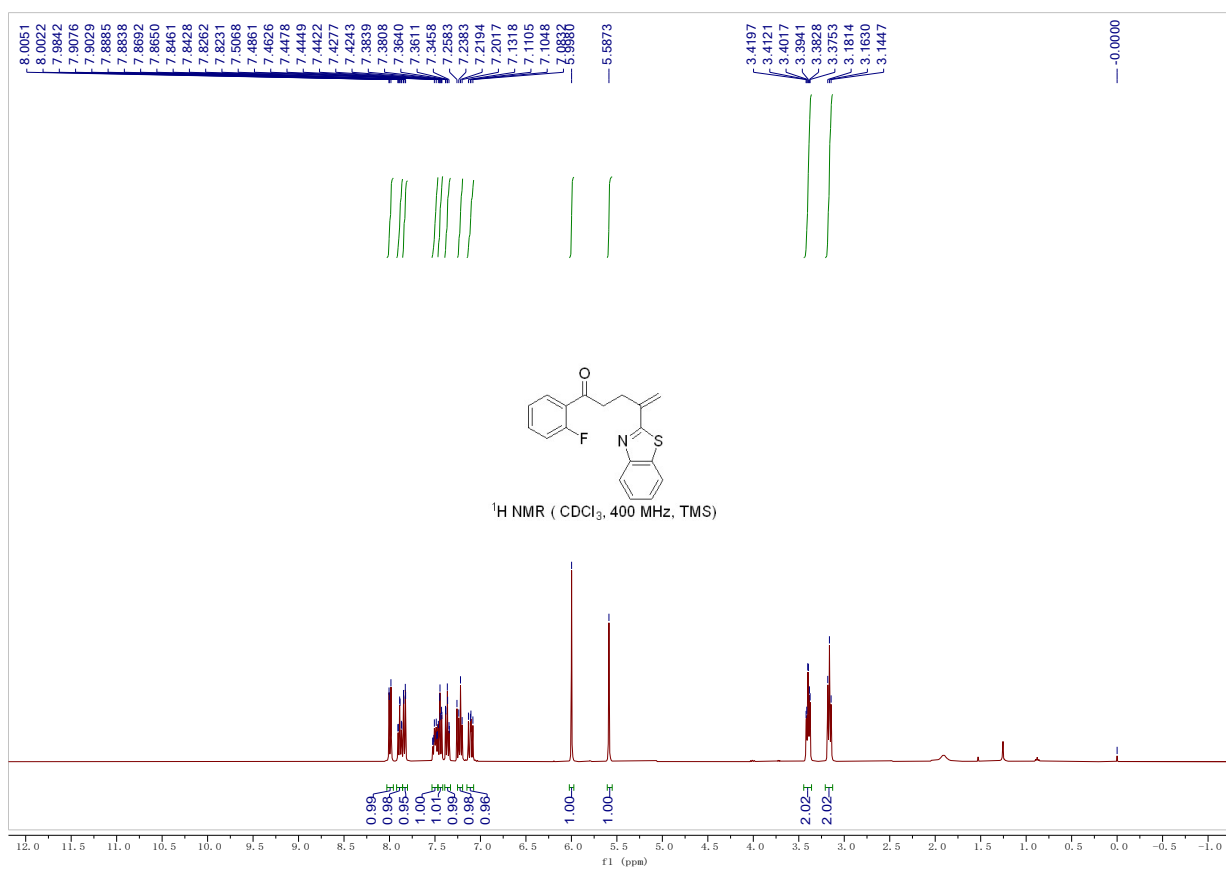


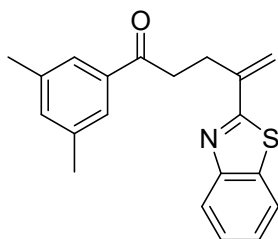
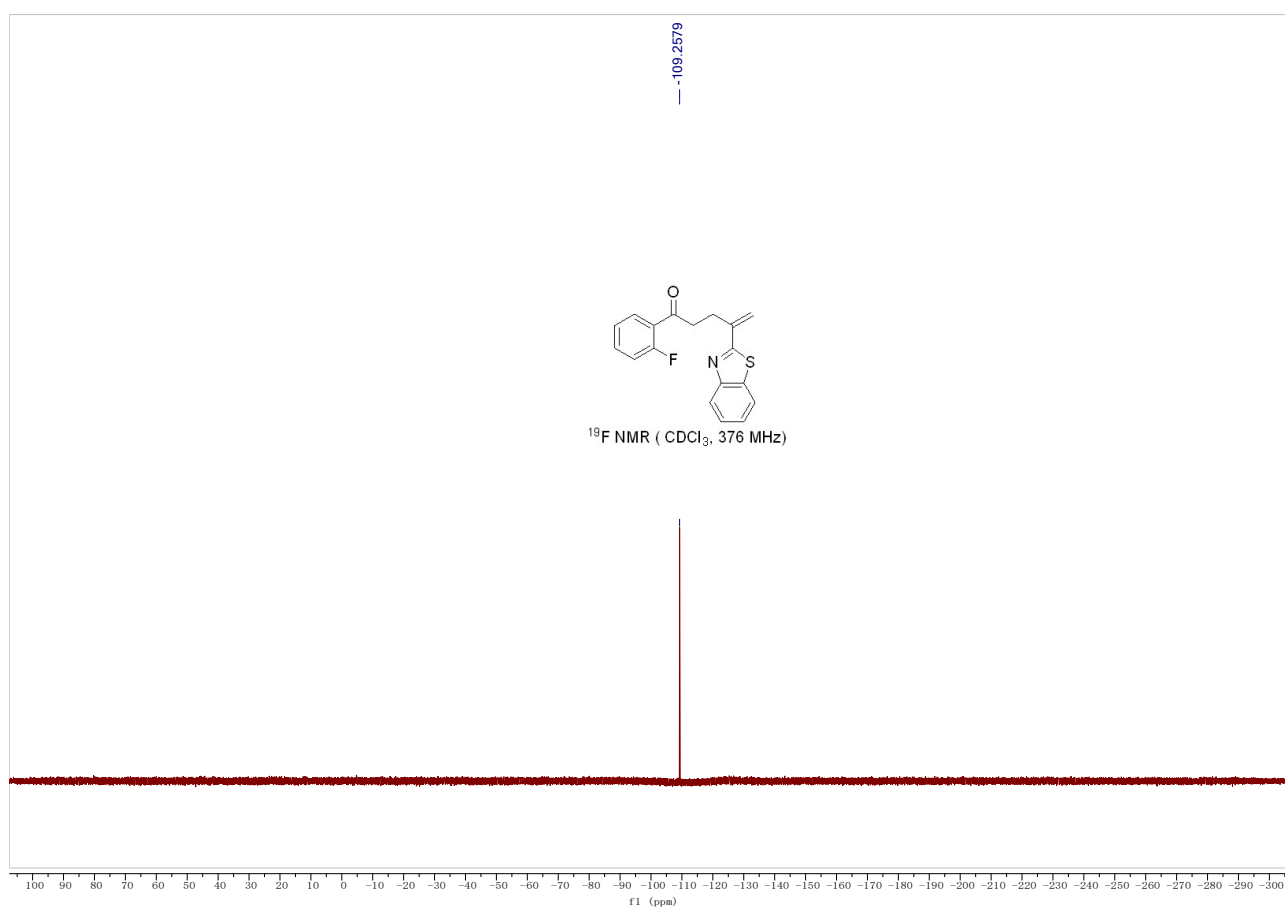


Compound 2r: Yield: 24.6 mg, 40%; A yellow oil; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ¹H NMR (400 MHz, CDCl₃) δ 8.03 – 7.96 (m, 1H), 7.89 (td, J = 7.5, 1.8 Hz, 1H), 7.86 – 7.80 (m, 1H), 7.53 – 7.47 (m, 1H), 7.47 – 7.41 (m, 1H), 7.36 (t, J = 7.5 Hz, 1H), 7.22 (t, J = 7.5 Hz, 1H), 7.15 – 7.07 (m, 1H), 6.00 (s, 1H), 5.59 (s, 1H), 3.40 (td, J = 7.3, 3.0 Hz, 2H), 3.16 (t, J = 7.3 Hz, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 197.5 (d, J = 4.0 Hz), 168.6, 161.9 (d, J = 253.1 Hz), 153.7, 142.3, 134.7, 134.5 (d, J = 8.8 Hz), 130.6 (d, J = 5.3 Hz), 126.0, 125.6 (d, J = 12.9 Hz), 125.4, 124.4 (d, J = 3.8 Hz), 123.3, 121.4, 120.2, 116.6 (d, J = 23.1 Hz), 42.4 (d, J = 7.4 Hz), 28.3 (d, J = 2.1 Hz); ¹⁹F NMR (376 MHz, CDCl₃) δ -109.3; IR (neat): ν 2922, 1682, 1607,

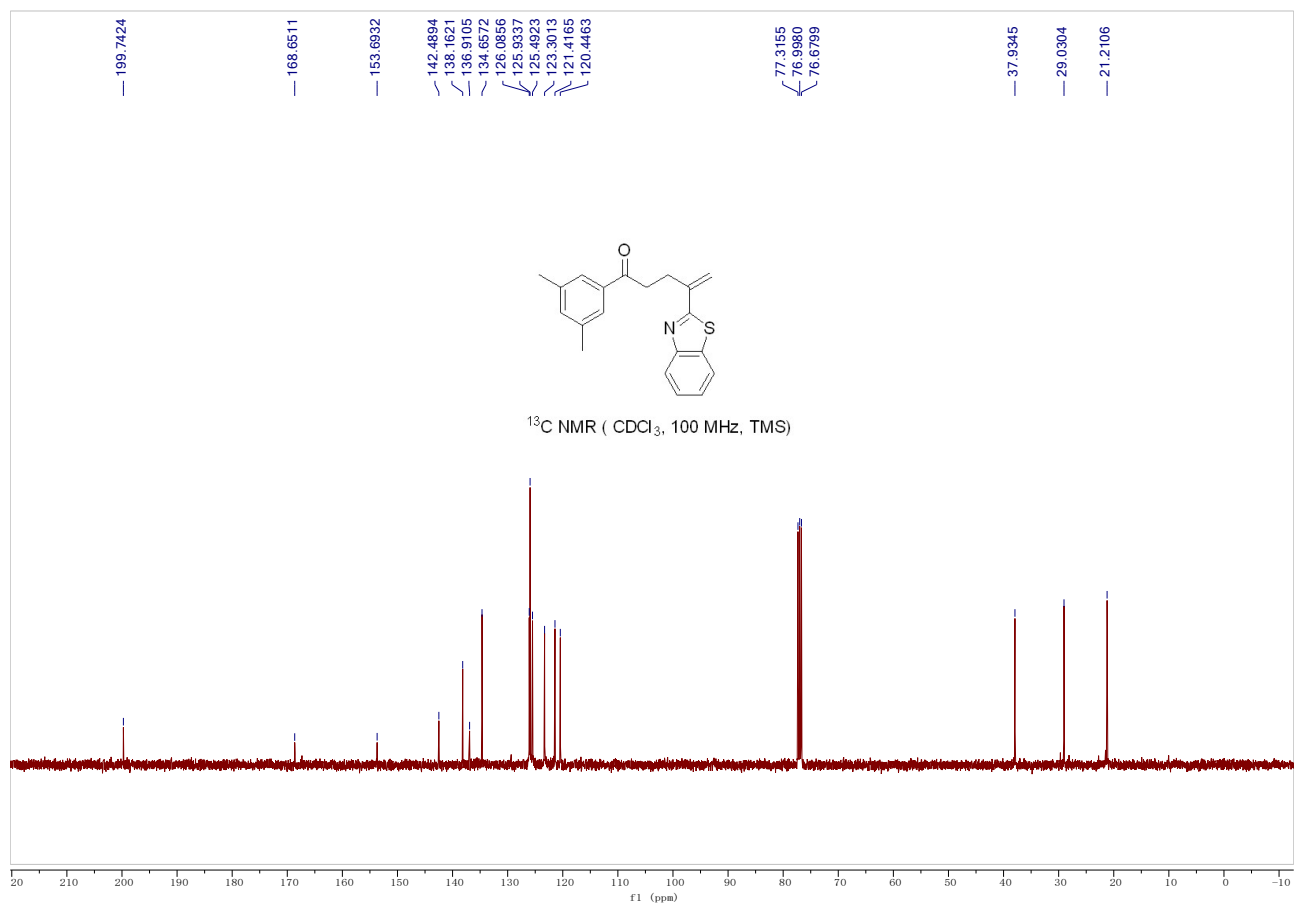
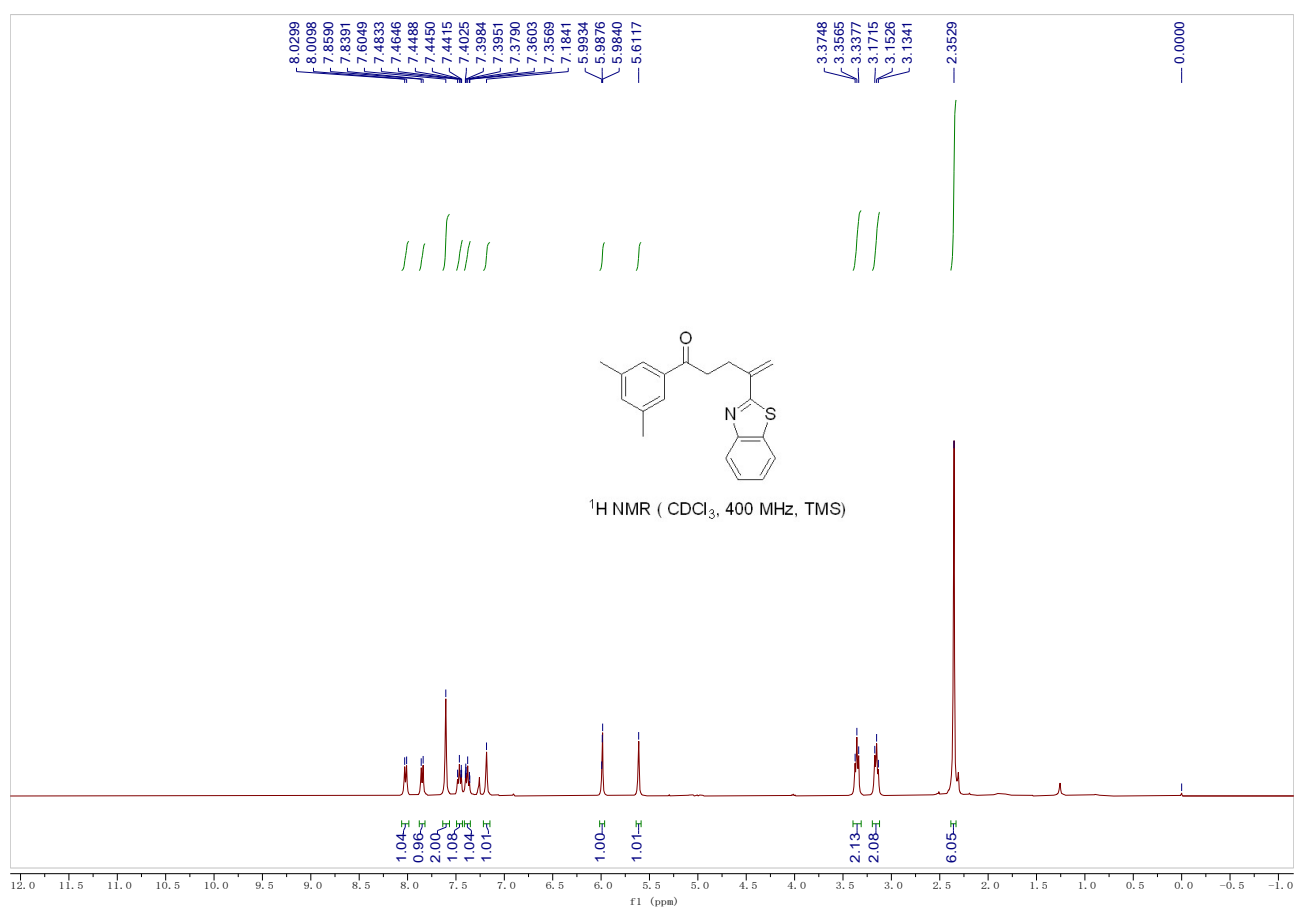
1479, 1450, 1210, 1151, 1102, 985, 906, 756, 728 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{18}\text{H}_{15}\text{NOFS}$

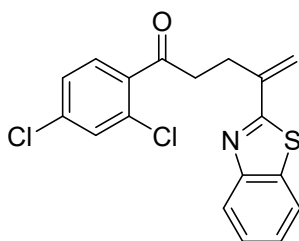
$[\text{M}+\text{H}]^+$: 312.0853, found:312.0849.



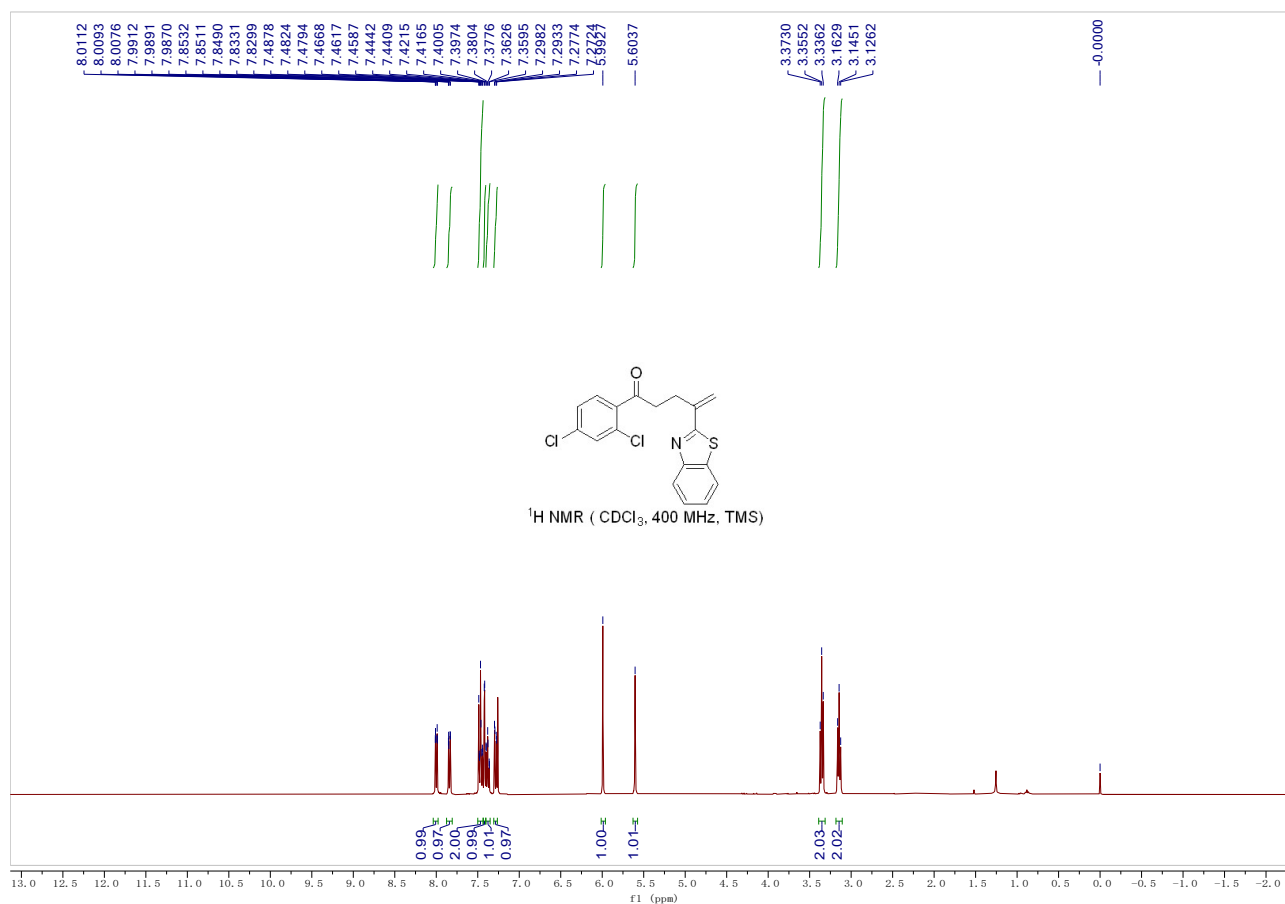


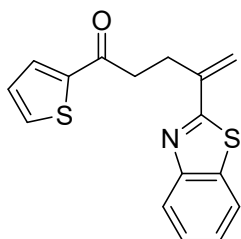
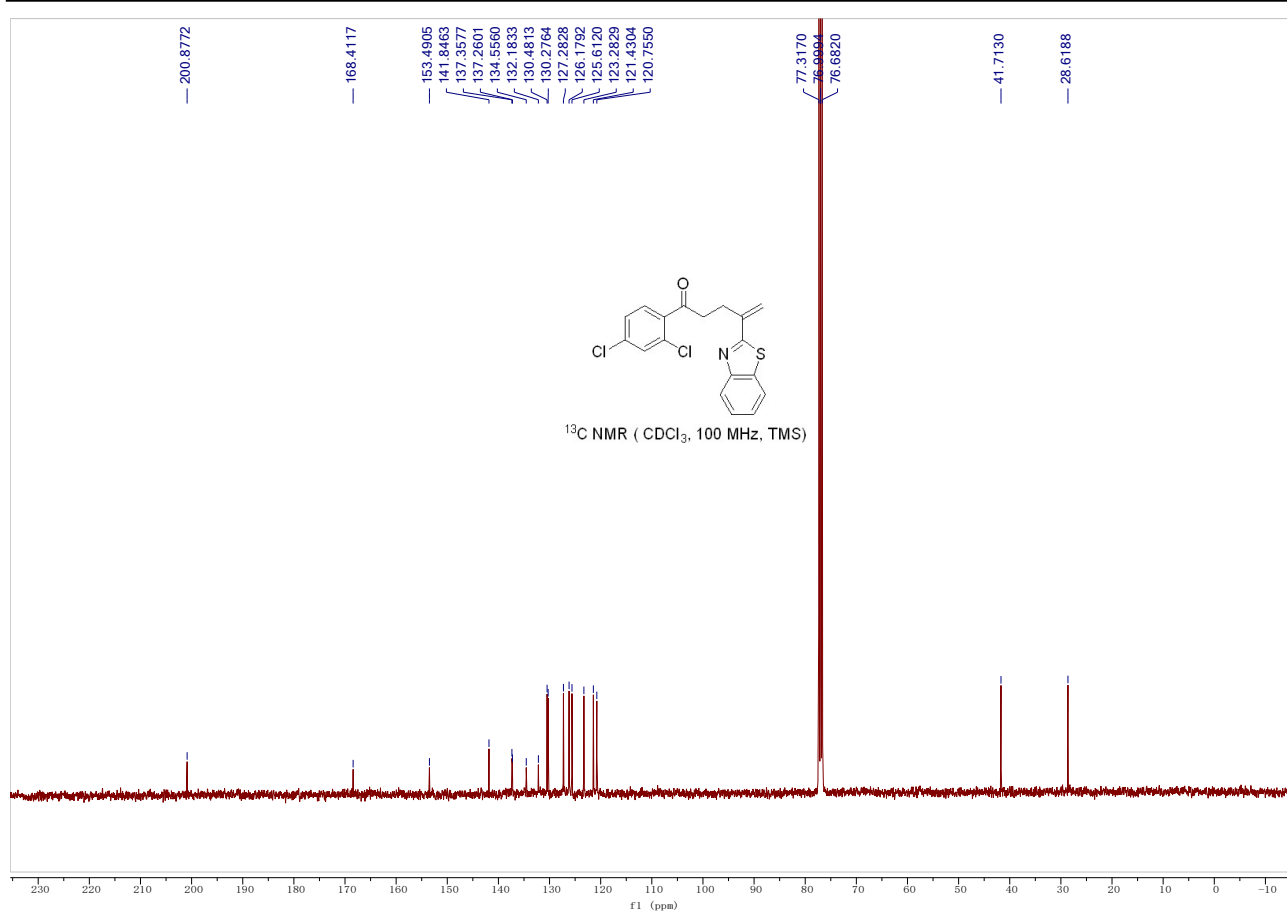
Compound 2s: Yield: 49.4 mg, 77%; A white solid; Mp: 81 - 83 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ¹H NMR (400 MHz, CDCl₃) δ 8.02 (d, J = 8.0 Hz, 1H), 7.85 (d, J = 8.0 Hz, 1H), 7.64 – 7.57 (m, 2H), 7.49 – 7.43 (m, 1H), 7.41 – 7.35 (m, 1H), 7.22 – 7.15 (m, 1H), 5.99 (s, 1H), 5.61 (s, 1H), 3.36 (t, J = 7.5 Hz, 2H), 3.15 (t, J = 7.5 Hz, 2H), 2.35 (s, 6H); ¹³C NMR (100 MHz, CDCl₃) δ 199.7, 168.7, 153.7, 142.5, 138.2, 136.9, 134.7, 126.1, 125.9, 125.5, 123.3, 121.4, 120.4, 37.9, 29.0, 21.2; IR (neat): ν 2917, 1681, 1604, 1485, 1313, 1180, 1157, 906, 759, 729 cm⁻¹; HRMS (ESI⁺) Calcd. for C₂₀H₂₀NOS [M+H]⁺: 322.1260, found: 322.1259.



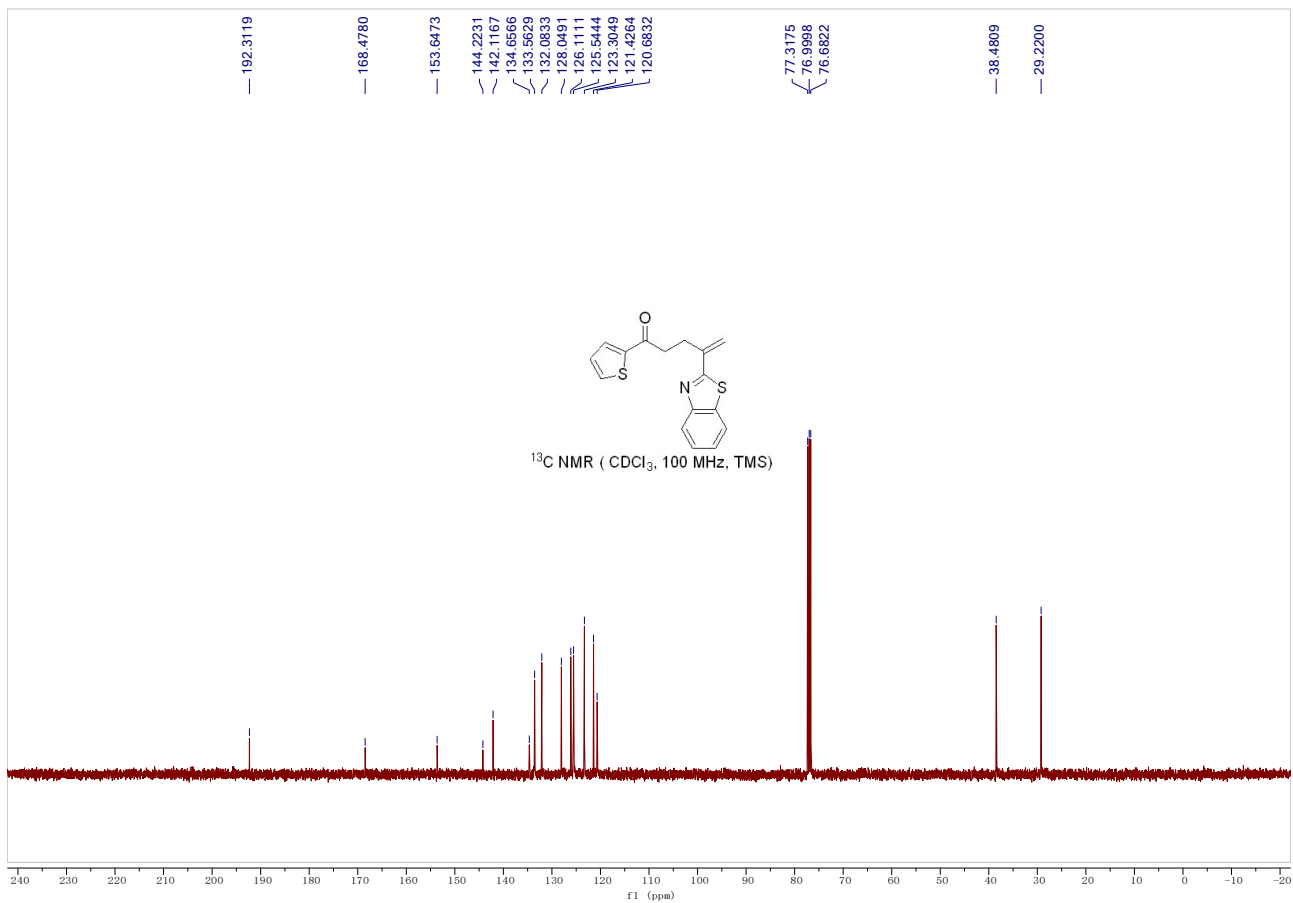
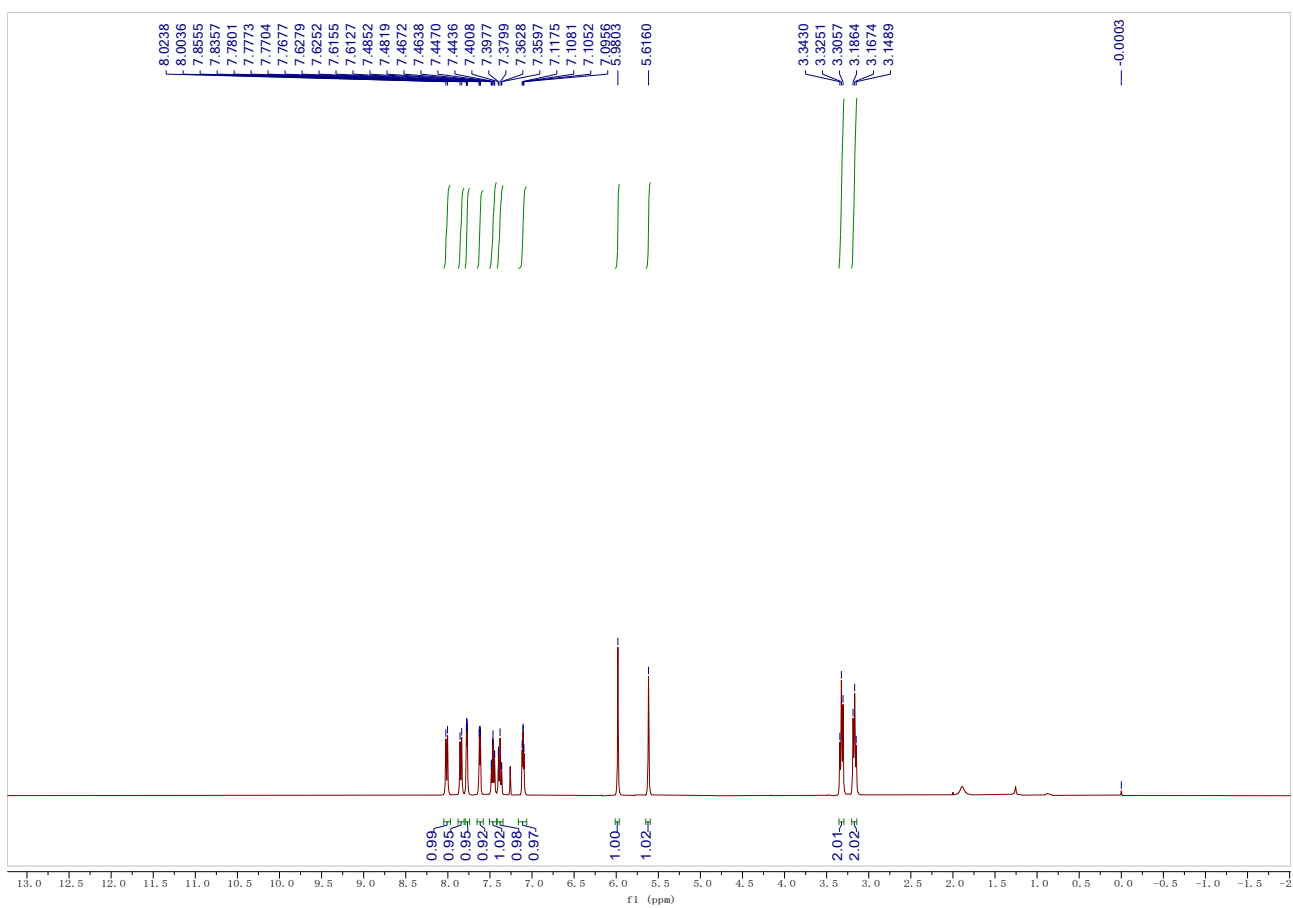


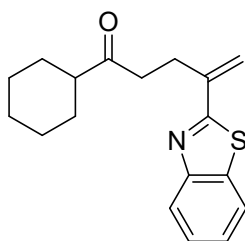
Compound 2t: Yield: 30.3 mg, 42%; A white solid; Mp: 102 - 104 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ^1H NMR (400 MHz, CDCl_3) δ 8.04 – 7.98 (m, 1H), 7.88 – 7.81 (m, 1H), 7.50 – 7.43 (m, 2H), 7.42 (d, J = 2.0 Hz, 1H), 7.40 – 7.35 (m, 1H), 7.31 – 7.26 (m, 1H), 5.99 (s, 1H), 5.60 (s, 1H), 3.35 (t, J = 7.4 Hz, 2H), 3.14 (t, J = 7.4 Hz, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 200.9, 168.4, 153.5, 141.8, 137.4, 137.3, 134.6, 132.2, 130.5, 130.3, 127.3, 126.2, 125.6, 123.3, 121.4, 120.8, 41.7, 28.6; IR (neat): ν 2924, 1687, 1581, 1406, 1104, 906, 820, 758, 728 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{18}\text{H}_{14}\text{NOSCl}_2$ $[\text{M}+\text{H}]^+$: 362.0167, found: 362.0172.



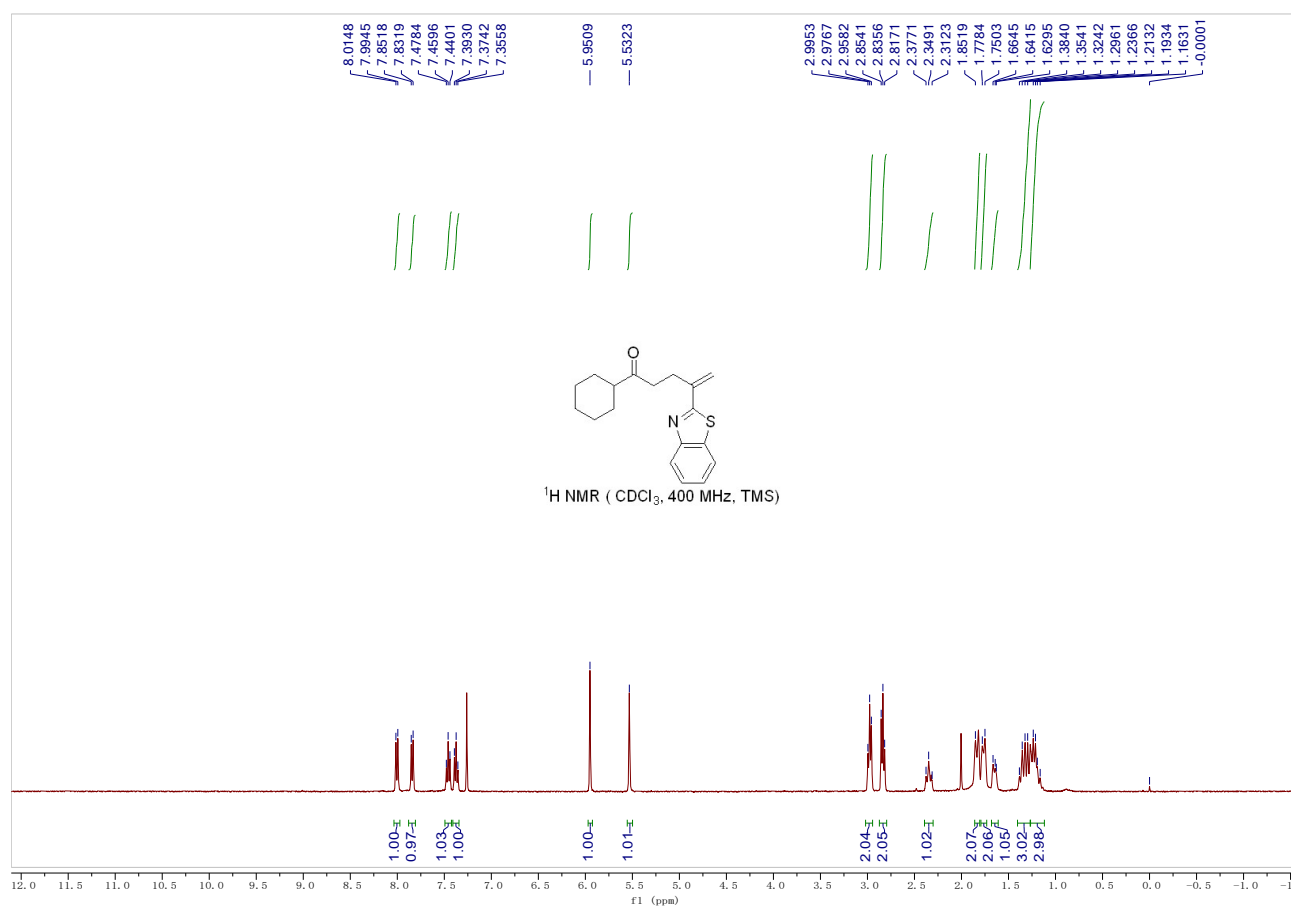


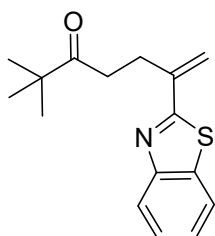
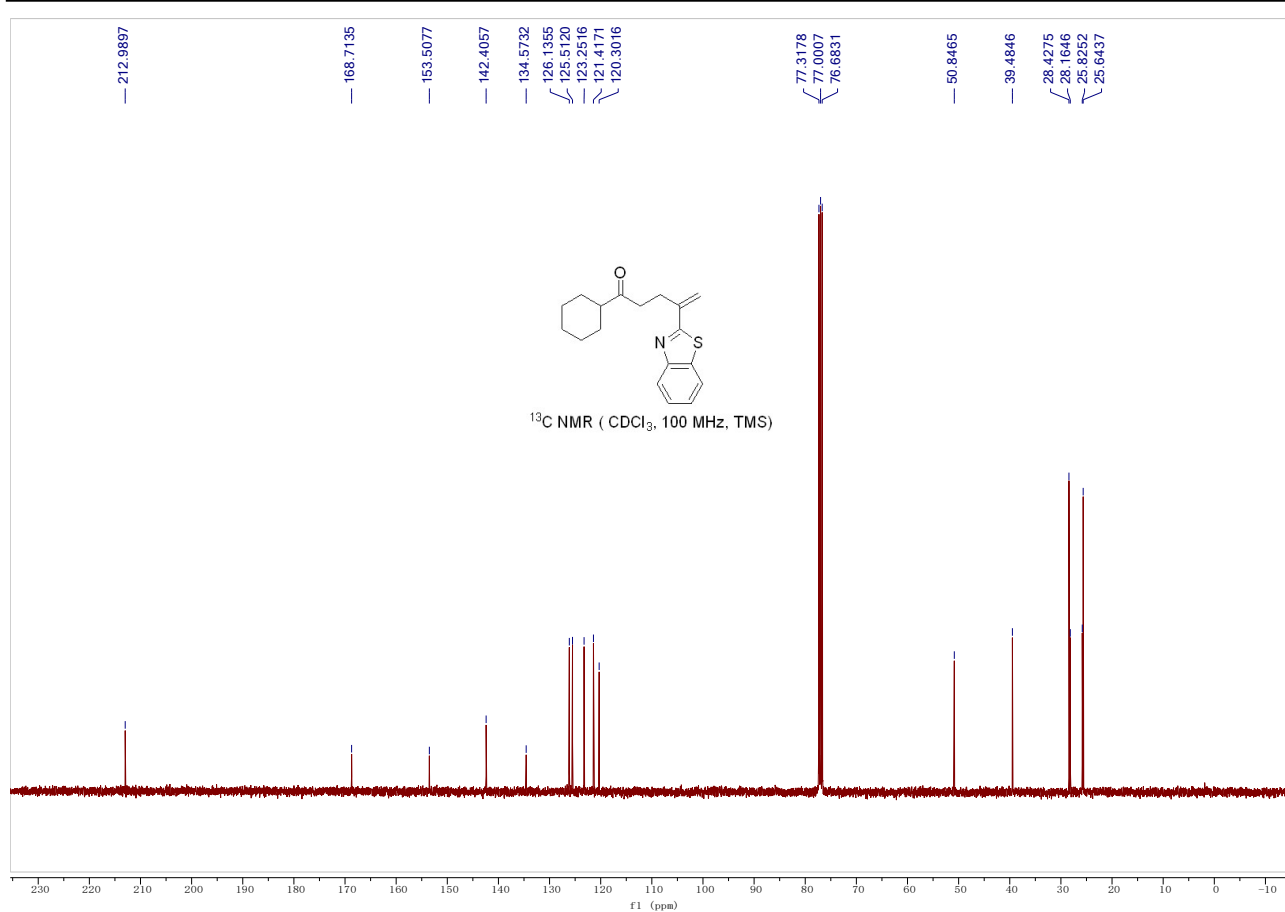
Compound 2u: Yield: 31.1 mg, 52%; A yellow oil; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ^1H NMR (400 MHz, CDCl₃) δ 8.01 (d, J = 8.1 Hz, 1H), 7.85 (d, J = 8.1 Hz, 1H), 7.79 – 7.74 (m, 1H), 7.65 – 7.58 (m, 1H), 7.51 – 7.42 (m, 1H), 7.41 – 7.34 (m, 1H), 7.16 – 7.06 (m, 1H), 5.98 (s, 1H), 5.62 (s, 1H), 3.32 (t, J = 7.5 Hz, 2H), 3.17 (t, J = 7.5 Hz, 2H); ^{13}C NMR (100 MHz, CDCl₃) δ 192.3, 168.5, 153.6, 144.2, 142.1, 134.7, 133.6, 132.1, 128.0, 126.1, 125.5, 123.3, 121.4, 120.7, 38.5, 29.2; IR (neat): ν 2918, 2849, 1656, 1436, 1413, 1231, 1062, 853, 759, 721 cm^{-1} ; HRMS (ESI+) Calcd. for C₁₆H₁₄NOS₂ [M+H]⁺: 300.0511, found: 300.0509.



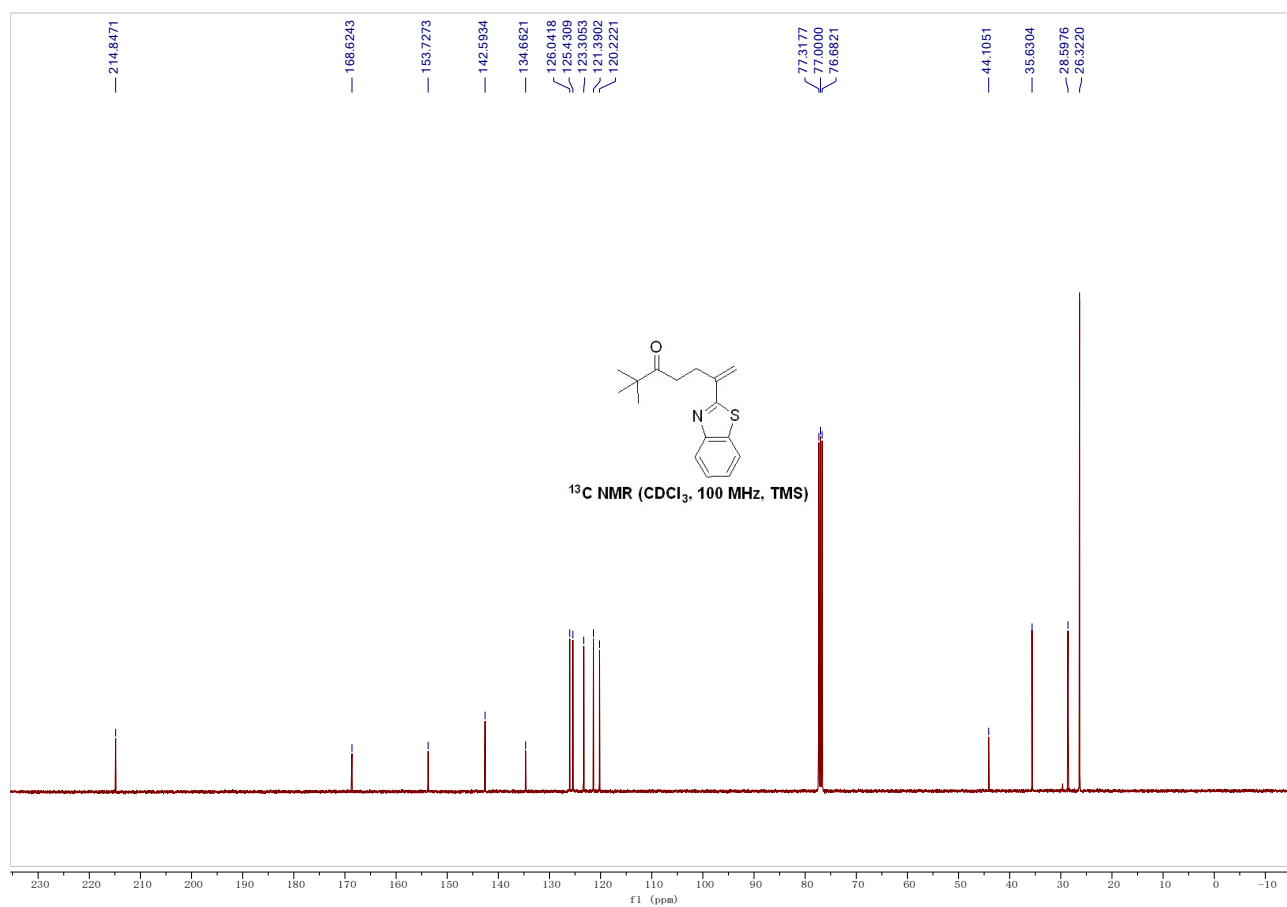
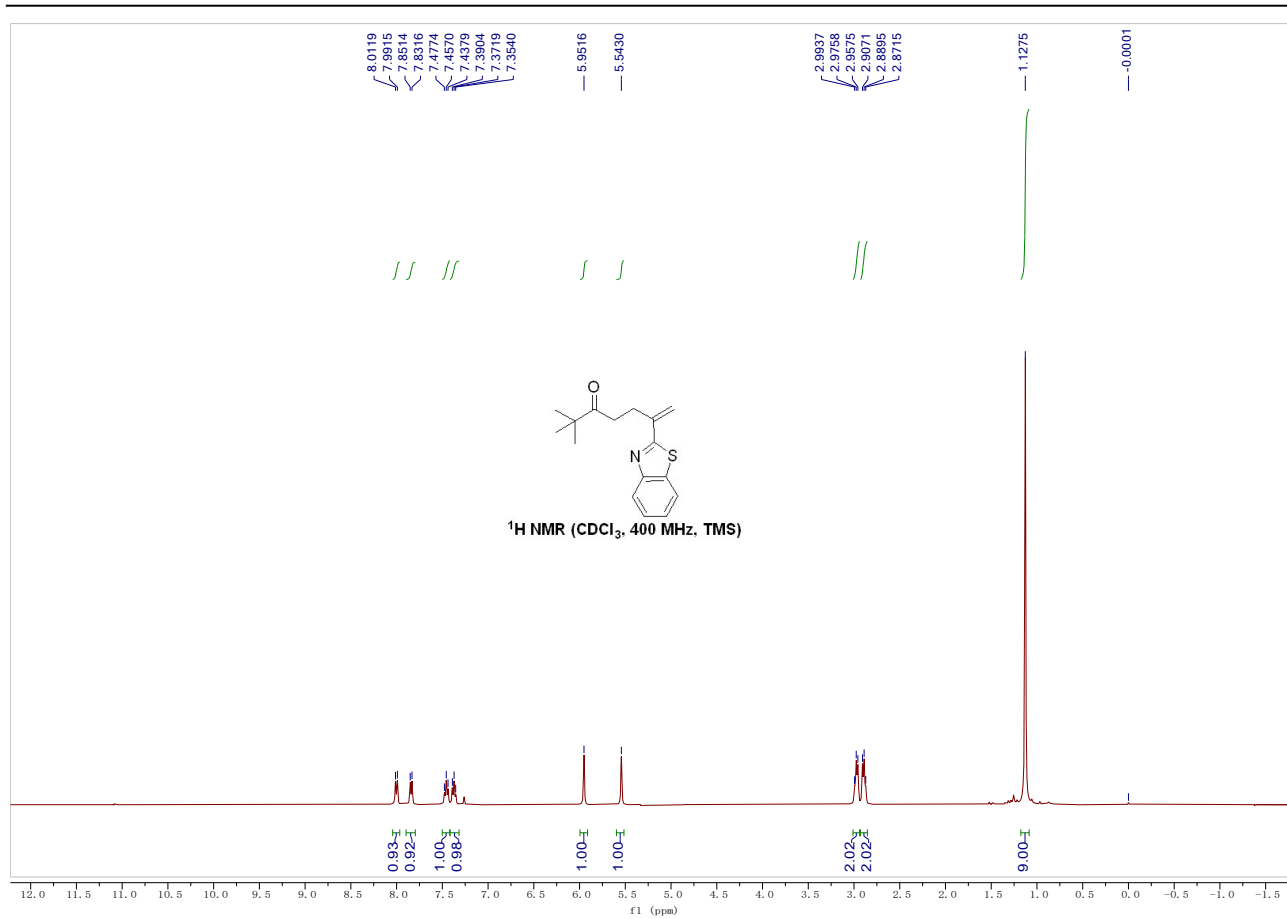


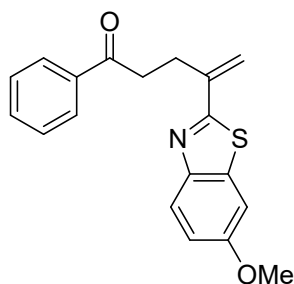
Compound 2v: Yield: 40.7 mg, 68%; A yellow oil; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ^1H NMR (400 MHz, CDCl_3) δ 8.00 (d, J = 8.0 Hz, 1H), 7.84 (d, J = 8.0 Hz, 1H), 7.46 (t, J = 8.0 Hz, 1H), 7.37 (t, J = 8.0 Hz, 1H), 5.95 (s, 1H), 5.53 (s, 1H), 2.98 (t, J = 7.4 Hz, 2H), 2.84 (t, J = 7.4 Hz, 2H), 2.39 – 2.30 (m, 1H), 1.86 – 1.81 (m, 2H), 1.79 – 1.73 (m, 2H), 1.68 – 1.61 (m, 1H), 1.40 – 1.27 (m, 3H), 1.27 – 1.12 (m, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 213.0, 168.7, 153.5, 142.4, 134.6, 126.1, 125.5, 123.3, 121.4, 120.3, 50.8, 39.5, 28.4, 28.2, 25.8, 25.6; IR (neat): ν 2927, 2851, 1703, 1513, 1448, 1314, 1241, 991, 910. 759, 729 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{18}\text{H}_{22}\text{NOS}$ $[\text{M}+\text{H}]^+$: 300.1417, found: 300.1412.



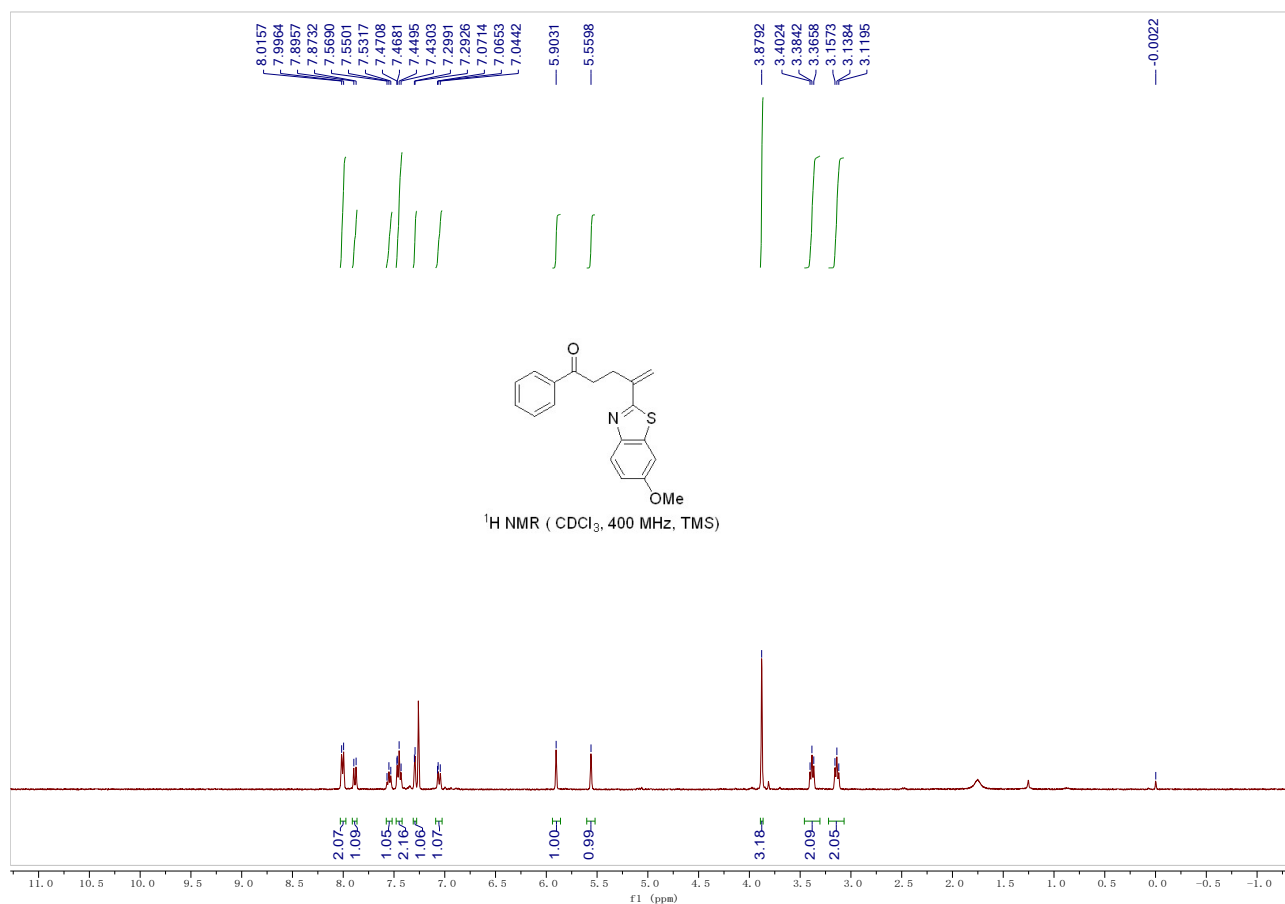


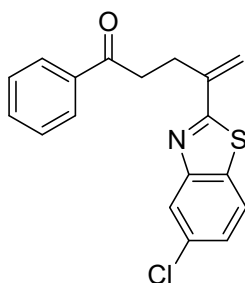
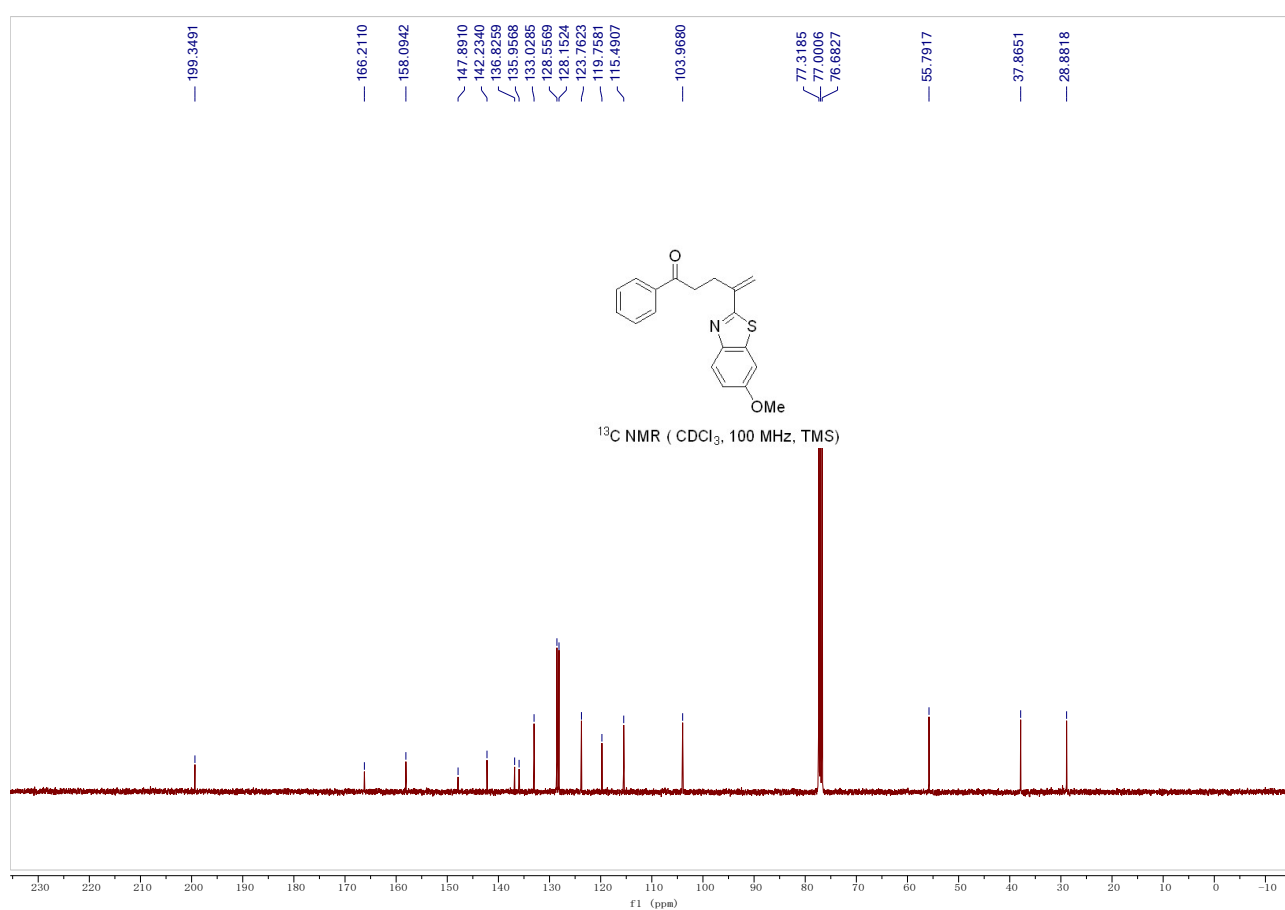
Compound 2w: Yield: 26.8 mg, 49%; A colorless oil; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ¹H NMR (400 MHz, CDCl₃) δ 8.00 (d, J = 8.1 Hz, 1H), 7.84 (d, J = 8.1 Hz, 1H), 7.45 (t, J = 7.6 Hz, 1H), 7.37 (t, J = 7.6 Hz, 1H), 5.95 (s, 1H), 5.54 (s, 1H), 2.98 (t, J = 7.2 Hz, 2H), 2.89 (t, J = 7.2 Hz, 2H), 1.13 (s, 9H); ¹³C NMR (100 MHz, CDCl₃) δ 214.8, 168.6, 153.7, 142.6, 134.7, 126.0, 125.4, 123.3, 121.4, 120.2, 44.1, 35.6, 28.6, 26.3; IR (neat): ν 2966, 1701, 1478, 1457, 1365, 1241, 1082, 989, 905, 759, 729 cm⁻¹; HRMS (ESI⁺) Calcd. for C₁₆H₂₀NOS [M+H]⁺: 274.1260, found: 274.1264.



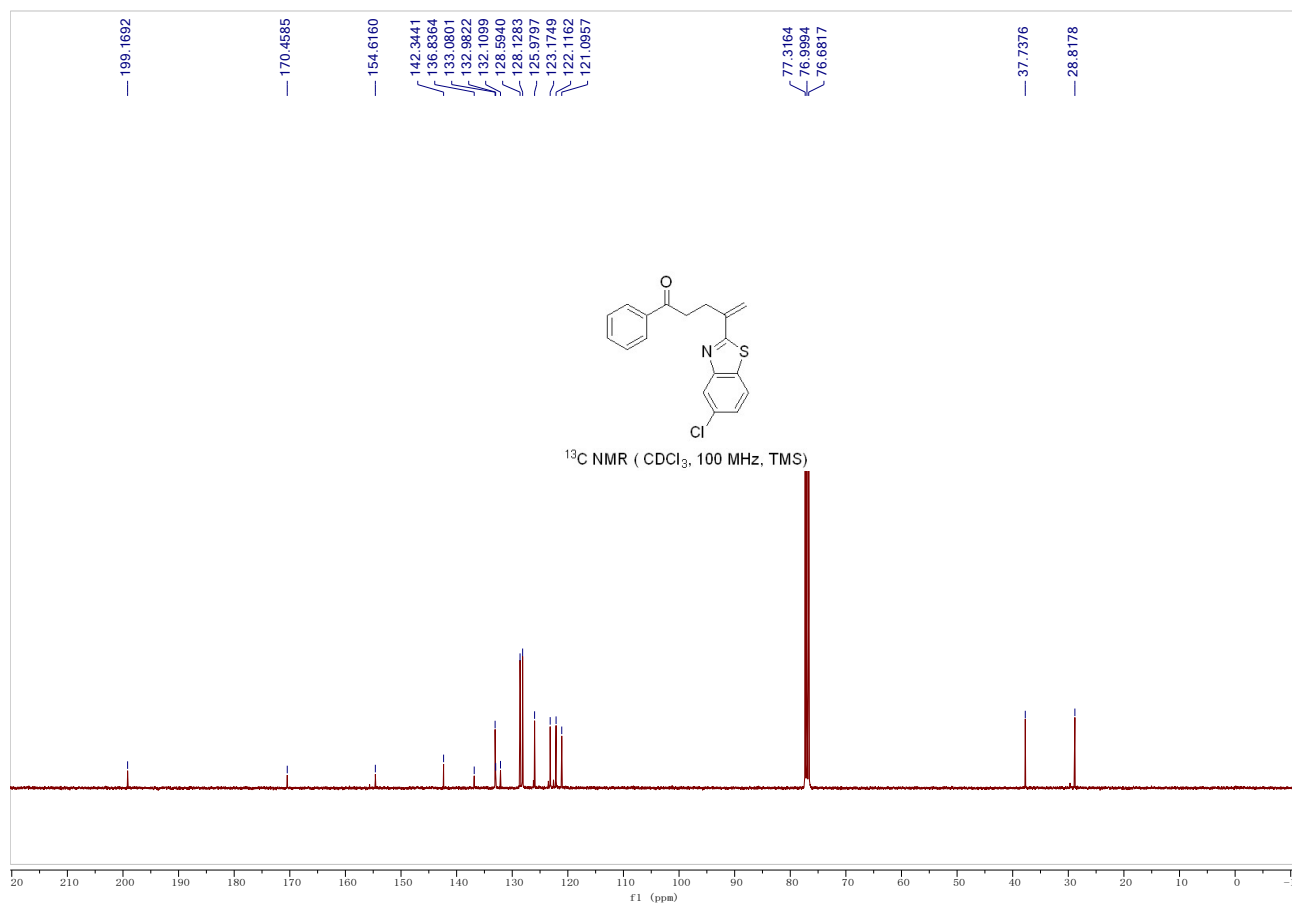
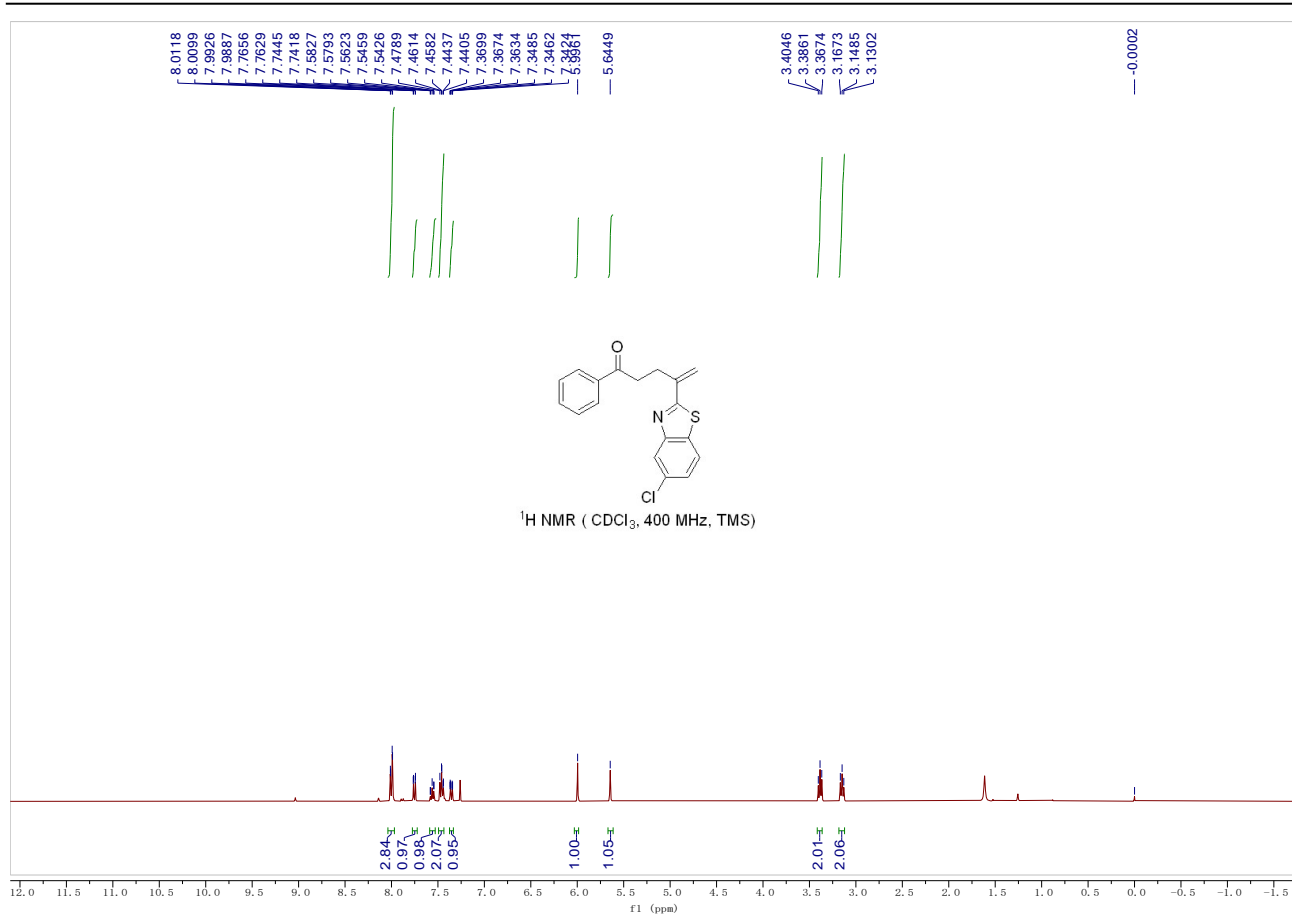


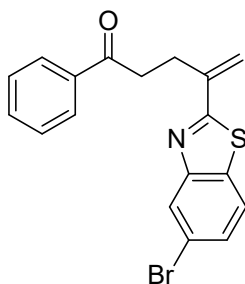
Compound 2x: Yield: 30.4 mg, 47%; A yellow solid; Mp: 85 - 87 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, $R_f = 0.4$); ^1H NMR (400 MHz, CDCl_3) δ 8.01 (d, $J = 7.7$ Hz, 2H), 7.88 (d, $J = 9.0$ Hz, 1H), 7.58 – 7.52 (m, 1H), 7.48 – 7.42 (m, 2H), 7.31 – 7.28 (m, 1H), 7.09 – 7.03 (m, 1H), 5.90 (s, 1H), 5.56 (s, 1H), 3.88 (s, 3H), 3.38 (t, $J = 7.5$ Hz, 2H), 3.14 (t, $J = 7.5$ Hz, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 199.3, 166.2, 158.1, 147.9, 142.2, 136.8, 136.0, 133.0, 128.6, 128.2, 123.8, 119.8, 115.5, 104.0, 55.8, 37.9, 28.9; IR (neat): ν 2061, 1682, 1585, 1478, 1248, 1072, 1058, 985, 808, 788, 713 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{19}\text{H}_{18}\text{NO}_2\text{S}$ $[\text{M}+\text{H}]^+$: 324.1053, found: 324.1051.



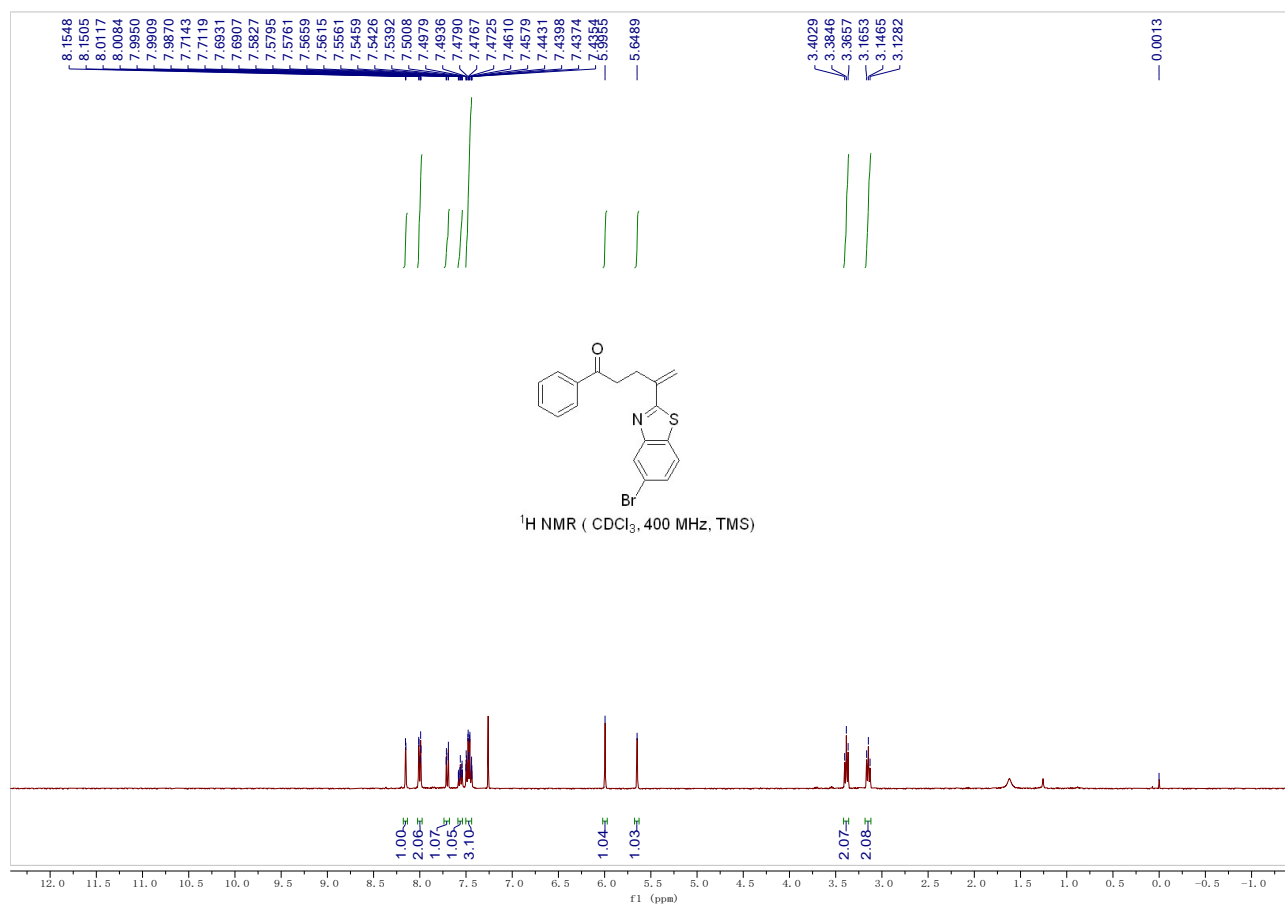


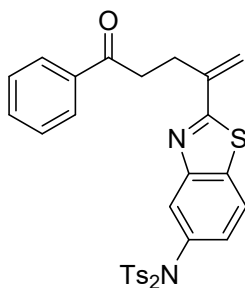
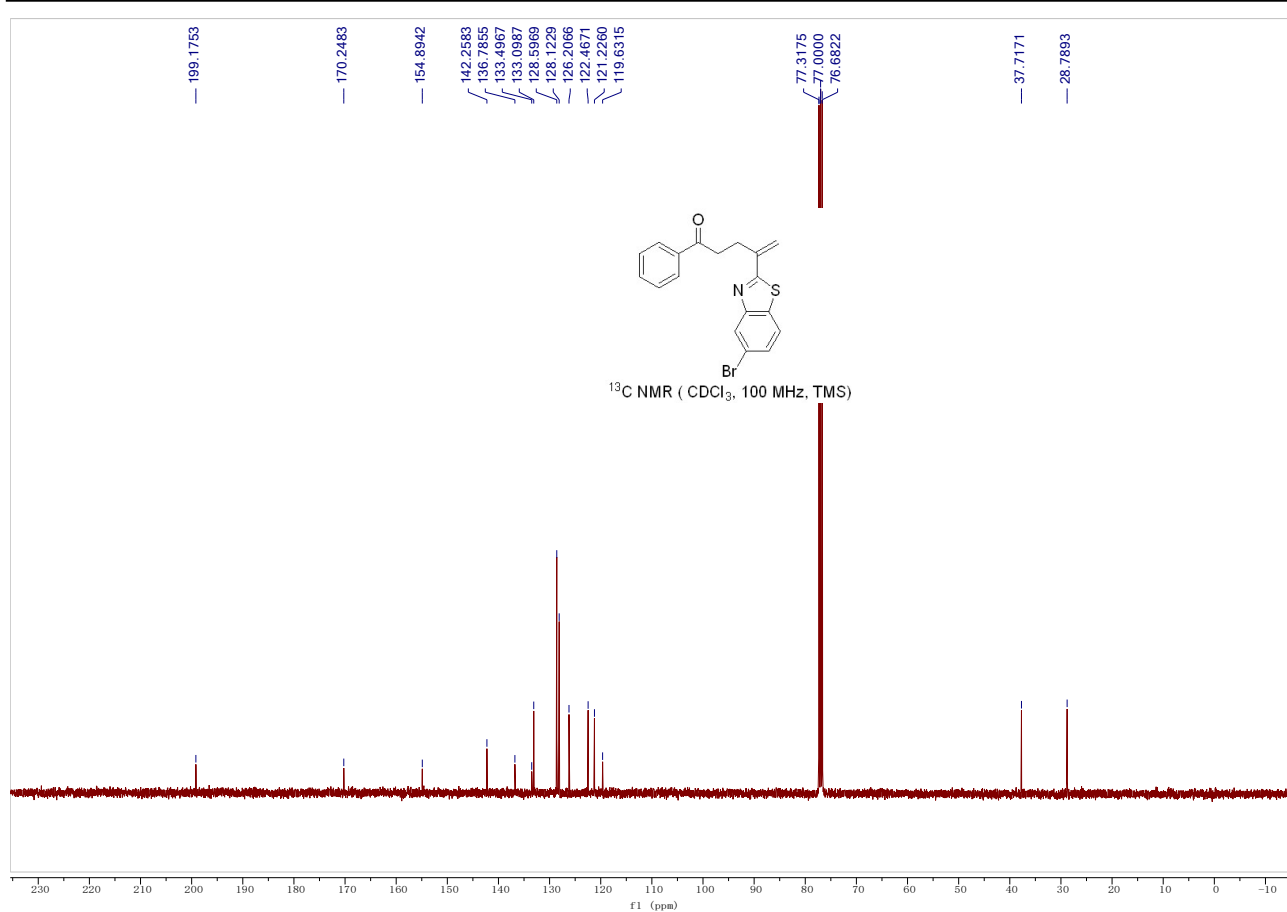
Compound 2y: Yield: 46.4 mg, 71%; A yellow solid; Mp: 98 - 100 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ¹H NMR (400 MHz, CDCl₃) δ 8.04 – 7.97 (m, 3H), 7.77 – 7.72 (m, 1H), 7.59 – 7.53 (m, 1H), 7.49 – 7.44 (m, 2H), 7.38 – 7.33 (m, 1H), 6.00 (s, 1H), 5.64 (s, 1H), 3.39 (t, J = 7.4 Hz, 2H), 3.15 (t, J = 7.4 Hz, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 199.2, 170.5, 154.6, 142.3, 136.8, 133.1, 133.0, 132.1, 128.6, 128.1, 126.0, 123.2, 122.1, 121.1, 37.7, 28.8; IR (neat): ν 2985, 2901, 1678, 1595, 1428, 1321, 1219, 1090, 1065, 931, 806, 704 cm⁻¹; HRMS (ESI⁺) Calcd. for C₁₈H₁₅NOSCl [M+H]⁺: 328.0557, found: 328.0559.





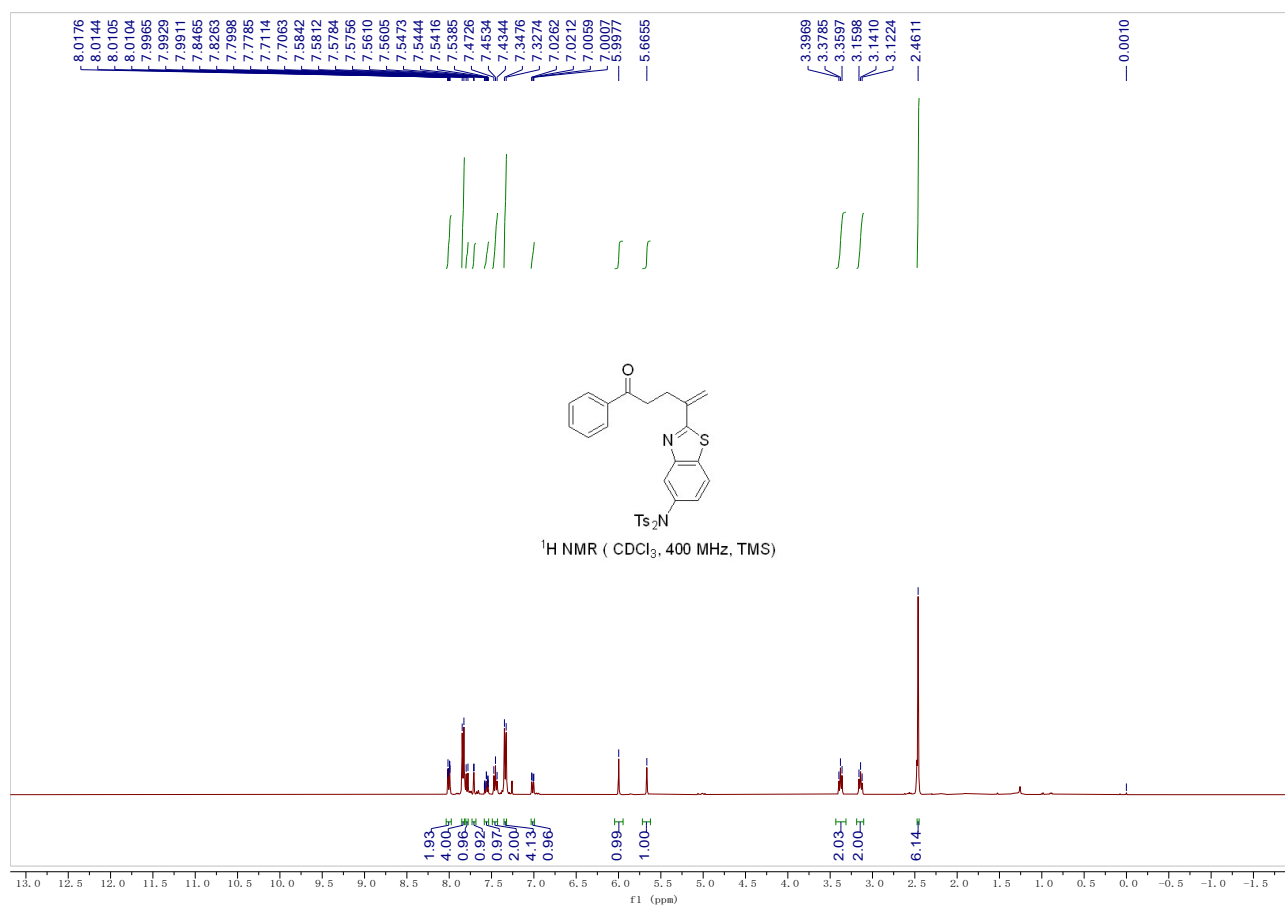
Compound 2z: Yield: 37.9 mg, 51%; A white solid; Mp: 108 - 110 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, $R_f = 0.4$); ^1H NMR (400 MHz, CDCl_3) δ 8.18 – 8.13 (m, 1H), 8.02 – 7.97 (m, 2H), 7.74 – 7.68 (m, 1H), 7.59 – 7.54 (m, 1H), 7.50 – 7.44 (m, 3H), 6.00 (s, 1H), 5.65 (s, 1H), 3.38 (t, $J = 7.5$ Hz, 2H), 3.15 (t, $J = 7.4$ Hz, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 199.2, 170.2, 154.9, 142.3, 136.8, 133.5, 133.1, 128.6, 128.1, 126.2, 122.5, 121.2, 119.6, 37.7, 28.8; IR (neat): ν 2988, 1680, 1578, 1427, 1106, 1066, 1054, 803, 745, 683 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{18}\text{H}_{15}\text{NOSBr}$ $[\text{M}+\text{H}]^+$: 372.0052, found: 372.0043.

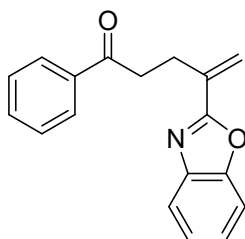
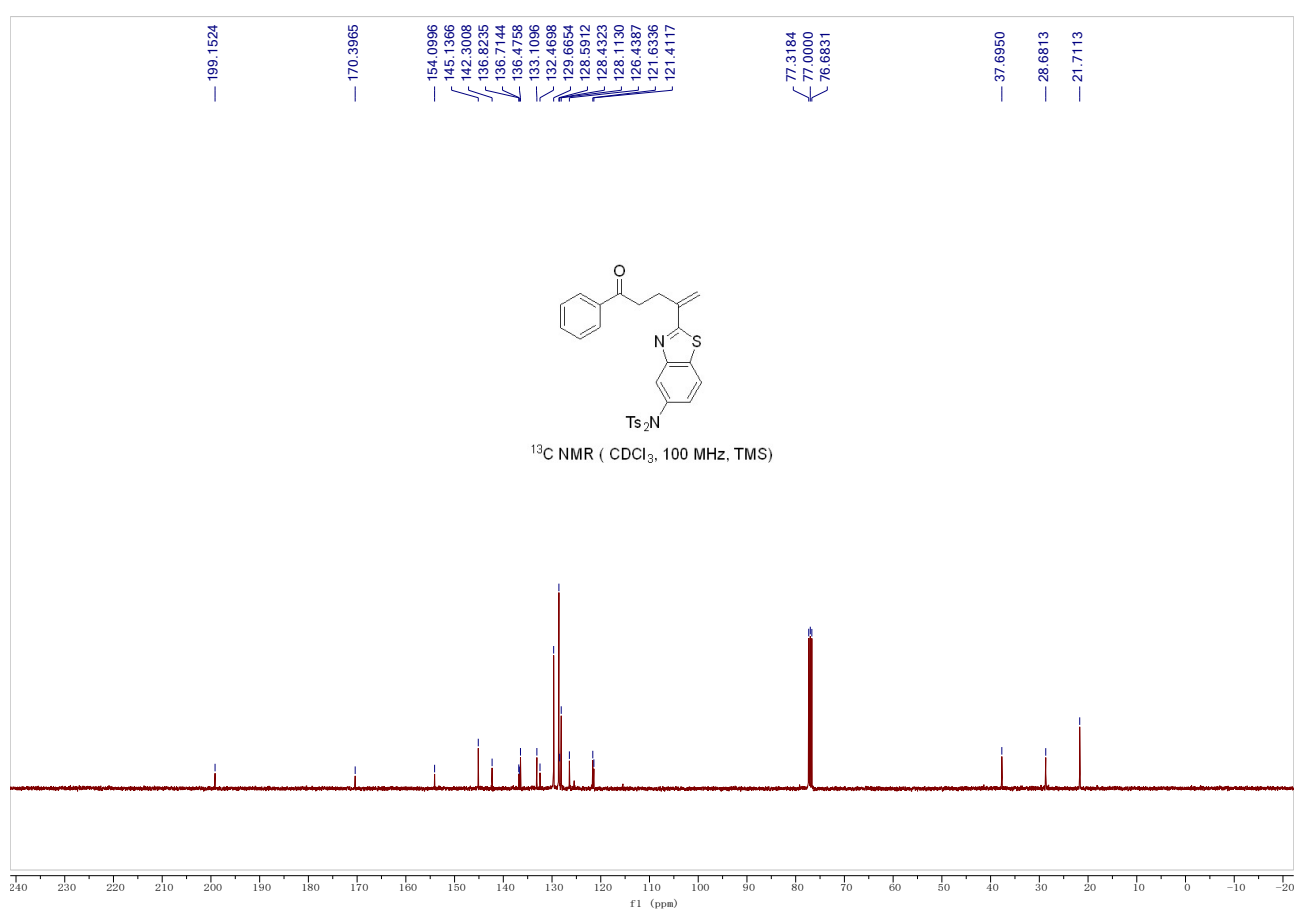




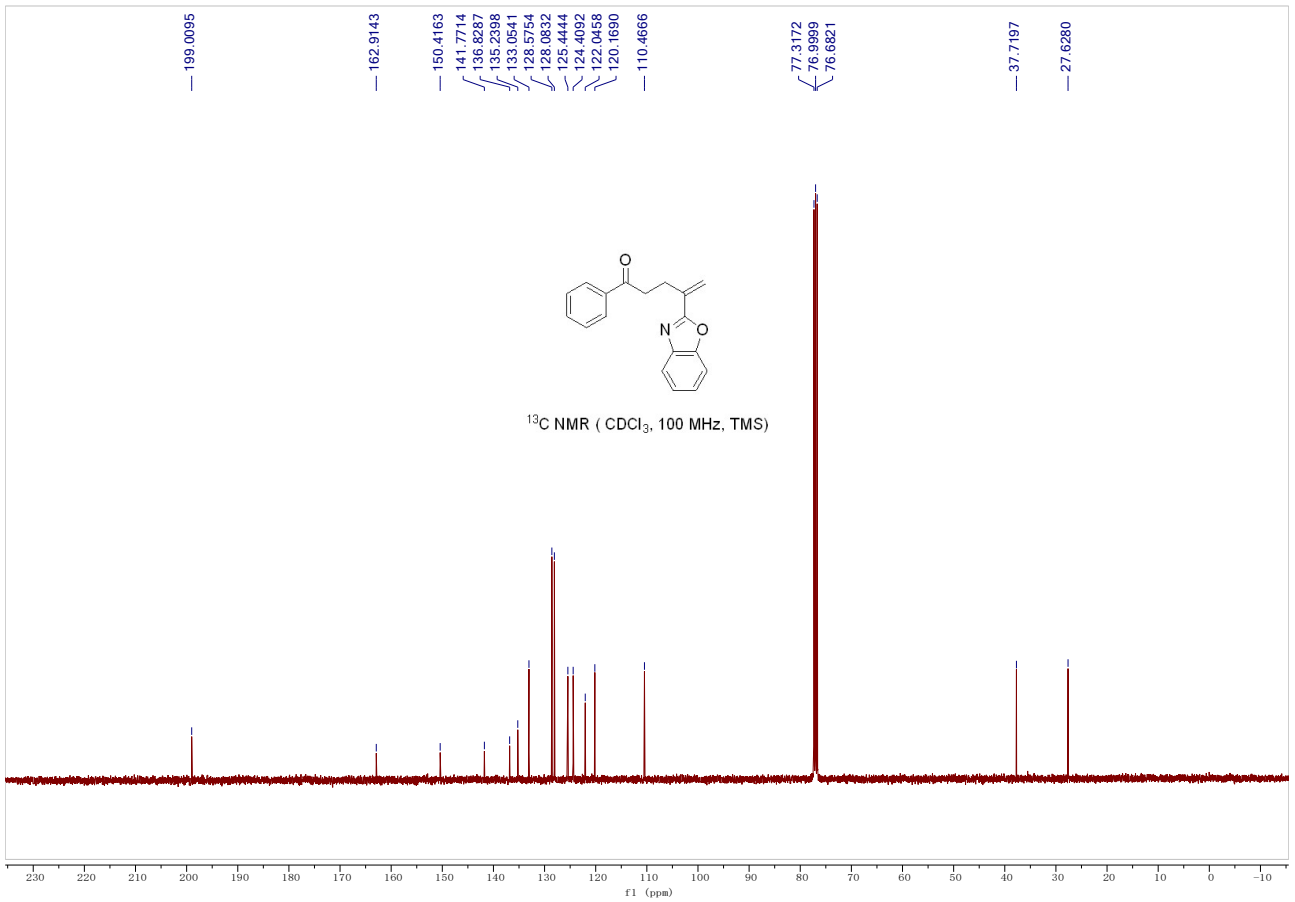
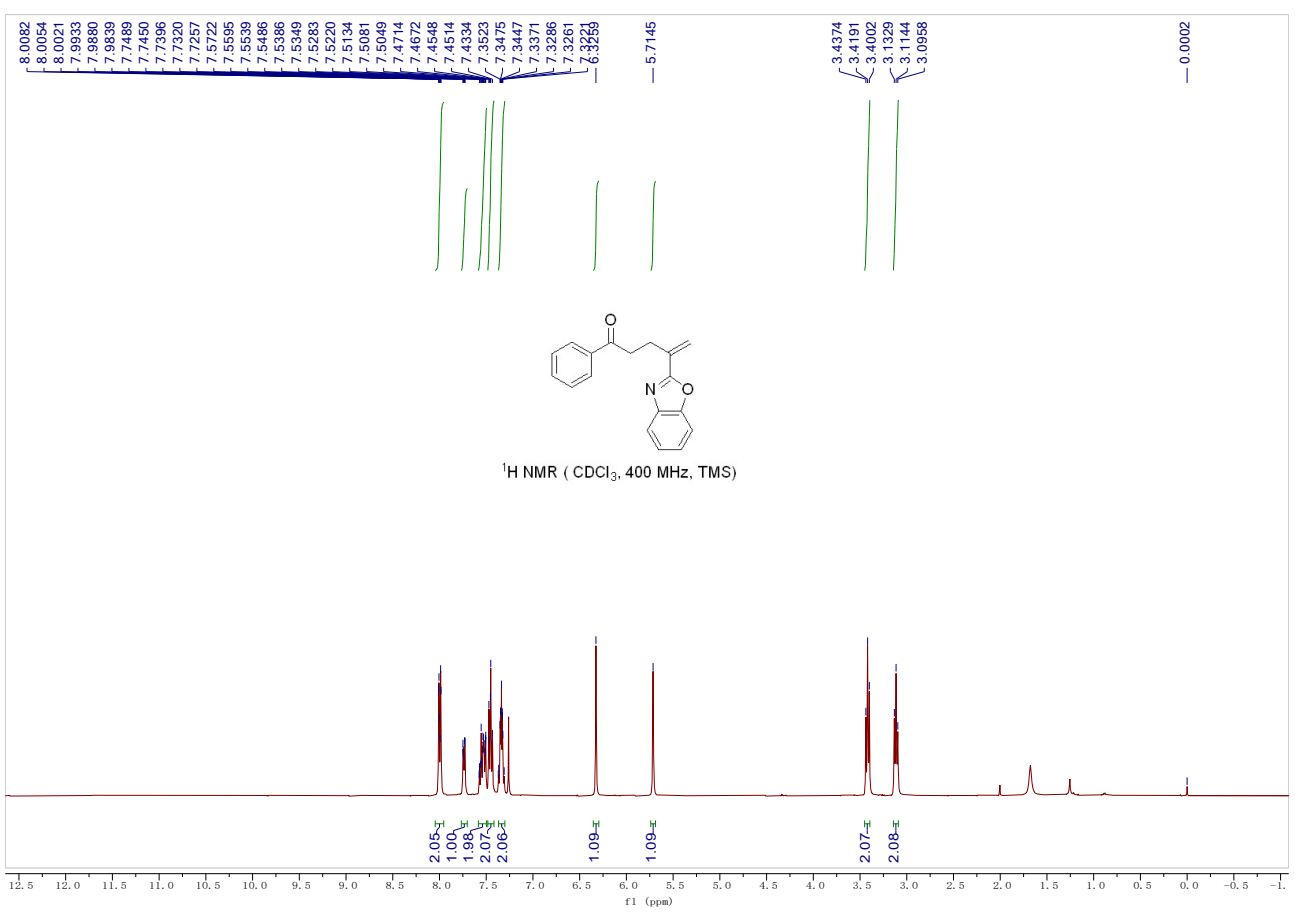
Compound 2aa: Yield: 81.3 mg, 66%; A white solid; Mp: 128 - 130 °C; isolated by column chromatography on silica gel (PE/EtOAc = 2:1, R_f = 0.4); ¹H NMR (400 MHz, CDCl₃) δ 8.04 – 7.98 (m, 2H), 7.84 (d, J = 8.1 Hz, 4H), 7.80 – 7.78 (m, 1H), 7.73 – 7.68 (m, 1H), 7.59 – 7.53 (m, 1H), 7.49 – 7.43 (m, 2H), 7.34 (d, J = 8.1 Hz, 4H), 7.03 – 6.99 (m, 1H), 6.00 (s, 1H), 5.67 (s, 1H), 3.38 (t, J = 7.5 Hz, 2H), 3.14 (t, J = 7.5 Hz, 2H), 2.46 (s, 6H); ¹³C NMR (100 MHz, CDCl₃) δ 199.2, 170.4, 154.1, 145.1, 142.3, 136.8, 136.7, 136.5, 133.1, 132.5, 129.7, 128.6, 128.4, 128.1, 126.4, 121.6, 121.4, 37.7, 28.7, 21.7; IR (neat): ν 2327, 1686, 1600, 1379, 1257, 1207, 1167, 1007,

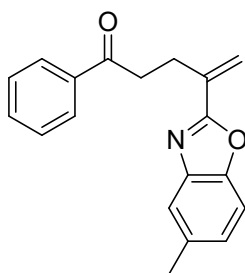
942, 857, 760, 660 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{32}\text{H}_{29}\text{N}_2\text{O}_5\text{S}_3$ $[\text{M}+\text{H}]^+$: 617.1233, found: 617.1239.



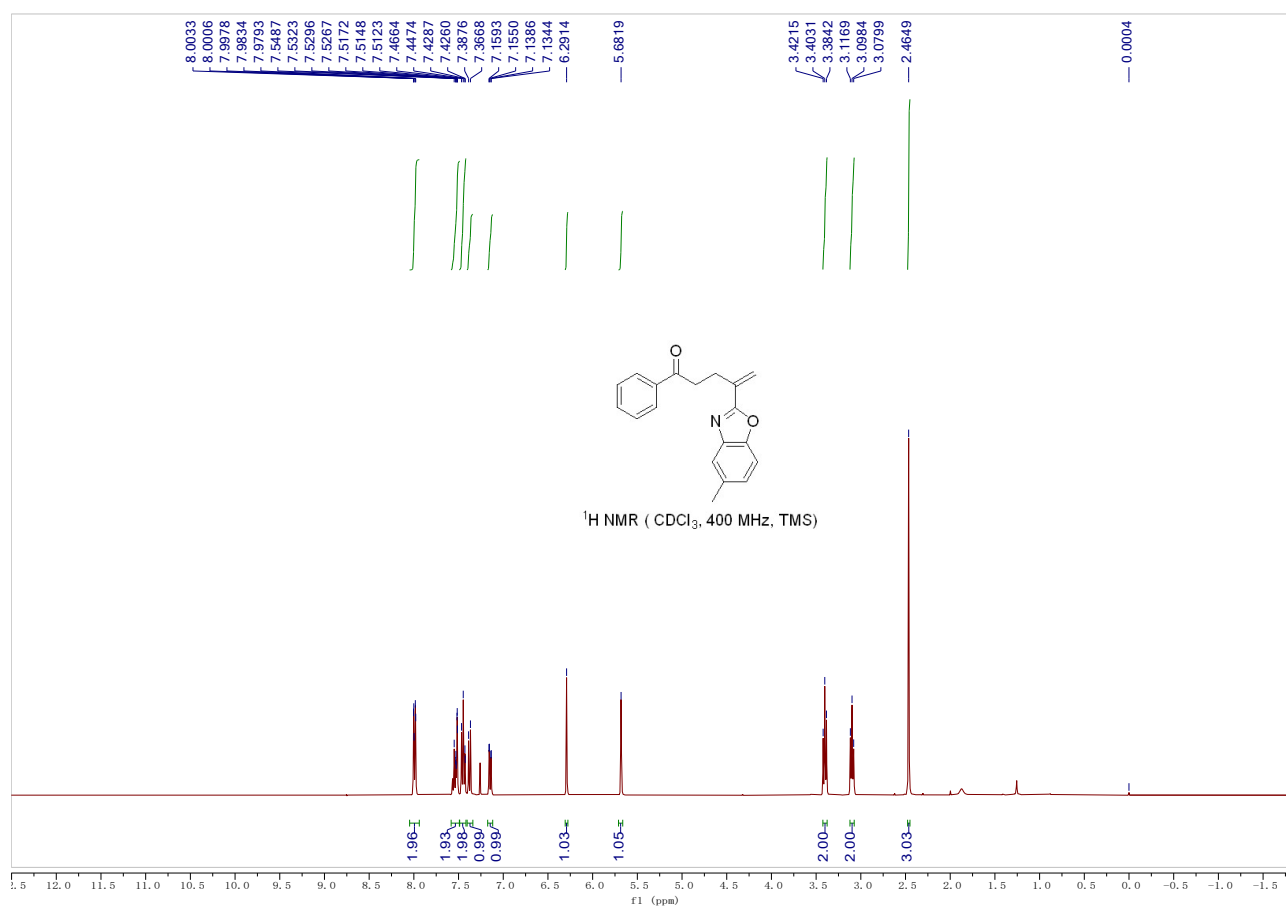


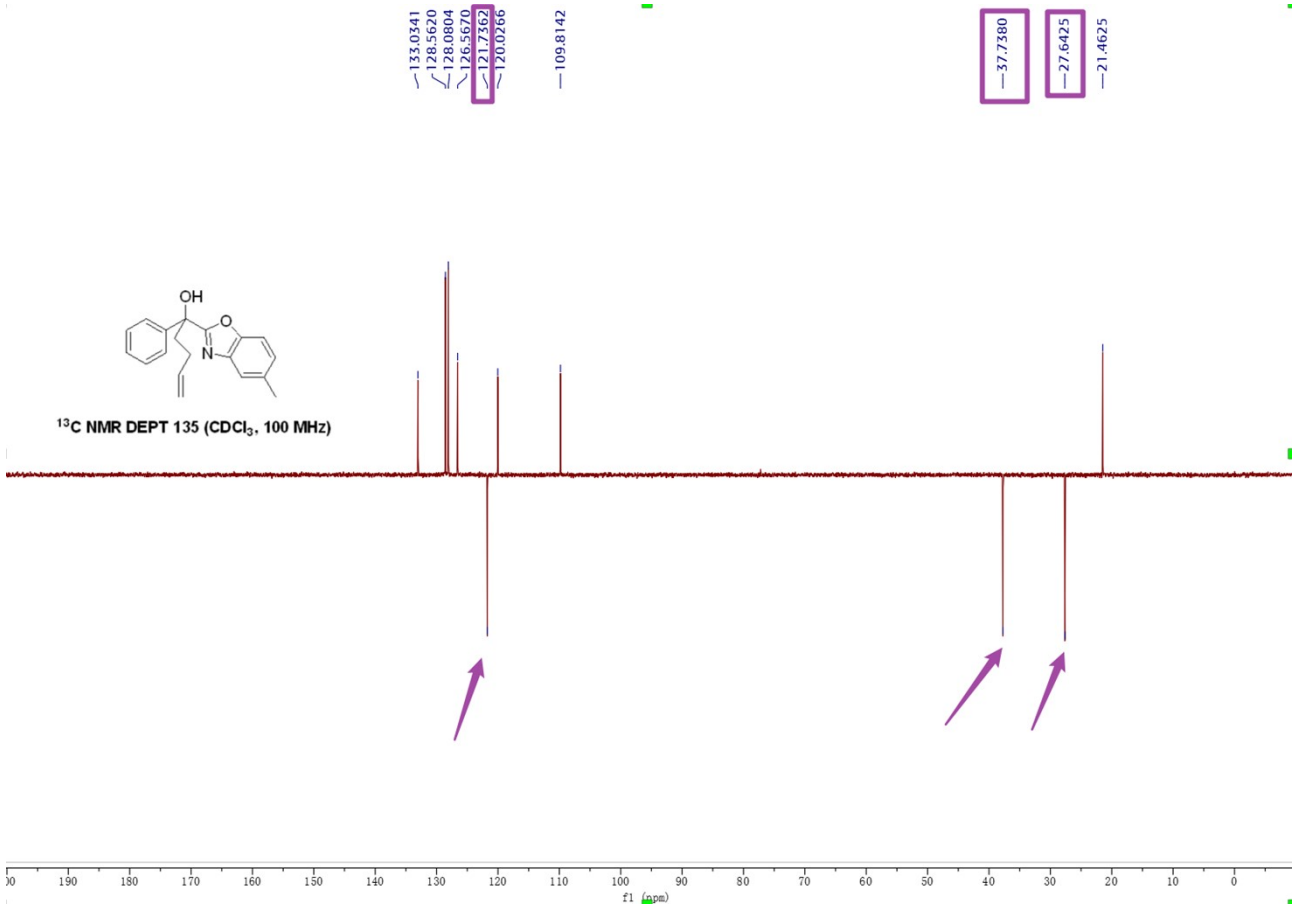
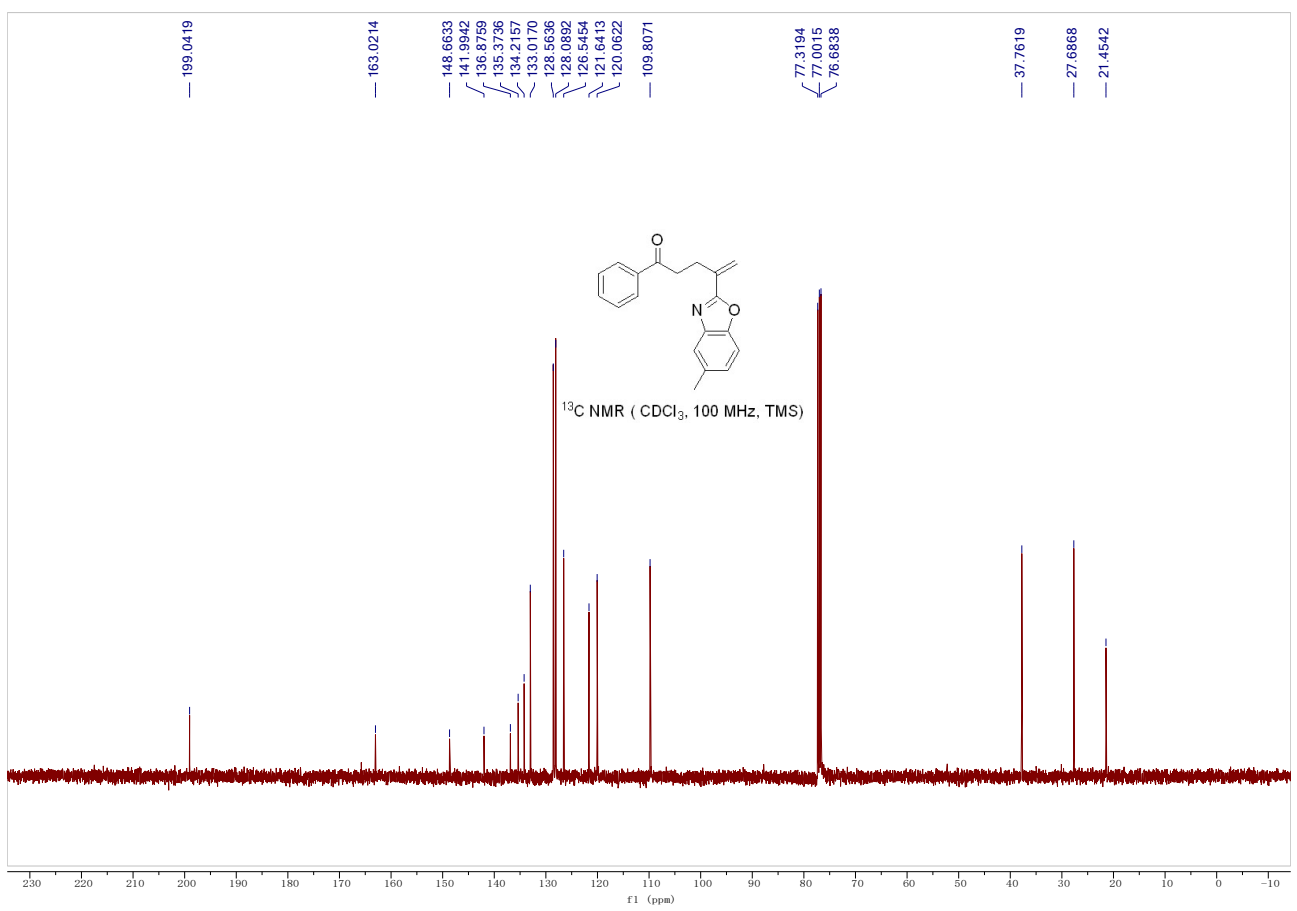
Compound 2ab: Yield: 38.2 mg, 69%; A white solid; Mp: 82 - 84 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ^1H NMR (400 MHz, CDCl_3) δ 8.05 – 7.95 (m, 2H), 7.77 – 7.70 (m, 1H), 7.58 – 7.50 (m, 2H), 7.48 – 7.42 (m, 2H), 7.37 – 7.30 (m, 2H), 6.33 (s, 1H), 5.71 (s, 1H), 3.42 (t, J = 7.4 Hz, 2H), 3.11 (t, J = 7.4 Hz, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 199.0, 162.9, 150.4, 141.8, 136.8, 135.2, 133.1, 128.6, 128.1, 125.4, 124.4, 122.0, 120.2, 110.5, 37.7, 27.6; IR (neat): ν 2987, 1682, 1537, 1454, 1248, 1209, 1100, 1057, 1001, 787, 739, 730, 687 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{18}\text{H}_{16}\text{NO}_2$ $[\text{M}+\text{H}]^+$: 278.1176, found: 278.1174.

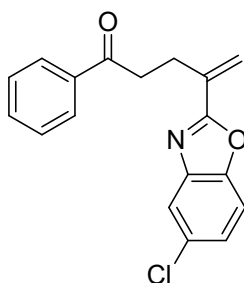
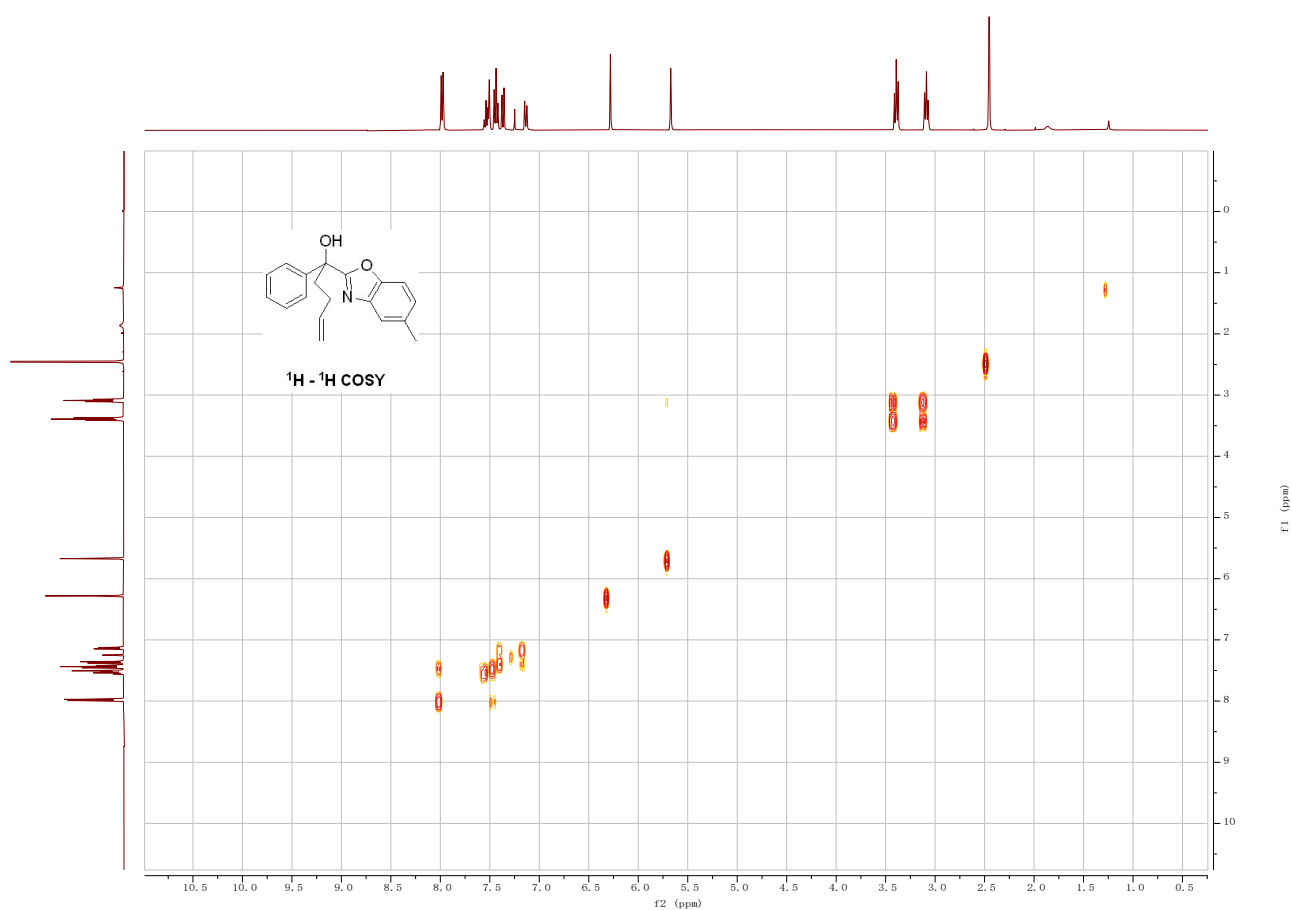




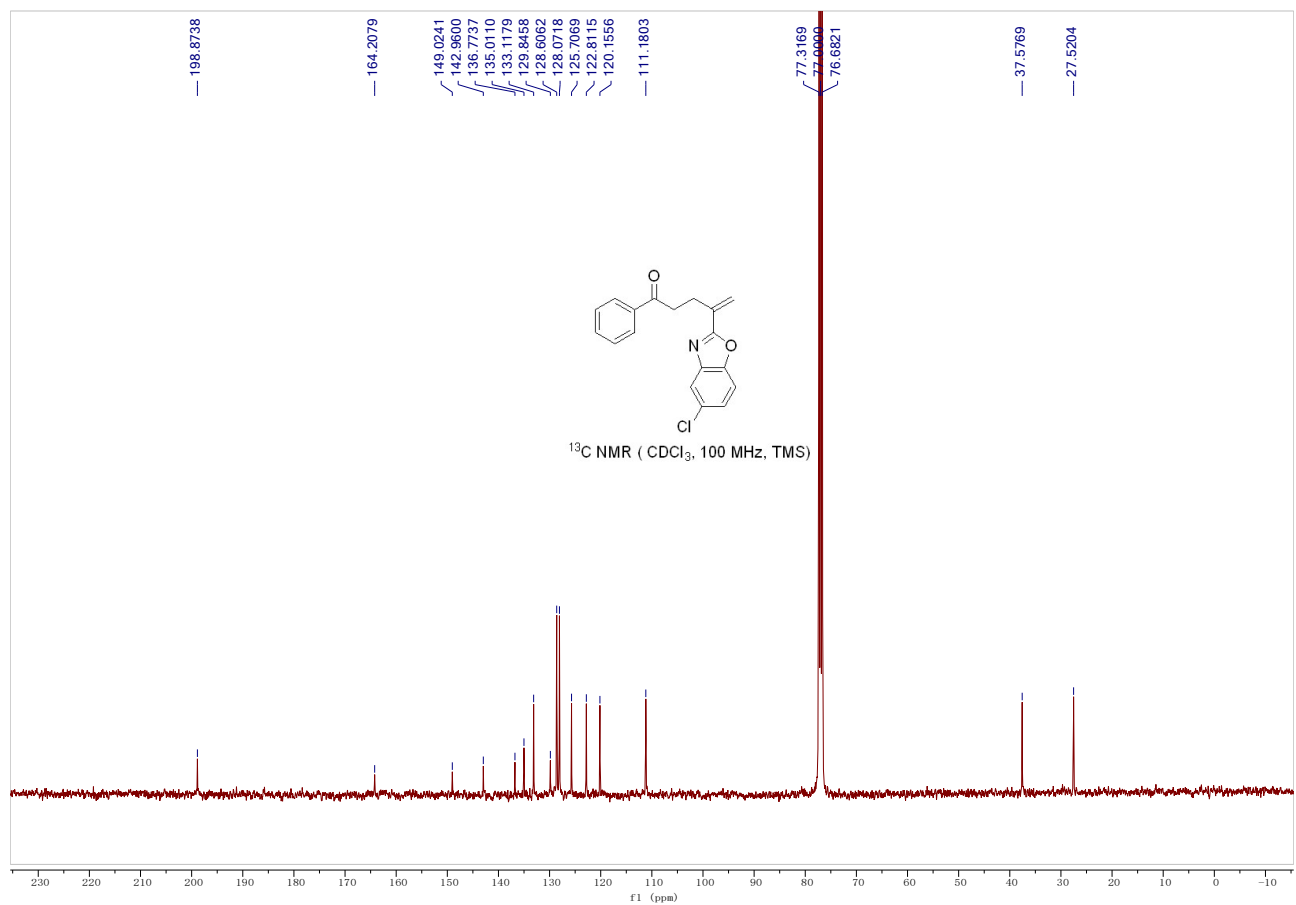
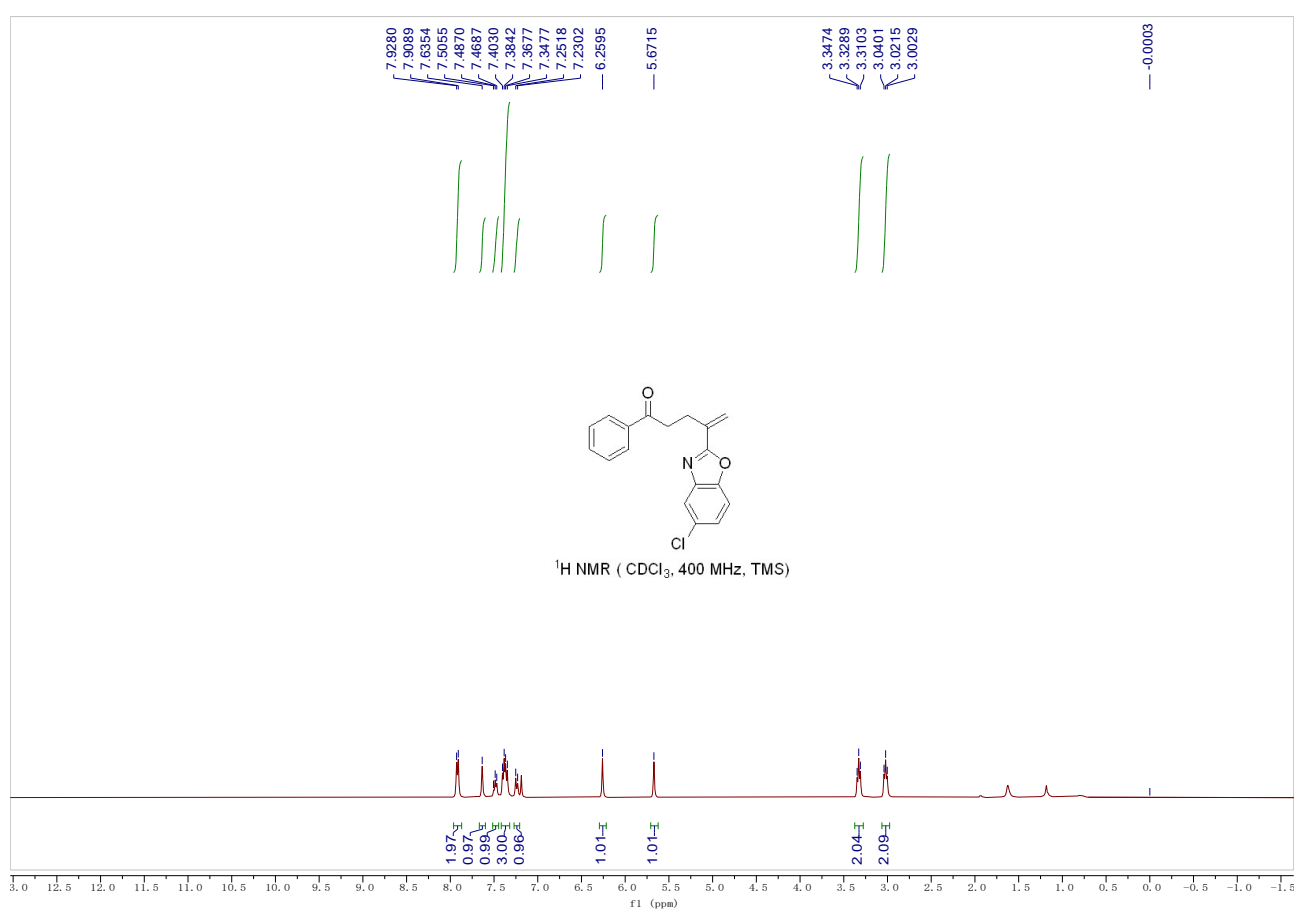
Compound 2ac: Yield: 39.6 mg, 68%; A white solid; Mp: 102 - 104 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ^1H NMR (400 MHz, CDCl_3) δ 8.05 – 7.94 (m, 2H), 7.58 – 7.49 (m, 2H), 7.49 – 7.42 (m, 2H), 7.40 – 7.34 (m, 1H), 7.17 – 7.12 (m, 1H), 6.29 (s, 1H), 5.68 (s, 1H), 3.40 (t, J = 7.5 Hz, 2H), 3.10 (t, J = 7.5 Hz, 2H), 2.46 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 199.0, 163.0, 148.7, 142.0, 136.9, 135.4, 134.2, 133.0, 128.6, 128.1, 126.5, 121.6, 120.1, 109.8, 37.8, 27.7, 21.5; ^{13}C NMR DEPT 135 (100 MHz, CDCl_3) δ 133.0, 128.6, 128.1, 126.6, 121.7, 120.0, 109.8, 37.7, 27.6, 21.5; IR (neat): ν 2999, 1489, 1447, 1346, 1165, 1024, 830, 760, 701, 665 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{19}\text{H}_{18}\text{NO}_2$ $[\text{M}+\text{H}]^+$: 292.1332, found: 292.1336.

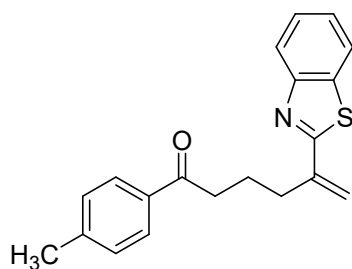




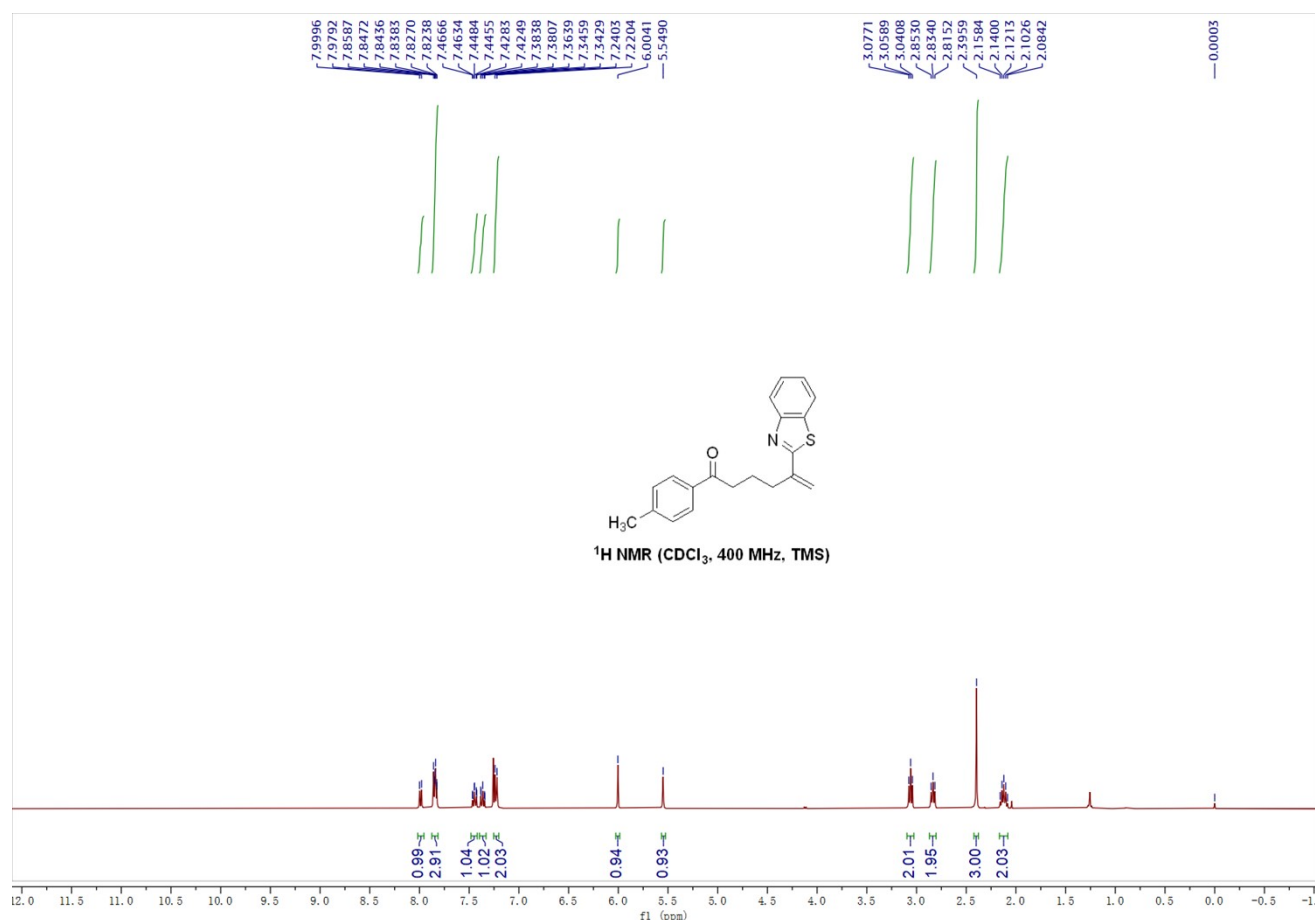


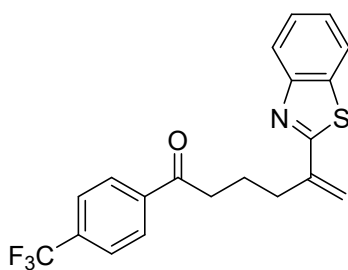
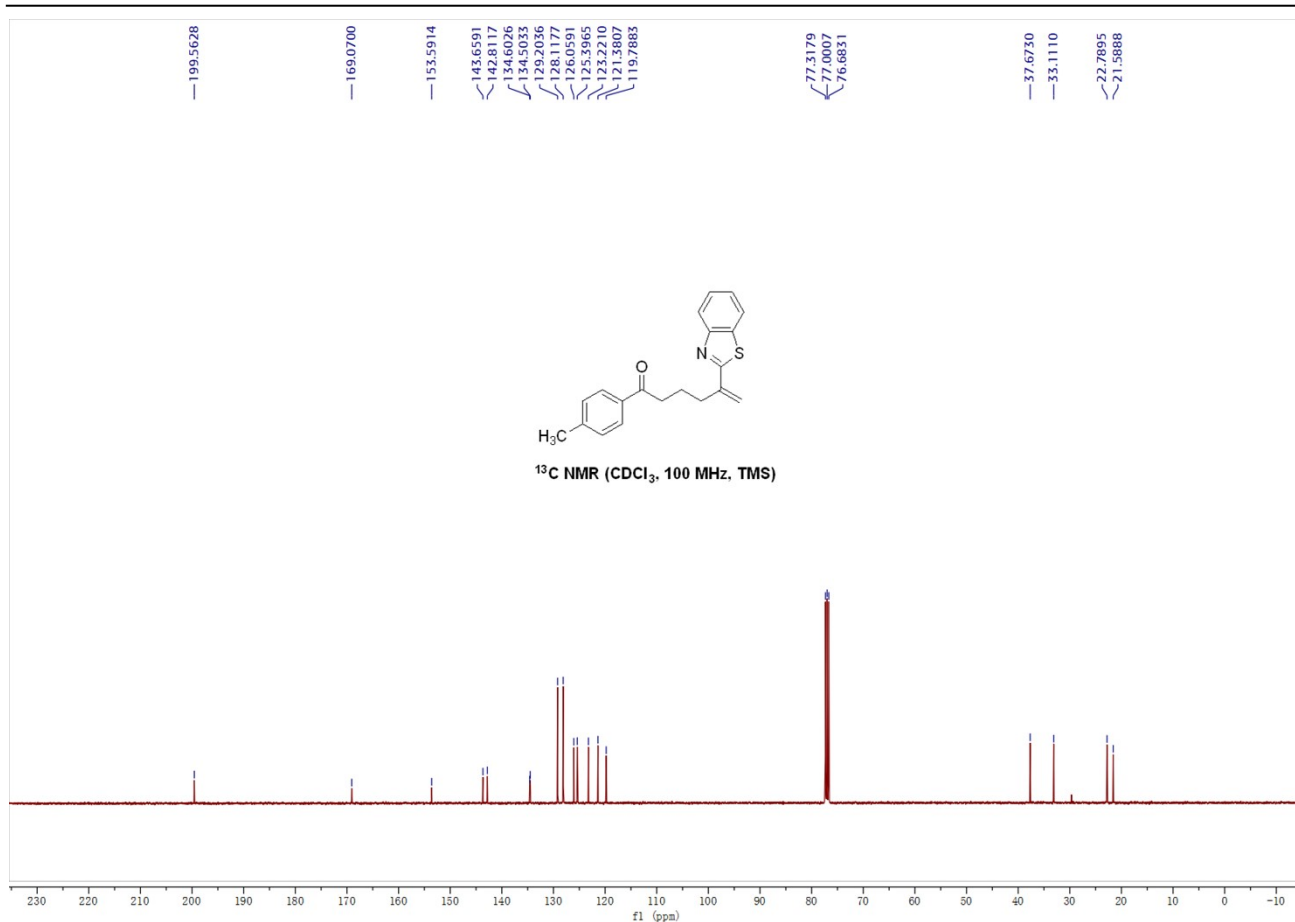
Compound 2ad: Yield: 44.2 mg, 71%; A white solid; Mp: 132 - 134 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ^1H NMR (400 MHz, CDCl_3) δ 7.92 (d, J = 7.6 Hz, 2H), 7.64 (s, 1H), 7.49 (t, J = 7.4 Hz, 1H), 7.42 – 7.32 (m, 3H), 7.27 – 7.21 (m, 1H), 6.26 (s, 1H), 5.67 (s, 1H), 3.33 (t, J = 7.5 Hz, 2H), 3.02 (t, J = 7.5 Hz, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 198.9, 164.2, 149.0, 143.0, 136.8, 135.0, 133.1, 129.8, 128.6, 128.1, 125.7, 122.8, 120.2, 111.2, 37.6, 27.5; IR (neat): ν 2972, 1712, 1514, 1340, 1162, 1092, 752, 697, 655 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{18}\text{H}_{15}\text{NO}_2\text{Cl}$ $[\text{M}+\text{H}]^+$: 312.0786, found: 312.0794.



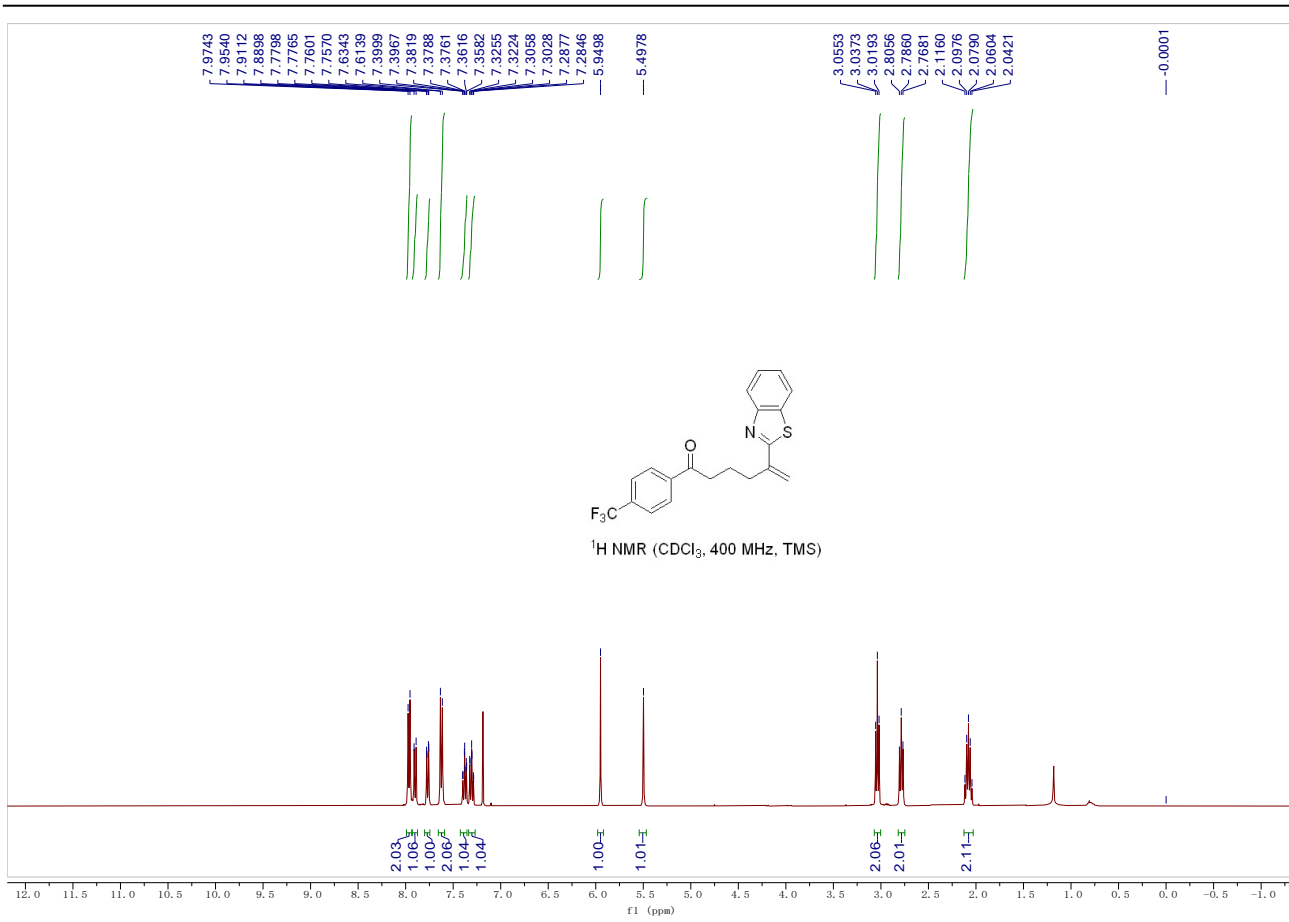


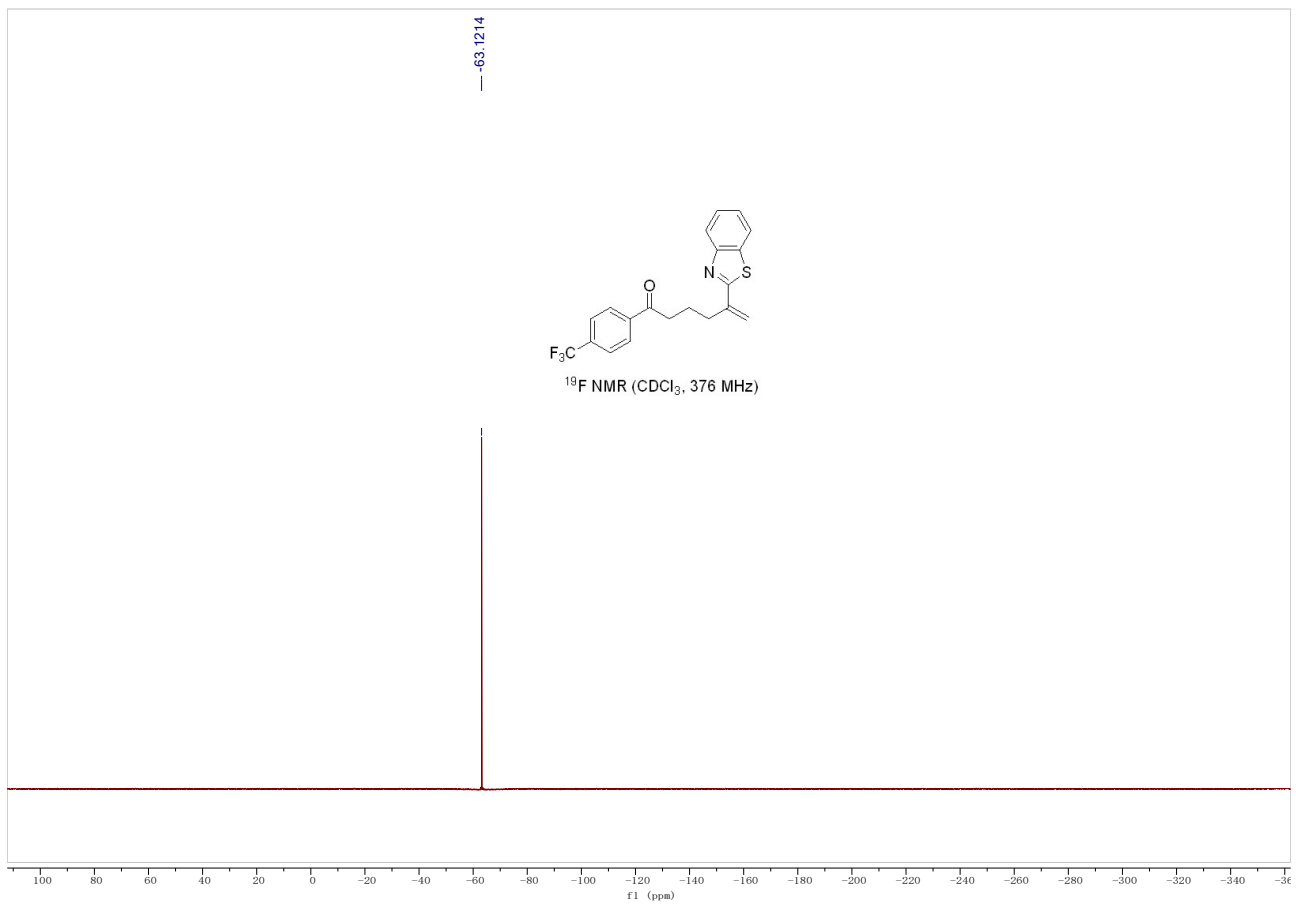
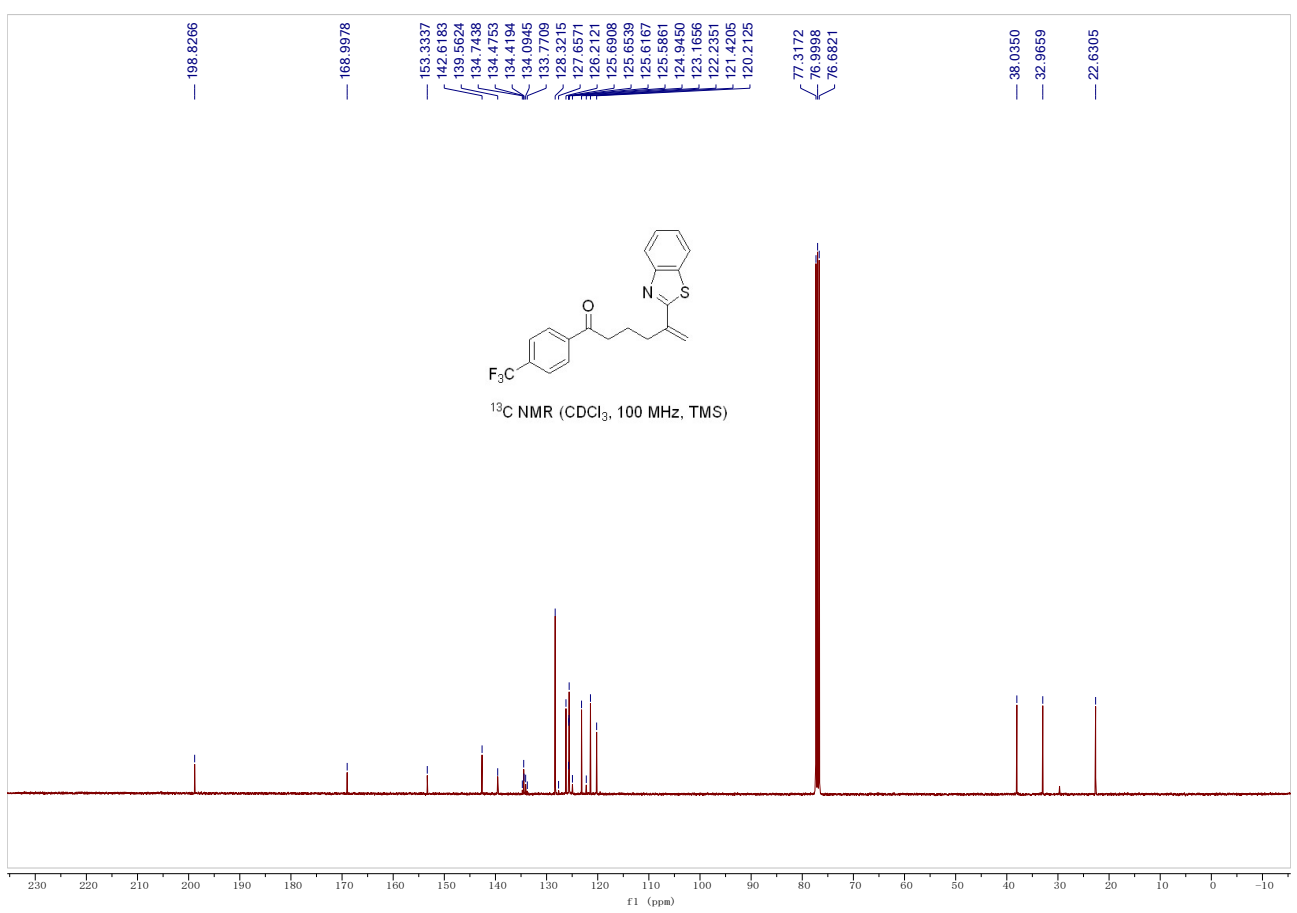
Compound 2ae: Yield: 37.2 mg, 58%; A white solid; Mp: 89 - 91 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.99 (d, J = 8.2 Hz, 1H), 7.88 – 7.81 (m, 3H), 7.48 – 7.42 (m, 1H), 7.40 – 7.33 (m, 1H), 7.23 (d, J = 8.0 Hz, 2H), 6.00 (s, 1H), 5.55 (s, 1H), 3.06 (t, J = 7.5 Hz, 2H), 2.83 (t, J = 7.5 Hz, 2H), 2.40 (s, 3H), 2.12 (p, J = 7.5 Hz, 2H); $^{13}\text{C NMR}$ (100 MHz, CDCl_3) δ 199.6, 169.1, 153.6, 143.7, 142.8, 134.6, 134.5, 129.2, 128.1, 126.1, 125.4, 123.2, 121.4, 119.8, 37.7, 33.1, 22.8, 21.6; IR (neat): ν 2921, 1678, 1605, 1406, 1275, 1179, 976, 806, 759, 729 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{26}\text{H}_{26}\text{NO}_4$ $[\text{M}+\text{H}]^+$: 416.1856, found: 416.1863.





Compound 2af: Yield: 44.2 mg, 59%; A white solid; Mp: 119 - 121 °C; isolated by column chromatography on silica gel (PE/EtOAc = 10:1, R_f = 0.4); ^1H NMR (400 MHz, CDCl_3) δ 7.96 (d, J = 8.2 Hz, 2H), 7.90 (d, J = 8.2 Hz, 1H), 7.80 – 7.75 (m, 1H), 7.62 (d, J = 8.2 Hz, 2H), 7.42 – 7.35 (m, 1H), 7.34 – 7.27 (m, 1H), 5.95 (s, 1H), 5.50 (s, 1H), 3.04 (t, J = 7.3 Hz, 2H), 2.79 (t, J = 7.3 Hz, 2H), 2.08 (p, J = 7.3 Hz, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 198.8, 169.0, 153.3, 142.6, 139.6, 134.5, 134.2 (q, J = 36.6 Hz), 128.3, 126.2, 125.6 (q, J = 3.7 Hz), 125.6, 123.6 (q, J = 272.6 Hz), 123.2, 121.4, 120.2, 38.0, 33.0, 22.6; ^{19}F NMR (376 MHz, CDCl_3) δ -63.1; IR (neat): ν 1689, 1510, 1409, 1322, 1123, 1064, 826, 759, 729 cm^{-1} ; HRMS (ESI+) Calcd. for $\text{C}_{20}\text{H}_{17}\text{NOF}_3\text{S}$ $[\text{M}+\text{H}]^+$: 376.0976, found: 376.0974.





10. Reaction Setup

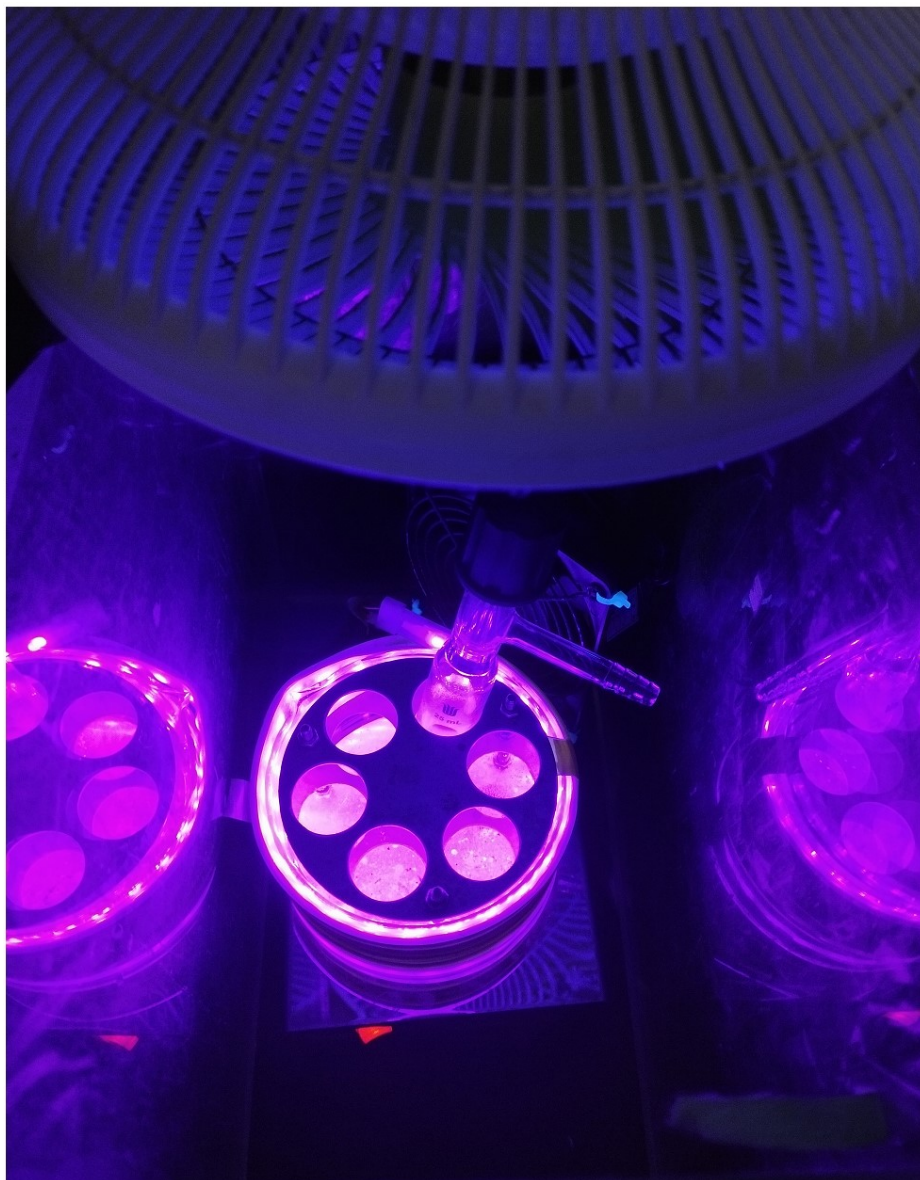


Figure S2. Reaction setup for synthesis.

As depicted in the pictures, the reactions were carried out in oven-dried sealed tubes. Each reaction setup is equipped with two fans to maintain the reaction temperature.

11. References

Ref. 1. Z. Wu, D. Wang, Y. Liu, L. Huan and C. Zhu, *J. Am. Chem. Soc.*, 2017, **139**, 1388-1391.

Ref. 2. Z. Zou, W. Zhang, Y. Wang, L. Kong, G. Karotsis, Y. Wang and Y. Pan, *Org. Lett.*, 2019, **21**, 1857-1862.